



Europäisches Patentamt
European Patent Office
Office européen des brevets



(11) **EP 0 837 052 A1**

(12)

EUROPEAN PATENT APPLICATION

published in accordance with Art. 158(3) EPC

(43) Date of publication:
22.04.1998 Bulletin 1998/17

(21) Application number: 96918841.6

(22) Date of filing: 19.06.1996

(51) Int. Cl.⁶: **C07C 233/52**, C07C 233/84,
C07C 271/24, C07C 311/06,
C07C 311/11, C07C 311/13,
C07C 311/19, C07D 493/08,
C07D 495/08, A61K 31/16

(86) International application number:
PCT/JP96/01685

(87) International publication number:
WO 97/00853 (09.01.1997 Gazette 1997/03)

(84) Designated Contracting States:
**AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC
NL PT SE**
Designated Extension States:
AL LT LV SI

(30) Priority: 21.06.1995 JP 154575/95

(71) Applicant: SHIONOGI & CO., LTD.
Osaka-shi, Osaka-fu 541 (JP)

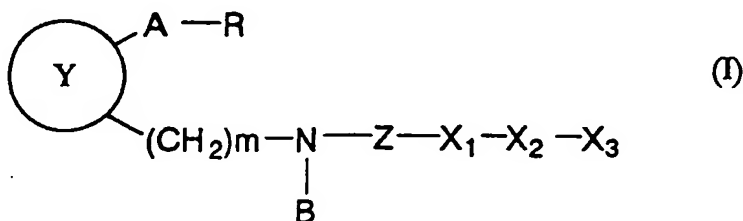
(72) Inventors:
• OHTANI, Mitsuki
Nara 630 (JP)

- ARIMURA, Akimori
Osaka-shi Osaka 558 (JP)
- TSURI, Tatsuo
Kobe-shi Hyogo 651-11 (JP)
- KISHINO, Junji
Hyogo 654-01 (JP)
- HONMA, Tsunetoshi
Nara 630-02 (JP)

(74) Representative:
Baverstock, Michael George Douglas et al
BOULT WADE TENNANT,
27 Fumival Street
London EC4A 1PQ (GB)

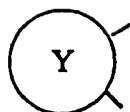
(54) **BICYCLIC AMINO DERIVATIVES AND PGD 2 ANTAGONIST CONTAINING THE SAME**

(57) A compound of the formula (I):

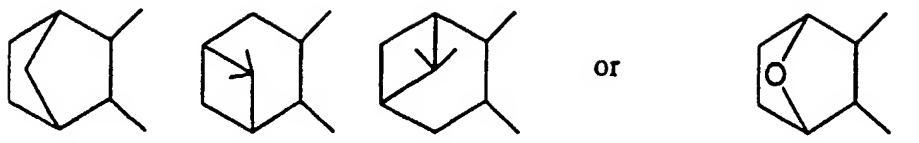


wherein

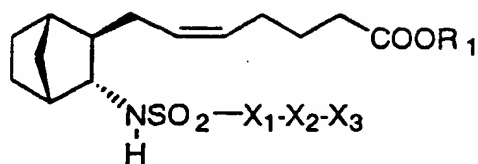
EP 0 837 052 A1



is

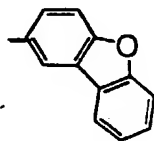


for example, a compound below:



wherein

R₁ is CH₃, H or Na; and X₁-X₂-X₃ is



or a salt or a hydrate thereof is useful as a PGD₂ antagonist and can be used as a drug for treating diseases in which mast cell dysfunction is involved, for example, systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and as an anti-inflammatory agent. It is particularly useful in the treatment of nasal occlusion.

Description

FIELD OF THE INVENTION

5 The present invention relates to bicyclic amino derivatives and prostaglandin D₂ (hereinafter, referred to as PGD₂) antagonist containing them.

BACKGROUND OF THE INVENTION

10 Some bicyclic amino derivatives of the present invention are known to be useful as thromboxane A₂ (TXA₂) antagonists (Japanese Patent Publication (KOKOKU) No. 79060/1993). However, Japanese Patent Publication (KOKOKU) No. 79060/1993 only describes the compounds as useful as TXA₂ antagonists, and does not suggest usefulness thereof as PGD₂ antagonists as disclosed by the present invention.

Namely, TXA₂ is known to have activities such as action against platelet agglutination, thrombogenesis, etc. The
15 TXA₂ antagonist has therefore been considered to be useful as an anti-thrombotic agent, and also in the treatment of myocardial infarction or asthma by antagonizing against TXA₂.

On the other hand, the PGD₂ antagonist of the present invention is useful in the improvement of conditions due to excessive production of PGD₂. Specifically, it is useful as a drug for treating diseases in which mast cell dysfunction is involved, for example, systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation.
20

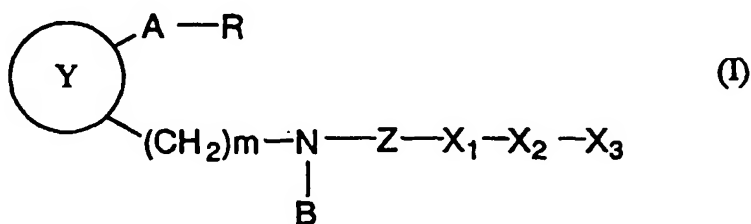
As is apparent from the above, the TXA₂ antagonist and the PGD₂ antagonist are completely different from each other in terms of the active site, mechanism of action, and application, and have quite different characteristics. Accordingly, it has never been expected that any compound could possess these activities simultaneously.

PGD₂ is produced through PGG₂ and PGH₂ from arachidonic acid by the action of cyclooxygenase activated by immunological or unimmunological stimulation and is the major prostanoid that is produced and released from mast cells. PGD₂ has various potent physiological and pathological activities. For example, PGD₂ can cause strong tracheal contraction, which leads to bronchial asthma, and, in a systemic allergic state, it can dilate the peripheral vessels, which leads to an anaphylactic shock. Especially, much attention has been paid to the idea that PGD₂ is one of the causal substances responsible for the onset of nasal occlusion in the allergic rhinitis. Therefore, it has been proposed to develop an inhibitor against the biosynthesis of PGD₂ or an antagonist of PGD₂ receptor as a drug for the reduction of nasal occlusion. However, the inhibitor of PGD₂ biosynthesis possibly affects greatly the synthesis of prostaglandins in other organisms, and therefore, it is desirable to develop an antagonist (blocker) specific to PGD₂ receptor.
30

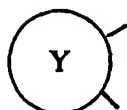
DISCLOSURE OF THE INVENTION

35 The present inventors have studied intensively to develop PGD₂ receptor antagonists (blockers) specific to PGD₂ receptor, and found that compounds of the formula (I) below or its salt possess a potent activity as PGD₂ receptor antagonists and are chemically and biochemically stable.

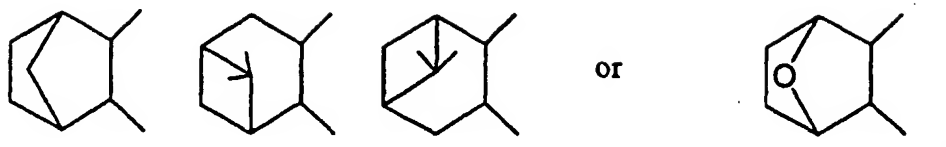
Accordingly, the present invention provides a PGD₂ antagonist which comprises a compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:
40



wherein



is



A is alkylene which optionally is intervened by a hetero atom or phenylene, contains oxo group, and/or has an unsaturated bond:

B is hydrogen, alkyl, aralkyl or acyl:

R is COOR₁, CH₂OR₂ or CON(R₃)R₄;

R_1 is hydrogen or alkyl;

R₂ is hydrogen or alkyl;

R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkyl/sulfonyl;

X₁ is a single bond, phenylene, naphthylene, thiophenediyl, indolediyl, or oxazolediyl;

X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, - (CH₂)_n, ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -N(R₅₃)CON(R₅₄)-, -CON(R₅₅)-, -SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-, oxadiazole, thiadiazole or tetrazole;

X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinyldidemethyl, thiazolidinyldidemethyl, -CH=NR₆ or -N=C(R₇)R₈;

R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R₇ and R₈ each are independently alkyl, alkoxy or aryl;

n is 1 or 2;

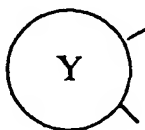
Z is -SO₂- or -CO-; and

m is 0 or 1;

wherein a cyclic substituent may have one to three substituents selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxy carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy.

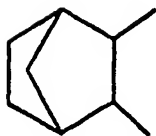
THE BEST EMBODIMENT FOR PRACTICING THE INVENTION

Specific examples of compounds usable as a PGD₂ antagonist above include a compound of the formula (I) wherein



is

5



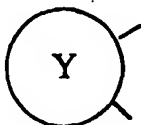
;

10

m is 0; and when Z is SO₂, both X₁ and X₂ are a single bond; X₃ is alkyl, phenyl, naphthyl, styryl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from the group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or a salt or hydrate thereof.

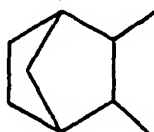
Similarly, specific examples include a compound of the formula (I) wherein

15



is

20



25

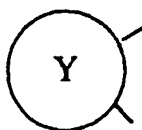
;

30

when m is 1, both X₁ and X₂ are a single bond; and X₃ is phenyl optionally substituted with halogen, or a salt or hydrate thereof.

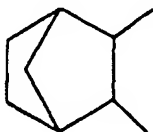
Similarly, specific examples include a compound of the formula (I) wherein

35



is

40



45

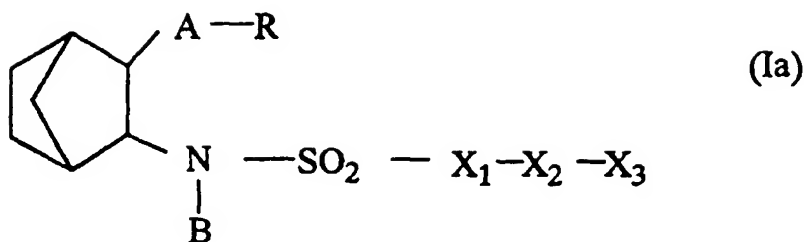
;

50

when m is 1, X₁ is phenyl, X₂ is -CH₂- or -N=N- and X₃ is phenyl, or a salt or hydrate thereof.

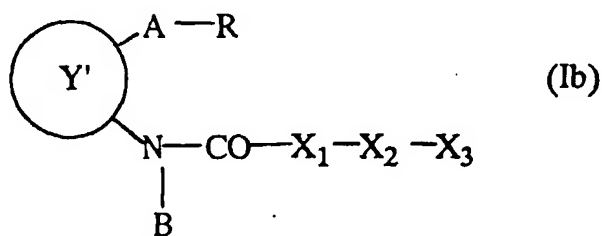
Similarly, examples of compounds of the formula (I) include those of the formula (Ia):

55

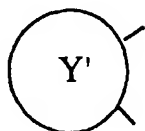


wherein A, B, R, X₁, X₂ and X₃ are as defined above, or its salt or hydrate thereof, provided that those wherein (1) X₁ and X₂ are a single bond, and X₃ is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is COOR₁ (R₁ is hydrogen or methyl), X₁ is 1,4-phenylene, X₂ is a single bond, and X₃ is phenyl are excluded.

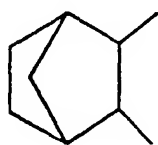
Similarly, examples of compounds of the formula (I) include those of the formula (Ib):



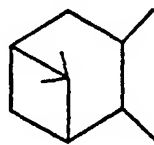
wherein



is



or



A, B, R, X₁, X₂ and X₃ are as defined above, or a salt or hydrate thereof, provided that those wherein X₁ and X₂ are a single bond, and X₃ is phenyl, and wherein X₁ is a single bond, X₂ is -O-, and X₃ is benzyl are excluded.

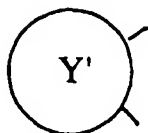
More specifically, examples of compounds of the formula (I) include those of the formula (Ia) wherein X₁ and X₂ are a single bond, X₃ is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein X₁ is a single bond, X₂ is phenylene, X₃ is alkenyl, alkynyl, -CH=NR₆ or -N=C(R₇)R₈, or a salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein R is COOR₁, X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=H-, -CH=CH-, -CONH-, -NHCO- or ethynylene and X₃ is phenyl, thiazolinyldenemethyl, thiazolidinyldenemethyl or thienyl, or a salt or hydrate thereof.

More specifically, examples of the compound (I) of the present invention include those of the formula (Ib) wherein

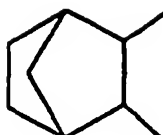
5



is

10

15



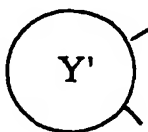
;

20 or a salt or hydrate thereof. Examples of more preferred compounds include those of the formula (Ib) wherein R is COOR_1 (R_1 is as defined above) or a salt or hydrate thereof.

Similarly, examples of compound (I) include those of the formula (Ib) wherein X_1 is phenylene or thiophenediyl, X_2 is a single bond, $-\text{N}=\text{H}-$, $-\text{CH}=\text{CH}-$, ethynylene, $-\text{O}-$, $-\text{S}-$, $-\text{CO}-$, $-\text{CON}(\text{R}_{55})-$ (R_{55} is as defined above), $-\text{N}(\text{R}_{51})\text{CO}-$ (R_{51} is as defined above) and X_3 is phenyl, or a salt or hydrate thereof.

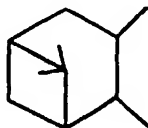
25 More specifically, examples of compound (I) include those of the formula (Ib) wherein

30



is

35



40

or a salt or hydrate thereof. Examples of more preferred embodiments include those wherein B is hydrogen, both X_1 and X_2 are a single bond, X_3 is thienyl, thiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl, pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl or a salt or hydrate thereof. Similarly, examples include 45 those wherein X_1 is phenylene, thiophenediyl, indolediyl or oxazolediyl, X_2 is a single bond, $-\text{N}=\text{H}-$, $-\text{CH}=\text{CH}-$, ethynylene, $-\text{S}-$ or $-\text{O}-$, and X_3 is aryl or heterocyclic group, or a salt or hydrate thereof.

The compounds of the general formula (Ia) and (Ib) are novel compounds synthesized by the present inventors.

The terms used throughout the present specification are as defined below.

The term "alkylene" means $\text{C}_1 - \text{C}_9$ straight or branched chain alkylene, for example, methylene, methylmethylene, 50 dimethylmethylene, methylethylmethylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene, or the like. The alkylene above can be intervened by a hetero atom(s) (oxygen, sulfur, nitrogen atom, or the like) or phenylene (e.g., 1,4-phenylene, 1,3-phenylene, 1,2-phenylene, or the like), contain an oxo group, and/or have one or more double- or triple-bonds at any positions on the chain. Examples include 55 $-(\text{CH}_2)_2-\text{O}-\text{CH}_2-$, $-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_2-$, $-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_3-$, $-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_4-$, $-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_5-$, $-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_6-$, $-(\text{CH}_2)_2-\text{S}-(\text{CH}_2)_2-$, $-(\text{CH}_2)_3-\text{S}-(\text{CH}_2)_2-$, $-\text{CH}_2-\text{S}-\text{CH}_2-$, $-\text{CH}_2-\text{S}-(\text{CH}_2)_4-$, $-\text{CH}_2-\text{N}(\text{CH}_3)-\text{CH}_2-$, $-\text{CH}_2-\text{NH}-(\text{CH}_2)_2-$, $-(\text{CH}_2)_2-\text{N}(\text{CH}_2\text{CH}_3)-(\text{CH}_2)_3-$, $-(\text{CH}_2)_2-1,4\text{-phenylene}-\text{CH}_2-$, $-(\text{CH}_2)_2-\text{O}-1,3\text{-phenylene}-\text{CH}_2-$, $-(\text{CH}_2)_2-\text{O}-1,2\text{-phenylene}-\text{CH}_2-$, $-(\text{CH}_2)_2-\text{O}-1,4\text{-phenylene}-\text{CH}_2-$, $-\text{CH}=\text{CH}-\text{S}-\text{CH}_2-$, $-\text{CH}=\text{CH}-\text{S}-1,3\text{-phenylene}-\text{CH}_2-$, $-\text{CH}=\text{CH}-\text{S}-1,3\text{-phenylene}-(\text{CH}_2)_2-$, 2-oxopropylene, 3-oxopentylene, 5-oxohexylene, vinylene, 1-propenylene, 2-propenylene, 1-butenylene, 2-butenylene, 3-bute-

nylene, 1,2-butadienylenes, 1,3-butadienylenes, 1-pentenylene, 2-pentenylene, 3-pentenylene, 4-pentenylene, 1,2-pentadienylenes, 1,3-pentadienylenes, 1,4-pentadienylenes, 2,3-pentadienylenes, 2,4-pentadienylenes, 1-hexenylenes, 2-hexenylenes, 3-hexenylenes, 4-hexenylenes, 5-hexenylenes, 1,2-hexadienylenes, 1,3-hexadienylenes, 1,4-hexadienylenes, 1,5-hexadienylenes, 2,3-hexadienylenes, 2,4-hexadienylenes, 2,5-hexadienylenes, 3,4-hexadienylenes, 3,5-hexadienylenes, 4,5-hexadienylenes, 1,1-dimethyl-4-hexenylenes, 1-heptenylenes, 2-heptenylenes, 3-heptenylenes, 4-heptenylenes, 5-heptenylenes, 2,2-dimethyl-5-heptenylenes, 6-heptenylenes, 1,2-heptadienylenes, 1,3-heptadienylenes, 1,4-heptadienylenes, 1,5-heptadienylenes, 1,6-heptadienylenes, 2,3-heptadienylenes, 2,4-heptadienylenes, 2,5-heptadienylenes, 2,6-heptadienylenes, 3,4-heptadienylenes, 3,5-heptadienylenes, 3,6-heptadienylenes, 4,5-heptadienylenes, 4,6-heptadienylenes or 5,6-heptadienylenes, 1-propynylene, 3-butyne, 2-pentyne, 5-hexyne, 6-heptyne, $-(CH_2)-CH=CH-O-(CH_2)_2-$, $-CH_2-S-(CH_2)_3-$, $-CH_2-cis-CH=CH-$, 1,2-phenylene- CH_2- , $-CH=CH-$, 1,4-phenylene- $(CH_2)_2-$, 4-oxo-4,5-hexenylenes, and the like.

The term "alkyl" means $C_1 - C_{20}$ straight or branched chain alkyl, for example, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, i-pentyl, neopentyl, t-pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl, icosyl, and the like.

The term "aryl" means $C_6 - C_{14}$ monocyclic or condensed ring, for example, phenyl, naphthyl (e.g., 1-naphthyl, 2-naphthyl), anthryl (e.g., 1-anthryl, 2-anthryl, 9-anthryl), phenanthryl (e.g., 2-phenanthryl, 3-phenanthryl, 9-phenanthryl), fluorenyl (e.g., 2-fluorenyl), and the like. Phenyl is especially preferred.

The term "aralkyl" means a group formed by substituting an alkyl as defined above with an aryl above at any substitutable positions on the alkyl. Examples include benzyl, phenethyl, phenylpropyl (e.g., 3-phenylpropyl), naphthylmethyl (e.g., α -naphthylmethyl), anthrylmethyl (e.g., 9-anthrylmethyl), phenanthrylmethyl (e.g., 3-phenanthrylmethyl), and the like.

The term "acyl" means $C_1 - C_9$ acyl derived from aliphatic carboxylic acid, for example, formyl, acetyl, propionyl, butyryl, valeryl, and the like.

The term "alkylsulfonyl" means a group formed by substituting a sulfonyl with an alkyl above, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, and the like.

The term "alkenyl" is $C_2 - C_{20}$ straight or branched chain alkenyl, which corresponds to an alkyl above containing one or more double bonds. Examples include vinyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1,2-butadienyl, 1-pentenyl, 1,2-pentadienyl, 2-hexenyl, 1,2-hexadienyl, 3-heptenyl, 1,5-heptadienyl, and the like.

The term "alkynyl" is $C_2 - C_{20}$ straight or branched chain, alkynyl, which corresponds to an alkyl above containing one or more triple bonds. Examples include ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne, and the like.

The term "heterocyclic group" means 5 - 7 membered cyclic group containing one or more hetero atoms selected independently from the group consisting of oxygen, sulfur and/or nitrogen atom on the ring, and is optionally condensed with a carbon ring or other heterocyclic group at any substitutable positions. Examples include pyrrolyl (e.g., 1-pyrrolyl, 3-pyrrolyl), indolyl (e.g., 2-indolyl, 3-indolyl, 6-indolyl), carbazoyl (e.g., 2-carbazoyl, 3-carbazoyl), imidazolyl (e.g., 1-imidazolyl, 4-imidazolyl), pyrazolyl (e.g., 1-pyrazolyl, 3-pyrazolyl), benzimidazolyl (e.g., 2-benzimidazolyl, 5-benzimidazolyl), indazolyl (e.g., 3-indazolyl), indolizynyl (e.g., 6-indolizynyl), pyridyl (e.g., 2-pyridyl, 3-pyridyl, 4-pyridyl), quinolyl (e.g., 8-quinolyl), isoquinolyl (e.g., 3-isoquinolyl), acridyl (e.g., 1-acridyl), phenanthrydyl (e.g., 2-phenanthrydyl, 3-phenanthrydyl), pyridazinyl (e.g., 3-pyridazinyl), pyrimidinyl (e.g., 4-pyrimidinyl), pyrazinyl (e.g., 2-pyrazinyl), cinnolinyl (e.g., 3-cinnolinyl), phthaladynyl (e.g., 5-phthaladynyl), quinazolinyl (e.g., 2-quinazolinyl), isoxazolyl (e.g., 3-isoxazolyl, 4-isoxazolyl), benzisoxazolyl (e.g., 1,2-benzisoxazol-4-yl, 2,1-benzisoxazol-3-yl), oxazolyl (e.g., 2-oxazolyl, 4-oxazolyl, 5-oxazolyl), benzoxazolyl (e.g., 2-benzoxazolyl), benzoxadiazolyl (e.g., 4-benzoxadiazolyl), isothiazolyl (e.g., 3-isothiazolyl, 4-isothiazolyl), benzisothiazolyl (e.g., 1,2-benzisothiazol-3-yl, 2,1-benzisothiazol-5-yl), thiazolyl (e.g., 2-thiazolyl), benzothiazolyl (e.g., 2-benzothiazolyl), thiadiazolyl (e.g., 1,2,3-thiadiazol-4-yl), oxadiazolyl (e.g., 1,3,4-oxadiazol-2-yl), dihydroxadiazolyl (e.g., 4,5-dihydro-1,2,4-oxadiazol-3-yl), furyl (e.g., 2-furyl, 3-furyl), benzofuryl (e.g., 3-benzofuryl), isobenzofuryl (e.g., 1-isobenzofuryl), thienyl (e.g., 2-thienyl, 3-thienyl), benzothienyl (1-benzothienyl-2-yl, 2-benzothienyl-1-yl), tetrazolyl (e.g., 5-tetrazolyl), benzodioxolyl (e.g., 1,3-benzodioxol-5-yl), dibenzofuryl (e.g., 2-dibenzofuryl, 3-dibenzofuryl), dibenzoxepinyl (e.g., dibenz[b,f]oxepin-2-yl), dihydrodibenzoxepinyl (e.g., dihydrodibenz[b,f]oxepin-2-yl, chromenyl (e.g., 2H-chromen-3-yl, 4H-chromen-2-yl), dibenzothiepinyl (e.g., dibenz[b,f]thiepin-3-yl, dihydrodibenz[b,f]thiepin-3-yl), morpholinyl (e.g., 1,4-morpholin-4-yl), phenothiadynyl (2-phenothiadynyl), cyclopentathienyl (e.g., cyclopenta[b]thiophen-3-yl), cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl), and the like.

The term "cycloalkyl" means $C_3 - C_8$ cyclic alkyl, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like.

The term "cycloalkenyl" means $C_3 - C_8$ cyclic alkenyl, for example, cyclopropenyl (e.g., 1-cyclopropenyl), cyclobutenyl (e.g., 2-cyclobuten-1-yl), cyclopentenyl (1-cyclopenten-1-yl), cyclohexenyl (1-cyclohexen-1-yl), and the like.

The term "alkoxy" means $C_1 - C_6$ alkoxy, for example, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, and the like.

Examples of the substituted amino in the definition of "substituted- or un-substituted-amino" include mono- or di-substituted amino such as methylamino, ethylamino, dimethylamino, cyclohexylamino, phenylamino, diphenylamino, or

cyclic amino such as piperidino, piperadino or morpholino.

The term "acyloxy" means an acyloxy derived from the "acyl" above, for example, acetyloxy, propionyloxy, butyryloxy, valeryloxy, and the like.

The term "halogen" means fluorine, chlorine, bromine and iodine.

5 The term "alkoxycarbonyl" means an alkoxycarbonyl group derived from the "alkoxy" above, for example, methoxycarbonyl, ethoxycarbonyl, phenyloxycarbonyl, and the like.

The term "aralkyloxycarbonyl" means an aralkyloxycarbonyl group derived from the "aralkyl" above, for example, benzyloxycarbonyl, phenethyloxycarbonyl, and the like.

10 The term "aryloxycarbonyl" means an aryloxycarbonyl group derived from the "aryl" above, for example, phenyloxycarbonyl, naphthyloxycarbonyl, and the like.

The term "alkenyloxy" means an alkenyloxy group derived from the "alkenyl" above, for example, vinyloxy, 1-propenyloxy, 2-butenyloxy, and the like.

The term "hydroxyalkyl" means a hydroxyalkyl group derived from the "alkyl" above, for example, hydroxymethyl, hydroxyethyl, hydroxypropyl, and the like.

15 The term "alkylthio" means an alkylthio group derived from the "alkyl" above, for example, methylthio, ethylthio, propylthio, and the like.

The term "alkylenedioxy" means C₁ - C₃ alkylenedioxy, for example, methylenedioxy, ethylenedioxy, propylenedioxy, and the like.

20 In the case of "phenylene", "naphthylene", "thiophenediyl", "indolediyl", "oxazolediyl", "oxadiazolediyl" and tetrazolediyl", the said group can bind to the neighboring groups at any two substitutable sites.

In the definitions above, when a substituent(s) is cyclic, it may be substituted by one to three substituents selected from nitro, alkoxy, sulfamoyl, substituted- or un-substituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy. The substituent(s) may bind to
25 any substitutable positions on the ring.

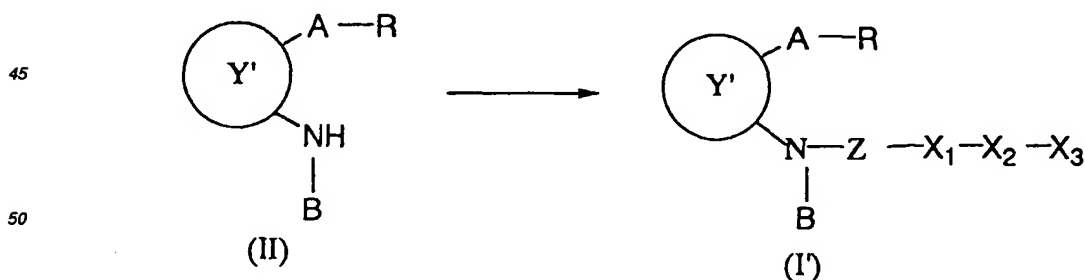
Examples of salts of the compound (I) include those formed with an alkali metal (e.g., lithium, sodium or potassium), an alkaline earth metal (e.g., calcium), an organic base (e.g., tromethamine, trimethylamine, triethylamine, 2-aminobutane, t-butylamine, diisopropylethylamine, n-butylmethylamine, cyclohexylamine, dicyclohexylamine, N-isopropylcyclohexylamine, furfurylamine, benzylamine, methylbenzylamine, dibenzylamine, N,N-dimethylbenzylamine, 2-chlorobenzylamine, 4-methoxybenzylamine, 1-naphthylenemethylamine, diphenylbenzylamine, triphenylamine, 1-naphthylamine, 1-aminoanthracene, 2-aminoanthracene, dehydroabiethylamine, N-methylmorpholine or pyridine), an amino acid (e.g., lysine, or arginine), and the like.

The term "hydrate" means a hydrate of the compound of the formula (I) or its salt. Examples include mono- and dihydrates.

35 The present compounds are shown by the formula (I) and are inclusive of the form of any types of stereoisomers (e.g., diastereomer, epimer, enantiomer) and racemic compounds.

Among the compounds of the general formula (I), those wherein m=1, especially, those shown in Tables 3b and 3c below are known compounds described in Japanese Patent Publication (KOKAI) No. 180862/1990.

40 Among the compounds of the general formula (I), those wherein m=0, [i.e., those shown by the general formula (I')], can be prepared by reacting an amino compound of the general formula (II) with a reactive derivative of sulfonic acid or carboxylic acid corresponding to the partial structure: Z-X₁-X₂-X₃ as shown below.



55 Wherein A, B, R, X₁, X₂, X₃, Y and Z are as defined above.

A sulfonic acid corresponding to the partial structure: Z-X₁-X₂-X₃ is a compound of the general formula X₃-X₂-X₁-SO₂OH and a carboxylic acid corresponding to the said partial structure is a compound of the general formula X₃-X₂-X₁-COOH. Reactive derivative of these sulfonic or carboxylic acids means a corresponding halide (e.g., chloride, bro-

mide, iodide), acid anhydride (e.g., mixed acid anhydride with formic acid or acetic acid), active ester (e.g., succinimide ester), and examples thereof generally include acylating agents used for the acylation of amino group. The carboxylic acid $X_3-X_2-X_1-COOH$ can be used in the reaction as it is without converting into a reactive derivative, in the presence of a condensing agent (e.g., dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, N,N'-carbonyldiimidazole) which are used in the condensing reaction between amine and carboxylic acid.

The reaction can be conducted under the conditions generally used for the acylation of amino groups. For example, in the case of condensation using an acid halide, the reaction is carried out using a solvent such as an ether solvent (e.g., diethylether, tetrahydrofuran, dioxane), benzene solvent (e.g., benzene, toluene, xylene), halogenated hydrocarbon solvent (e.g., dichloromethane, dichloroethane, chloroform), ethyl acetate, dimethylformamide, dimethyl sulfoxide, acetonitrile, or the like, if necessary, in the presence of a base (e.g., organic base such as triethylamine, pyridine, N,N-dimethylaminopyridine, N-methylmorpholine; inorganic base such as sodium hydroxide, potassium hydroxide, potassium carbonate, or the like) under cooling, at room temperature or under heating, preferably at temperature ranging from $-20^{\circ}C$ to a temperature under cooling, or from room temperature to a refluxing temperature of the reaction system, for several min to several hr, preferably for 0.5 hr to 24 hr, more preferably, for 1 hr to 12 hr.

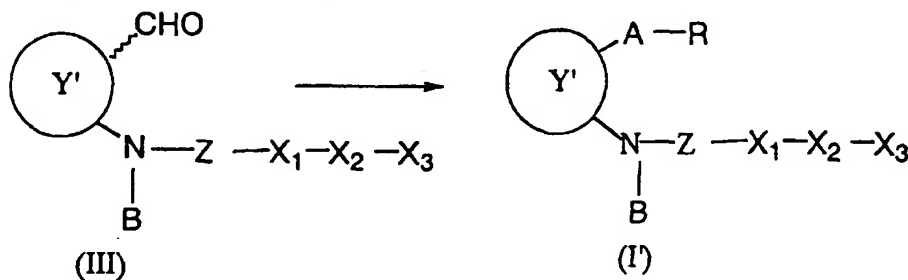
The reaction conditions for the reaction between other reactive derivative or a free acid and an amine (II) can be determined in a conventional manner depending on the characteristics of the respective reactive derivative or free acid.

The reaction product can be purified by conventional purification methods, for example, the extraction with a solvent, chromatography, recrystallization, or the like.

Specific examples of the compound (I) as a starting material for the present method are as follows. Examples of 3-amino[2.2.1]bicyclic compound include 7-(3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-2,2-dimethyl-5-heptenoic acid, 7-(N-methyl-3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 6-(3-aminobicyclo[2.2.1]hept-2-yl)-5-hexenoic acid. Specific examples of 2-amino-6,6-dimethyl[3.1.1]bicyclic compound include 7-(2-amino-6,6-dimethylbicyclo[3.1.1]hept-3-yl)-5-heptenoic acid. In these starting compounds, the heptenoic acid chain may be saturated to form heptanoic acid chain, intervened by a hetero atom(s) or a hetero group(s) such as -O-, -S-, -NH-, or a phenylene(s), or substituted with an oxo group. Examples of such compounds include 7-(3-aminobicyclo[2.2.1]hept-2-yl)heptanoic acid, 4-[2-(2-aminobicyclo[3.1.1]hept-3-yl)ethoxyphenyl]acetic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-6-oxo-heptanoic acid. These starting compounds are either described in the Japanese Patent Publication (KOKOKU) No. 79060/1993 or 23170/1991, or can be prepared according to the method described therein.

Sulfonic acid $X_3-X_2-X_1-SO_2OH$ and carboxylic acid $X_3-X_2-X_1-COOH$ corresponding to the partial structure $Z-X_1-X_2-X_3$ mean a sulfonic acid or carboxylic acid having substituents corresponding to the X s above. That is, examples include alkane-sulfonic acid or -carboxylic acid, alkene-sulfonic acid or -carboxylic acid, alkyne-sulfonic acid or -carboxylic acid, cycloalkane-sulfonic acid or -carboxylic acid, cycloalkene-sulfonic acid or -carboxylic acid, aryl-sulfonic acid or -carboxylic acid, aralkyloxy-sulfonic acid or -carboxylic acid, heterocyclic-substituted-sulfonic acid or -carboxylic acid, heteroaralkyl-sulfonic acid or -carboxylic acid, and substituted-amino-sulfonic acid or -carboxylic acid. Each of sulfonic and carboxylic acids may have a substituent(s) above. These sulfonic acids and carboxylic acids are commercially available or can be easily synthesized from a known compound(s) in accordance with a known method. Upon reaction, the sulfonic or carboxylic acid can be converted into the corresponding reactive derivative above, if necessary. For example, when an acid halide is needed, the compound is reacted with thionyl halide (e.g., thionyl chloride), phosphorous halide (e.g., phosphorous trichloride, phosphorous pentachloride) or oxalyl halide (e.g., oxalyl chloride) in accordance with a known method such as those described in the literature (e.g., Shin-Jikken-Kagaku-Koza, vol. 14, pp. 1787 (1978); Synthesis, 852-854 (1986); Shin-Jikken-Kagaku-Koza, vol. 22, pp. 115 (1992)). The other reactive derivatives can also be prepared in accordance with known methods.

Among the objective compounds (I), those wherein the side chain A contains an unsaturated bond, especially a double bond, can also be prepared by reacting an aldehyde derivative of the general formula (III) below with an ylide compound corresponding to the rest of the side chain A-R under the conditions of the Wittig reaction:



wherein A, B, R, X₁, X₂, X₃, Y and Z are as defined above.

The starting compound (III) can be prepared in accordance with a method described in, for example, Japanese Patent Publication (KOKAI) No. 256650/1990. Further, an ylide compound corresponding to the rest of the side chain A-R can be synthesized by reacting triphenylphosphine with a corresponding halogenated alkanic acid, or an ester derivative, ether derivative or amide derivative thereof in the presence of a base according to a known method.

Among the objective compounds (I), those wherein R is COOH can be converted into a corresponding ester derivative, alcohol derivative, ether derivative, amide derivative, if desired. For example, ester derivatives can be prepared by esterifying a carboxylic acid in a conventional manner. An ester derivative, when reduced, gives an alcohol derivative, and amidated, gives an amide derivative. An ether derivative can be obtained by O-alkylating an alcohol derivative.

The compound (I) of the present invention shows antagonistic effect against PGD₂ in vitro through the binding to PGD₂ receptor, and is useful as a drug for treating diseases in which mast cell dysfunction due to excessive production of PGD₂ is involved. For example, the compound (I) is useful as a drug for treating diseases, such as systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation. The compound (I) shows preventive effect on nasal occlusion in vivo, and therefore is especially useful as a drug for treating that.

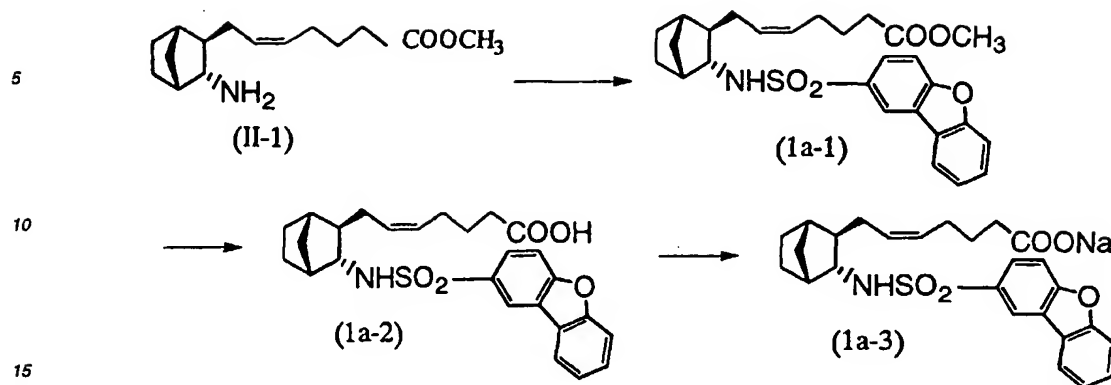
When using a compound (I) of the present invention in treatment, it can be formulated into ordinary formulations for oral and parenteral administration. A pharmaceutical composition containing a compound (I) of the present invention can be in the form for oral and parenteral administration. Specifically, it can be formulated into formulations for oral administration such as tablets, capsules, granules, powders, syrup, and the like; those for parenteral administration such as injectable solutions or suspensions for intravenous, intramuscular or subcutaneous injection, inhalant, eye drops, nasal drops, suppositories, or percutaneous formulations such as ointments.

In preparing the formulations, carriers, excipients, solvents, and bases known to one ordinary skilled in the art may be used. In case of tablets, they are prepared by compressing or formulating an active ingredient together with auxiliary components. Examples of usable auxiliary components include pharmaceutically acceptable excipients such as binders (e.g., cornstarch), fillers (e.g., lactose, microcrystalline cellulose), disintegrants (e.g., starch sodium glycolate) or lubricants (e.g., magnesium stearate). Tablets may be coated appropriately. In the case of liquid formulations such as syrups, solutions, or suspensions, they may contain suspending agents (e.g., methyl cellulose), emulsifiers (e.g., lecithin), preservatives, and the like. In the case of injectable formulations, it may be in the form of solution or suspension, or oily or aqueous emulsion, which may contain suspension-stabilizing agent or dispensing agent, and the like. In the case of an inhalant, it is formulated into a liquid formulation applicable to an inhaler. In the case of eye drops, it is formulated into a solution or a suspension. Especially, in the case of nasal drug for treating nasal occlusion, it can be used as a solution or suspension prepared by a conventional formulating method, or as a powder formulated using a powdering agent (e.g., hydroxypropyl cellulose, carbopole), which are administered into the nasal cavity. Alternatively, it can be used as an aerosol after filling into a special container together with a solvent of low boiling point.

Although an appropriate dosage of the compound (I) varies depending on the administration route, age, body weight, sex, or condition of the patient, and the kind of drug(s) used together, if any, and should be determined by the physician in the end, in the case of oral administration, the daily dosage can generally be between about 0.01 - 100 mg, preferably about 0.01 - 10 mg, more preferably about 0.1 - 10 mg, per kg body weight. In the case of parenteral administration, the daily dosage can generally be between about 0.001 - 100 mg, preferably about 0.001 - 1 mg, more preferably about 0.01 - 1 mg, per kg body weight. The daily dosage can be administered in 1 - 4 divisions.

The following Examples are provided to further illustrate the present invention and are not to be construed as limiting the scope thereof.

Example 1



20 Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (II-1) (251 mg, 1.00 mmol) was dissolved in methylene chloride (8 ml) and triethylamine (0.238 ml, 2.00 mmol) was added thereto under a nitrogen atmosphere. To the mixture was added 2-chlorosulfonyldibenzofuran (350 mg, 1.31 mmol) under ice-cooling, and the mixture was stirred for 30 min and allowed to warm up to room temperature. The reaction mixture was purified by column chromatography on silica gel (n-hexane/ethyl acetate (1:4)) and recrystallized from n-hexane (10 ml) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (342 mg, 0.710 mmol). Yield 71 %, mp 115-116 °C.

30

Elemental analysis (C ₂₇ H ₃₁ NO ₅ S)				
Calcd. (%):	C, 67.34;	H, 6.49;	N, 2.91;	S, 6.66
Found (%):	C, 67.16;	H, 6.47 ;	N, 2.99;	S, 6.66

35 IR(CHCl₃): 3382, 3024, 2952, 2874, 1726, 1583, 1465, 1442, 1319, 1245, 1154, 1121, 1104, 1071, 1019, 890, 840, 817 /cm.

40 ¹H NMR(CDCl₃)δ: 0.94-1.92(14H,m), 2.15-2.24(3H,m), 2.99-3.07(1H,m), 3.66(3H,s), 4.98(1H,d,J=6.6Hz), 5.10-5.22(2H,m), 7.39-7.46(1H,m), 7.51-7.70(3H,m), 7.87-8.13(2H,m), 8.53(1H,d,J=2.1Hz)
[α]_D²⁰=0.6° (CHCl₃, c=1.01%, 23°C).
[α]₃₆₅²⁰=+37.0° (CHCl₃, c=1.01%, 23°C).

45 Methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (234 mg, 0.50 mmol) was dissolved in methanol (6 ml)/tetrahydrofuran (4 ml). To the solution was added 1 N potassium hydroxide (1.50 ml, 1.50 mmol) under ice-cooling. After the reaction mixture was warmed up to room temperature, it was allowed to react for 16 hr and concentrated to remove the solvent. To the residue were added ethyl acetate (50 ml) and water (10 ml), and then 1 N HCl (2.00 ml, 2.00 mmol), and the organic layer was separated. The organic layer was washed with saturated brine, dried over anhydrous sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (n-hexane/ethyl acetate (1:1) containing 0.2 % acetic acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2) (203 mg, 0.434 mmol). Yield 87 %, oil.

55 IR (CHCl₃): 3266, 3026, 2952, 2874, 1708, 1465, 1443, 1423, 1319, 1267, 1245, 1153, 1121, 1104, 1072, 906 /cm.
¹H NMR(CDCl₃)δ: 0.93-1.94(14H,m), 2.12-2.19(1H,m), 2.26(2H,t, J=7.2Hz), 3.00-3.08(1H,m), 5.12-5.25(2H,m), 5.26(1H,d,J=6.6Hz), 7.38-7.45(1H,m), 7.51-7.70(3H,m), 7.87-8.13(2H,m), 8.54(1H, d, J=2.1Hz).
[α]_D²⁰=+6.8° (CHCl₃, c=1.08 %, 23 °C).

(Z)-7-[(1S,2R,3R,4R)-3-(2-Dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2) (453 mg, 0.97 mmol) was dissolved in methanol (5 ml). After addition of 1 N sodium methoxide/methanol (1.034 N, 0.937 ml, 0.97 mmol), the mixture was allowed to warm up to room temperature and to react for 1 hr. The solvent was removed by distillation to yield the sodium salt (1a-3) (457 mg, 0.933 mmol). Yield 96 %. Amorphous powder.

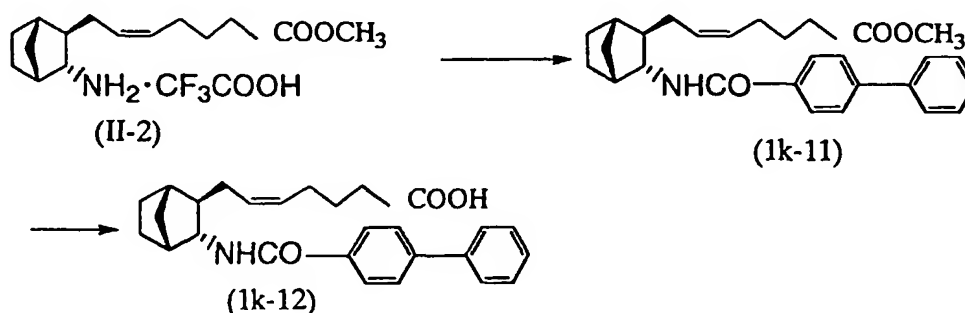
Elemental analysis (C ₂₆ H ₂₈ NO ₅ Na 0.6H ₂ O)					
Calcd.(%) :	C,62.41;	H,5.88;	N,2.80;	S,6.41;	Na,4.59
Found (%) :	C,62.45;	H,5.92;	N,2.99;	S,6.49;	Na,4.46

IR (KBr) : 434, 3280, 3074, 3007, 2952, 2873, 1566, 1467, 1444, 1417, 1344, 1315, 1270, 1248, 1200, 1189, 1154, 1124, 1107, 1075, 1058, 895, 842, 818 /cm.

¹H NMR(CD₃OD)δ: 1.02-2.05(16H, m), 2.16-2.23(1H, m), 2.94-3.00(1H, m), 4.98-5.05(2H, m), 7.41-7.48(1H, m), 7.53-7.62(1H, m), 7.66(1H, d, J=8.4Hz), 7.77(1H, d, J=8.4Hz), 8.57(1H, d, J=2.1Hz).

[α]_D²⁰ = -15.2° (CH₃OH, c=1.07%, 22°C).

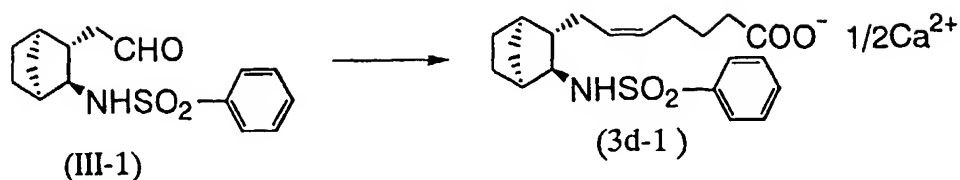
Example 2



Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate trifluoroacetate (II-2) (232 mg, 0.636 mmol), which was prepared by the method described in Reference Example 4 of the Japanese Patent Publication (KOKOKU) No. 79060/1993, was dissolved in methylene chloride (5 ml). To the solution were added triethylamine (0.279 ml, 2.00 mmol) and 4-biphenylcarbonyl chloride under ice-cooling and stirred for 7 hr at the same temperature. The reaction mixture was purified by column chromatography on silica gel (ethyl acetate/n-hexane (1:4)) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(4-biphenyl)carbonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1k-11) (221 mg, 0.512 mmol). The compound (1k-11) (190 mg, 0.440 mmol) was dissolved in methanol (6 ml). To the solution was added 1 N KOH (1.10 ml, 1.10 mmol) under ice-cooling and stirred for 15 hr at room temperature. The reaction mixture was concentrated in vacuo. The residue, after the addition of water (20 ml) and 1 N HCl (2 ml), was extracted with ethyl acetate. The organic layer was washed with saturated brine, dried over anhydrous sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (ethyl acetate/hexane (1:1) containing 0.3 % acetic acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(4-biphenyl)carbonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1k-12) (172 mg, 0.412 mmol). Yield 94 %.

The following compounds can also be prepared in the following manner.

Example 3



To a suspension of 4-carboxybutyltriphenylphosphonium bromide (14.8 g, 33.3 mmol) and tetrahydrofuran (80 ml) was added potassium t-butyrate (7.55 g, 67.3 mmol) at room temperature under a nitrogen atmosphere. After stirring for 1 hr at room temperature, the mixture was cooled to -20°C and a solution of N-[(1S,2S,3S,4R)-3-formylmethylbicyclo[2.2.1]hept-2-yl]benzenesulfonamide (III-1) (Japanese Patent Publication (KOKAI) No. 256650/1990, Reference Example 2) (3.25 g, 11.1 mmol) in tetrahydrofuran (20 ml) was added slowly. After stirring for about 1 hr at -20 °C, the ice bath was removed and the mixture was further stirred for 1 hr. To the reaction solution was added 2 N HCl and the mixture was extracted with ethyl acetate, washed with water and brine, and concentrated. After the addition of toluene and 1 N sodium hydroxide to the resultant crude product, aqueous layer was separated. The organic layer was washed with water again and the washing was combined with the previously obtained aqueous layer. After the addition of 2 N HCl, the aqueous solution was extracted with ethyl acetate. The extract was washed with water and brine, dried over sodium sulfate, and concentrated. The residue was purified by column chromatography on silica gel to obtain calcium (Z)-7-[(1R,2S,3S,4S)-3-phenylsulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1d-1) (3.29 g, yield 79 %, mp 62°C).

Elemental analysis (C ₂₀ H ₂₇ NO ₄ S)				
Calcd.(%) :	C, 63.63;	H, 7.21;	N, 3.71;	S, 8.49
Found (%) :	C, 63.56;	H, 7.21;	N, 3.83;	S, 8.43

$[\alpha]_D^{25} = +5.3 \pm 0.5^\circ$ (CHCl₃, c=1.003 %, 22°C)

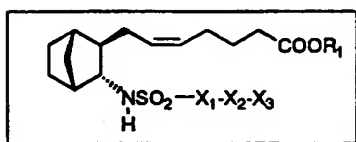
$[\alpha]_D^{25} = +27.1 \pm 0.7^\circ$ (MeOH, c=1.015 % 24 °C)

IR(Nujol) 3282, 3260, 3300, 2400, 1708, 1268, 1248, 1202, 1162, 1153, 1095, 1076/cm.

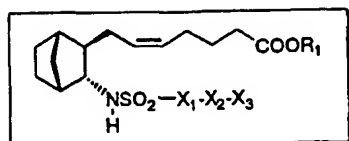
¹H NMR δ 0.88-2.10(m,14H), 2.14(br s, 1H), 2.34(t, J=7.2Hz, 2H), 2.95-3.07(m, 1H), 5.13-5.35(m, 3H), 7.45-7.64(m, 3H), 7.85-7.94(m, 2H), 9.52(brs, 1H).

Compounds prepared in accordance with a method described in Examples above are shown in Tables below.

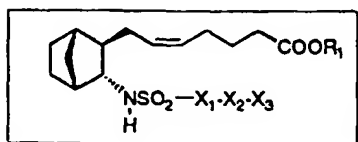
Table 1a



No.	R ₁	X ₁ -X ₂ -X ₃
1a-1	CH ₃	
1a-2	H	
1a-3	Na	
1a-4	CH ₃	
1a-5	H	
1a-6	CH ₃	
1a-7	H	
1a-8	CH ₃	
1a-9	H	
1a-10	CH ₃	
1a-11	H	
1a-12	CH ₃	
1a-13	H	
1a-14	CH ₃	
1a-15	H	
1a-16	CH ₃	
1a-17	H	
1a-18	CH ₃	
1a-19	H	
1a-20	CH ₃	
1a-21	H	
1a-22	H	
1a-23	H	



No.	R ₁	X ₁ --X ₂ --X ₃
1a-24	CH ₃	
1a-25	H	
1a-26	Na	
1a-27	CH ₃	
1a-28	H	
1a-29	Na	
1a-30	CH ₃	
1a-31	H	
1a-32	CH ₃	
1a-33	H	
1a-34	CH ₃	
1a-35	CH ₃	
1a-36	H	
1a-37	CH ₃	
1a-38	H	
1a-39	CH ₃	
1a-40	H	
1a-41	H	
1a-42	CH ₃	
1a-43	H	
1a-44	CH ₃	
1a-45	H	



5

10

15

20

25

30

35

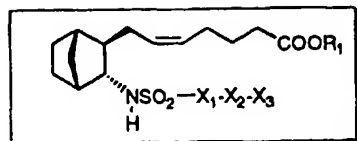
40

45

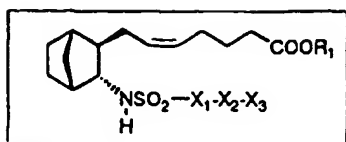
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
1a-46	CH ₃	
1a-47	H	
1a-48	Na	
1a-49	CH ₃	
1a-50	H	
1a-51	CH ₃	
1a-52	H	
1a-53	CH ₃	
1a-54	H	
1a-55	CH ₃	
1a-56	H	
1a-57	CH ₃	
1a-58	H	
1a-59	CH ₃	
1a-60	H	
1a-61	CH ₃	
1a-62	H	
1a-63	CH ₃	
1a-64	H	
1a-65	CH ₃	
1a-66	H	
1a-67	CH ₃	
1a-68	H	



No.	R_1	$X_1-X_2-X_3$
1a-69	CH_3	
1a-70	H	
1a-71	CH_3	
1a-72	H	
1a-73	CH_3	
1a-74	H	
1a-75	CH_3	
1a-76	H	
1a-77	CH_3	
1a-78	H	
1a-79	H	
1a-80	CH_3	
1a-81	H	
1a-82	CH_3	
1a-83	H	
1a-84	H	
1a-85	H	
1a-86	H	
1a-87	H	



5

10

15

20

25

30

35

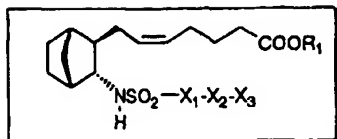
40

45

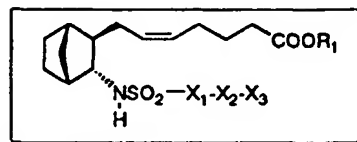
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
1a-88	CH ₃	
1a-89	H	
1a-90	CH ₃	
1a-91	H	
1a-92	CH ₃	
1a-93	H	
1a-94	H	
1a-95	H	
1a-96	H	
1a-97	H	
1a-98	H	
1a-99	Na	



No.	R ₁	X ₁ -X ₂ -X ₃
1a-100	CH ₃	
1a-101	H	
1a-102	CH ₃	
1a-103	CH ₃	
1a-104	H	
1a-105	CH ₃	
1a-106	H	
1a-107	CH ₃	
1a-108	H	
1a-109	CH ₃	
1a-110	H	
1a-111	CH ₃	
1a-112	H	
1a-113	CH ₃	
1a-114	H	



5

10

15

20

25

30

35

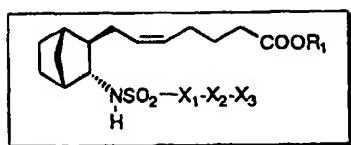
40

45

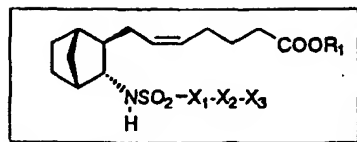
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
1a-115	CH ₃	
1a-116	H	
1a-117	Na	
1a-118	i-Pr	
1a-119	CH ₃	
1a-120	Na	
1a-121	H	
1a-122	CH ₃	
1a-123	H	
1a-124	CH ₃	
1a-125	CH ₃	
1a-126	H	
1a-127	CH ₃	
1a-128	H	
1a-129	CH ₃	
1a-130	CH ₃	
1a-131	H	
1a-132	CH ₃	
1a-133	H	
1a-134	H	
1a-135	CH ₃	
1a-136	H	
1a-137	CH ₃	
1a-138	H	
1a-139	CH ₃	
1a-140	H	



No.	R ₁	X ₁ -X ₂ -X ₃
1a-141 1a-142	CH ₃ H	
1a-143	H	
1a-144	H	
1a-145	H	
1a-146	H	
1a-147	H	
1a-148	H	
1a-149	H	
1a-150	H	
1a-151	H	



5

10

15

20

25

30

35

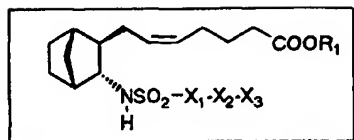
40

45

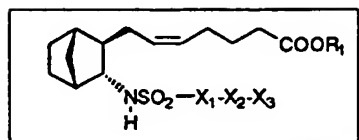
50

No.	R ₁	X ₁ -X ₂ -X ₃
1a-152	H	
1a-153	H	
1a-154	H	
1a-155	H	
1a-156	H	
1a-157	H	
1a-158	H	
1a-159	H	
1a-160	H	

55



No.	R ₁	X ₁ -X ₂ -X ₃
1a-161	H	
1a-162	H	
1a-163	H	
1a-164	H	
1a-165	H	
1a-166	H	
1a-167	H	
1a-168	H	
1a-169	H	
1a-170	H	
1a-171	CH ₃	
1a-172	H	



5

10

15

20

25

30

35

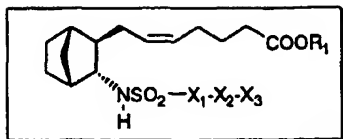
40

45

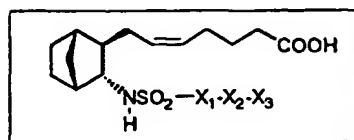
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
1a-173	H	
1a-174	H	
1a-175	CH ₃	
1a-176	H	
1a-177	CH ₃	
1a-178	H	
1a-179	CH ₃	
1a-180	H	
1a-181	H	
1a-182	CH ₃	
1a-183	H	



No.	R ₁	X ₁ -X ₂ -X ₃
1a-184	H	
1a-185	H	
1a-186	CH ₃	
1a-187	H	
1a-188	CH ₃	
1a-189	H	
1a-190	CH ₃	
1a-191	H	
1a-192	CH ₃	
1a-193	H	



5

10

15

20

25

30

35

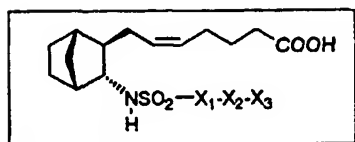
40

45

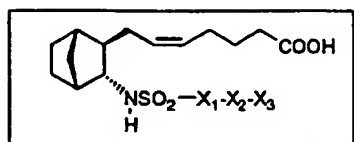
50

55

No.	$\text{X}_1\text{---X}_2\text{---X}_3$
1a-194	
1a-195	
1a-196	
1a-197	
1a-198	
1a-199	
1a-200	
1a-201	
1a-202	
1a-203	



No.	$\text{X}_1\text{--X}_2\text{--X}_3$
1a-204	
1a-205	
1a-206	
1a-207	
1a-208	
1a-209	
1a-210	
1a-211	
1a-212	
1a-213	



5

10

15

20

25

30

35

40

45

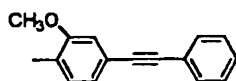
50

55

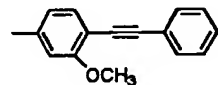
No.

X₁-X₂-X₃

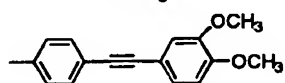
1a-214



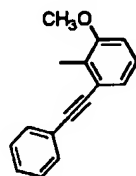
1a-215



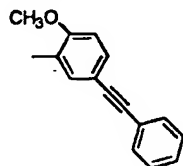
1a-216



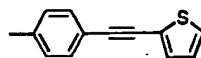
1a-217



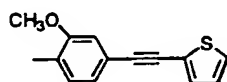
1a-218



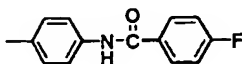
1a-219



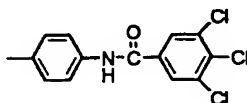
1a-220



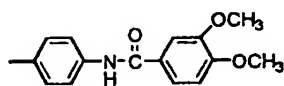
1a-221

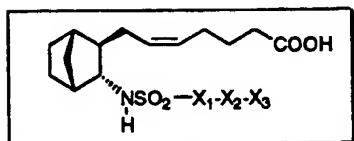


1a-222



1a-223

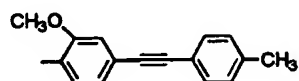




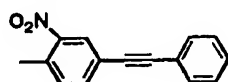
No.

 $X_1-X_2-X_3$

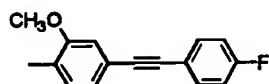
1a-224



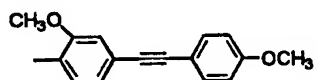
1a-225



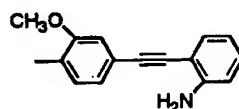
1a-226



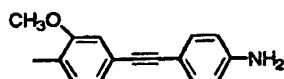
1a-227



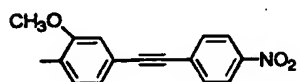
1a-228



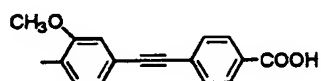
1a-229



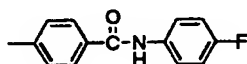
1a-230



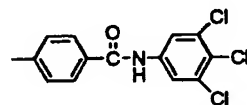
1a-231



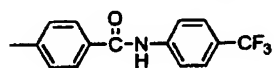
1a-232



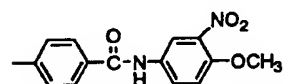
1a-233

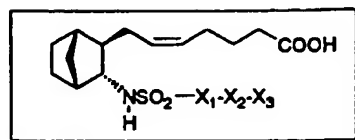


1a-234



1a-235





5

10

15

20

25

30

35

40

45

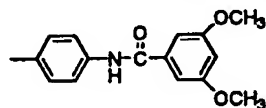
50

55

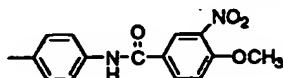
No.

 $X_1-X_2-X_3$

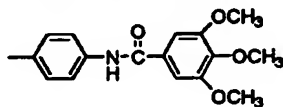
1a-236



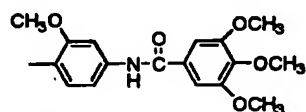
1a-237



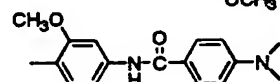
1a-238



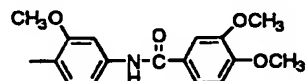
1a-239



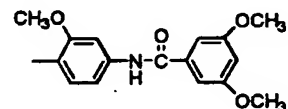
1a-240



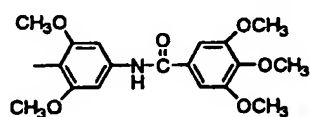
1a-241



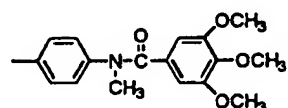
1a-242



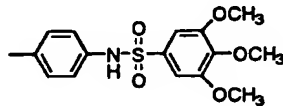
1a-243



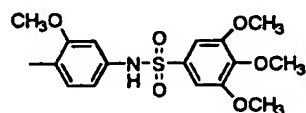
1a-244

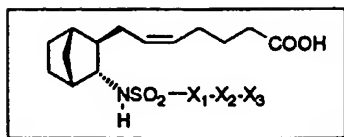


1a-245



1a-246

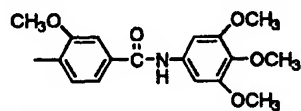




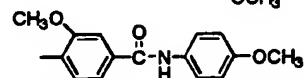
No.

 $\text{X}_1\text{-X}_2\text{-X}_3$

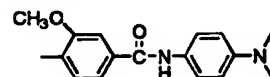
1a-247



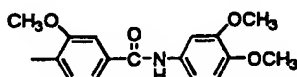
1a-248



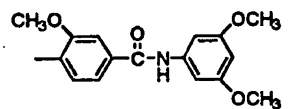
1a-249



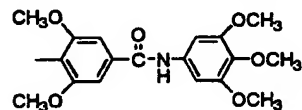
1a-250



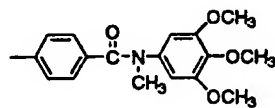
1a-251



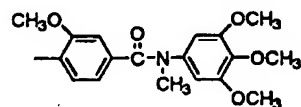
1a-252



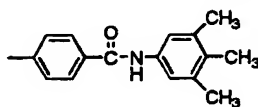
1a-253



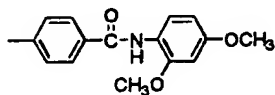
1a-254



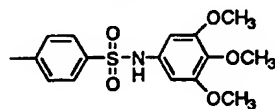
1a-255

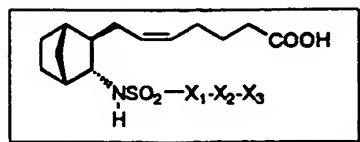


1a-256



1a-257





5

10

15

20

25

30

35

40

45

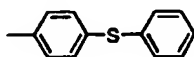
50

55

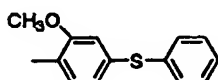
No.

 $\text{X}_1\text{-X}_2\text{-X}_3$

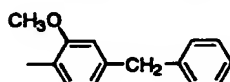
1a-258



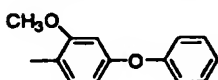
1a-259



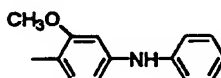
1a-260



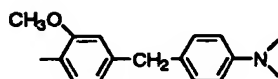
1a-261



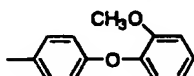
1a-262



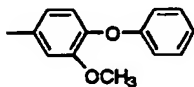
1a-263



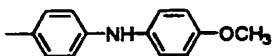
1a-264



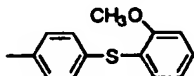
1a-265



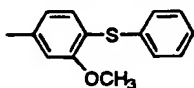
1a-266



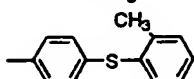
1a-267



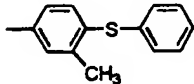
1a-268



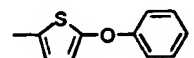
1a-269

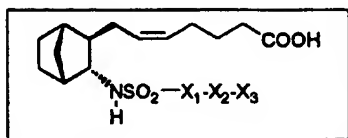


1a-270

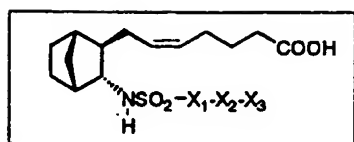


1a-271





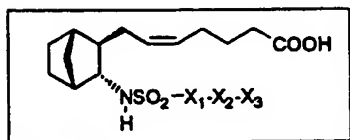
No.	X ₁ -X ₂ -X ₃
1a-272	
1a-273	
1a-274	
1a-275	
1a-276	
1a-277	
1a-278	
1a-279	
1a-280	
1a-281	
1a-282	
1a-283	



10

No.	$\text{X}_1\text{-X}_2\text{-X}_3$
1a-284	
1a-285	
1a-286	
1a-287	
1a-288	
1a-289	
1a-290	
1a-291	
1a-292	
1a-293	
1a-294	

55

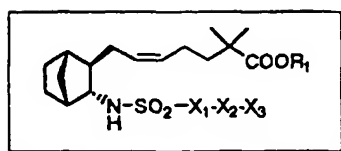


10

No.	$\text{X}_1\text{-X}_2\text{-X}_3$
1a-295	
1a-296	
1a-297	
1a-298	
1a-299	
1a-300	
1a-301	
1a-302	
1a-303	
1a-304	
1a-305	

55

Table 1b



5

10

15

20

25

30

35

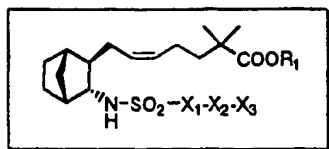
40

45

50

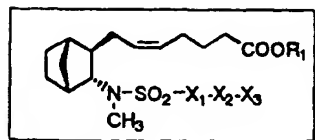
55

No.	R ₁	X ₁ -X ₂ -X ₃
1b-1	CH ₃	
1b-2	CH ₃	
1b-3	H	
1b-4	H	
1b-5	H	
1b-6	H	
1b-7	H	
1b-8	H	
1b-9	H	
1b-10	H	



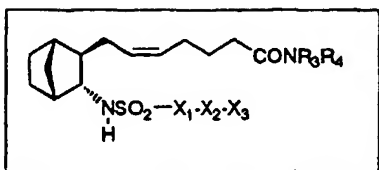
No.	R ₁	X ₁ -X ₂ -X ₃
1b-11	H	
1b-12	H	
1b-13	H	
1b-14	H	
1b-15	H	

Table 1c

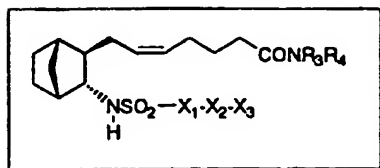


No.	R ₁	X ₁ -X ₂ -X ₃
1c-1	CH ₃	
1c-2	CH ₃	
1c-3	K	
1c-4	H	
1c-5	H	
1c-6	H	
1c-7	H	
1c-8	H	
1c-9	H	
1c-10	H	
1c-11	H	
1c-12	H	

Table 1d



No.	R ₃	R ₄	X ₁ ·X ₂ ·X ₃
1d-1	H	SO ₂ CH ₃	
1d-2	H	H	
1d-3	H	OH	
1d-4	H	SO ₂ CH ₃	
1d-5	H	SO ₂ CH ₃	
1d-6	H	SO ₂ CH ₃	
1d-7	H	SO ₂ CH ₃	
1d-8	H	SO ₂ CH ₃	
1d-9	H	SO ₂ CH ₃	
1d-10	H	SO ₂ CH ₃	



5

10

15

20

25

30

35

40

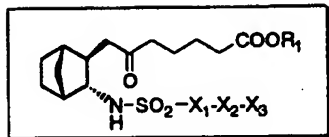
45

50

55

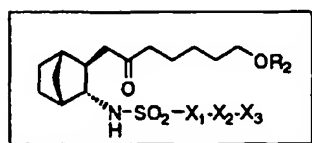
No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
1d-11	H	SO ₂ CH ₃	
1d-12	H	SO ₂ CH ₃	
1d-13	H	SO ₂ CH ₃	
1d-14	H	SO ₂ CH ₃	
1d-15	H	SO ₂ CH ₃	

Table 1e



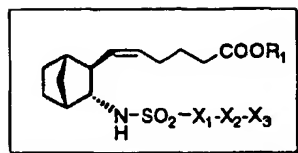
No.	R ₁	X ₁ -X ₂ -X ₃
1e-1	H	
1e-2	H	
1e-3	H	
1e-4	H	
1e-5	H	
1e-6	H	
1e-7	H	
1e-8	H	
1e-9	H	
1e-10	H	

Table 1f



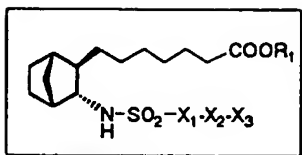
No.	R ₂	X ₁ -X ₂ -X ₃
1f-1	H	
1f-2	H	
1f-3	H	
1f-4	H	
1f-5	H	
1f-6	H	
1f-7	H	
1f-8	H	
1f-9	H	
1f-10	H	

Table 1g



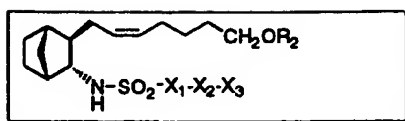
No.	R ₁	X ₁ -X ₂ -X ₃
1g-1	H	
1g-2	H	
1g-3	H	
1g-4	H	
1g-5	H	
1g-6	H	
1g-7	H	
1g-8	H	
1g-9	H	
1g-10	H	
1g-11	H	

Table 1b



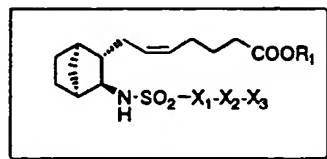
No.	R ₁	X ₁ -X ₂ -X ₃
1h-1	H	
1h-2	H	
1h-3	H	
1h-4	H	
1h-5	H	
1h-6	H	
1h-7	H	
1h-8	H	
1h-9	H	
1h-10	H	

Table 1i

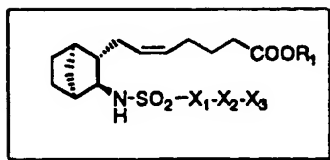


No.	R ₂	X ₁ -X ₂ -X ₃
1i-1	H	
1i-2	H	
1i-3	H	
1i-4	H	
1i-5	H	
1i-6	H	
1i-7	H	
1i-8	H	
1i-9	H	
1i-10	H	
1i-11	H	
1i-12	H	

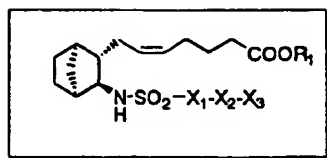
Table 1j



No.	R ₁	X ₁ -X ₂ -X ₃
1j-1	CH ₃	
1j-2	H	
1j-3	Na	
1j-4	H	
1j-5	CH ₃	
1j-6	CH ₃	
1j-7	H	
1j-8	CH ₃	
1j-9	CH ₃	
1j-10	H	
1j-11	CH ₃	
1j-12	H	
1j-13	CH ₃	
1j-14	H	
1j-15	CH ₃	
1j-16	H	



No.	R ₁	X ₁ -X ₂ -X ₃
1j-17	H	
1j-18	CH ₃	
1j-19	H	
1j-20	CH ₃	
1j-21	H	
1j-22	H	
1j-23	CH ₃	
1j-24	H	
1j-25	CH ₃	
1j-26	H	
1j-27	H	
1j-28	CH ₃	
1j-29	H	



5

10

15

20

25

30

35

40

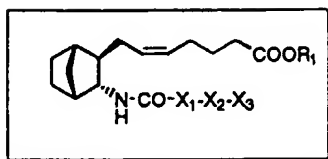
45

50

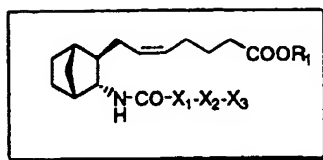
55

No.	R ₁	X ₁ -X ₂ -X ₃
1j-30	H	
1j-31	H	
1j-32	H	
1j-33	H	
1j-34	H	
1j-35	H	
1j-36	H	
1j-37	H	
1j-38	H	

Table 1k



No.	R ₁	X ₁ -X ₂ -X ₃
1k-1	H	
1k-2	CH ₃	
1k-3	H	
1k-4	H	
1k-5	H	
1k-6	H	
1k-7	H	
1k-8	H	
1k-9	H	
1k-10	H	
1k-11	CH ₃	
1k-12	H	



5

10

15

20

25

30

35

40

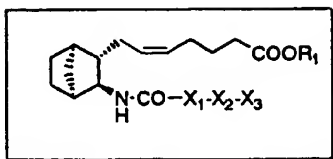
45

50

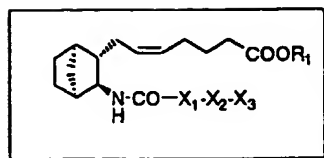
55

No.	R_1	$X_1-X_2-X_3$
1k-13	H	
1k-14	H	
1k-15	H	
1k-16	H	
1k-17	H	
1k-18	H	
1k-19	H	
1k-20	H	

Table 1m



No.	R ₁	X ₁ -X ₂ -X ₃
1m-1	CH ₃	
1m-2	H	
1m-3	CH ₃	
1m-4	H	
1m-5	CH ₃	
1m-6	H	
1m-7	CH ₃	
1m-8	H	
1m-9	CH ₃	
1m-10	H	
1m-11	CH ₃	
1m-12	H	
1m-13	CH ₃	
1m-14	H	
1m-15	CH ₃	
1m-16	H	
1m-17	CH ₃	
1m-18	H	



5

10

15

20

25

30

35

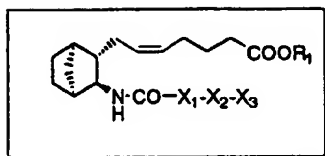
40

45

50

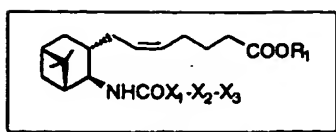
55

No.	R ₁	X ₁ -X ₂ -X ₃
1m-19	CH ₃	
1m-20	H	
1m-21	H	
1m-22	H	
1m-23	CH ₃	
1m-24	H	
1m-25	CH ₃	
1m-26	H	
1m-27	CH ₃	
1m-28	H	
1m-29	CH ₃	
1m-30	H	
1m-31	H	
1m-32	H	
1m-33	H	



No.	R ₁	X ₁ -X ₂ -X ₃
1m-34	H	
1m-35	H	
1m-36	H	
1m-37	H	
1m-38	H	
1m-39	H	
1m-40	H	

Table 2a



5

10

15

20

25

30

35

40

45

50

55

No.	R ₁	X ₁ -X ₂ -X ₃
2a-1	CH ₃	
2a-2	H	
2a-3	CH ₃	
2a-4	H	
2a-5	Na	
2a-6	CH ₃	
2a-7	H	
2a-8	CH ₃	
2a-9	H	
2a-10	CH ₃	
2a-11	H	
2a-12	CH ₃	
2a-13	H	
2a-14	CH ₃	
2a-15	H	
2a-16	CH ₃	
2a-17	H	
2a-18	CH ₃	
2a-19	H	
2a-20	CH ₃	
2a-21	H	
2a-22	Na	
2a-23	CH ₃	
2a-24	H	

15

20

25

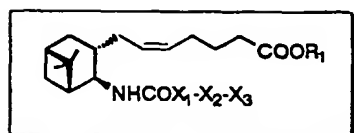
30

35

40

45

50



5

10

15

20

25

30

35

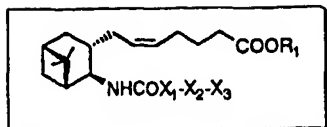
40

45

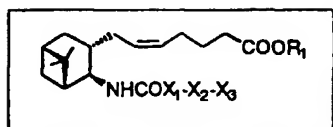
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
2a-48	CH ₃	
2a-49	H	
2a-50	CH ₃	
2a-51	H	
2a-52	CH ₃	
2a-53	H	
2a-54	CH ₃	
2a-55	H	
2a-56	CH ₃	
2a-57	H	
2a-58	CH ₃	
2a-59	H	
2a-60	CH ₃	
2a-61	H	
2a-62	CH ₃	
2a-63	H	
2a-64	CH ₃	
2a-65	H	
2a-66	CH ₃	
2a-67	H	



No.	R_1	$X_1\text{-X}_2\text{-X}_3$
2a-68	CH_3	
2a-69	H	
2a-70	CH_3	
2a-71	H	
2a-72	CH_3	
2a-73	H	
2a-74	CH_3	
2a-75	H	
2a-76	CH_3	
2a-77	H	
2a-78	CH_3	
2a-79	H	
2a-80	CH_3	
2a-81	H	
2a-82	CH_3	
2a-83	H	
2a-84	CH_3	
2a-85	H	
2a-86	CH_3	
2a-87	H	



5

10

15

20

25

30

35

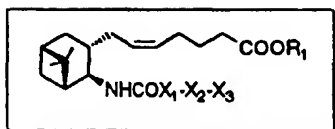
40

45

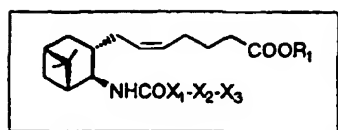
50

55

No.	R_1	$X_1\text{-}X_2\text{-}X_3$
2a-88	CH_3	
2a-89	H	
2a-90	CH_3	
2a-91	H	
2a-92	CH_3	
2a-93	H	
2a-94	CH_3	
2a-95	H	
2a-96	Na	
2a-97	$\text{Ca}^{1/2}$	
2a-98	CH_3	
2a-99	H	
2a-100	CH_3	
2a-101	H	
2a-102	CH_3	
2a-103	H	
2a-104	CH_3	
2a-105	H	
2a-106	CH_3	
2a-107	H	
2a-108	CH_3	
2a-109	H	
2a-110	Na	
2a-111	CH_3	
2a-112	H	



No.	R_1	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-113	CH_3	
2a-114	H	
2a-115	CH_3	
2a-116	H	
2a-117	CH_3	
2a-118	H	
2a-119	H	
2a-120	H	
2a-121	H	
2a-122	H	
2a-123	H	
2a-124	H	
2a-125	H	



5

10

15

20

25

30

35

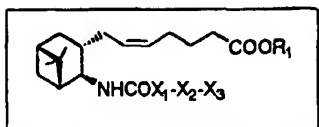
40

45

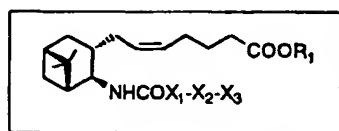
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
2a-126	H	
2a-127	H	
2a-128	H	
2a-129	H	
2a-130	H	
2a-131	H	
2a-132	H	
2a-133	H	
2a-134	H	
2a-135	H	
2a-136	H	



No.	R ₁	X ₁ -X ₂ -X ₃
2a-137	H	
2a-138	H	
2a-139	H	
2a-140	H	
2a-141	H	
2a-142	H	
2a-143	H	
2a-144	H	
2a-145	H	
2a-146	H	
2a-147	H	



5

10

15

20

25

30

35

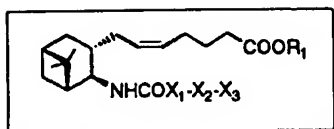
40

45

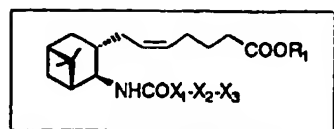
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
2a-148	H	
2a-149	H	
2a-150	H	
2a-151	H	
2a-152	H	
2a-153	H	
2a-154	H	
2a-155	H	
2a-156	H	
2a-157	H	
2a-158	H	
2a-159	H	



No.	R_1	$X_1\text{-X}_2\text{-X}_3$
2a-160	H	
2a-161	H	
2a-162	H	
2a-163	H	
2a-164	H	
2a-165	H	
2a-166	H	
2a-167	H	
2a-168	H	
2a-169	H	
2a-170	H	



5

10

15

20

25

30

35

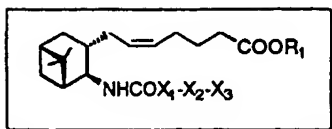
40

45

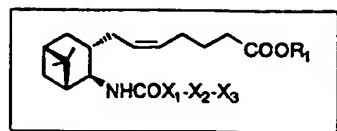
50

55

No.	R_1	$X_1\text{-}X_2\text{-}X_3$
2a-171	H	
2a-172	H	
2a-173	H	
2a-174	H	
2a-175	H	
2a-176	H	
2a-177	H	
2a-178	H	
2a-179	H	
2a-180	H	
2a-181	H	
2a-182	H	



No.	R ₁	X ₁ -X ₂ -X ₃
2a-183	H	
2a-184	H	
2a-185	H	
2a-186	H	
2a-187	H	
2a-188	H	
2a-189	H	
2a-190	H	
2a-191	H	
2a-192	H	
2a-193	H	



5

10

15

20

25

30

35

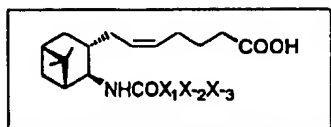
40

45

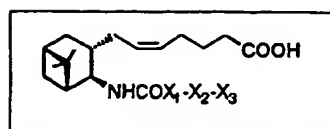
50

55

No.	R ₁	X ₁ -X ₂ -X ₃
2a-194	H	
2a-195	H	
2a-196	H	
2a-197	H	
2a-198	H	
2a-199	H	
2a-200	H	
2a-201	H	
2a-202	H	
2a-203	H	



No.	X ₁ -X ₂ -X ₃
2a-204	
2a-205	
2a-206	
2a-207	
2a-208	
2a-209	
2a-210	
2a-211	
2a-212	
2a-213	



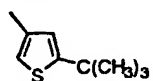
5

10

No.

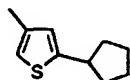
 $X_1-X_2-X_3$

2a-214



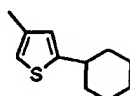
15

2a-215



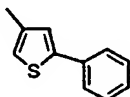
20

2a-216

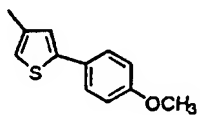


25

2a-217

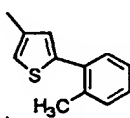


2a-218



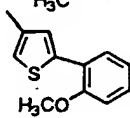
30

2a-219



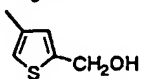
35

2a-220



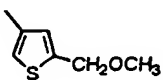
40

2a-221



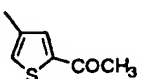
45

2a-222

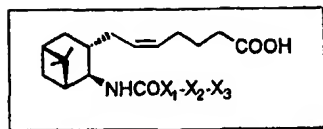


50

2a-223



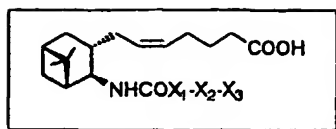
55



10

No.	X ₁ -X ₂ -X ₃
2a-224	
2a-225	
2a-226	
2a-227	
2a-228	
2a-229	
2a-230	
2a-231	
2a-232	
2a-233	

50



5

10

15

20

25

30

35

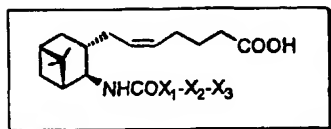
40

45

50

55

No.	$X_1-X_2-X_3$
2a-234	
2a-235	
2a-236	
2a-237	
2a-238	
2a-239	
2a-240	
2a-241	
2a-242	
2a-243	



5

10

15

20

25

30

35

40

45

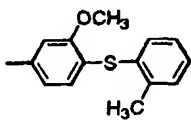
50

55

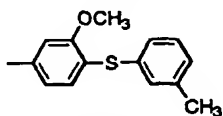
No.

 $\text{X}_1\text{-X}_2\text{-X}_3$

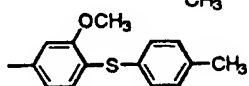
2a-244



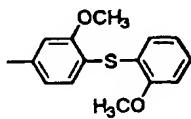
2a-245



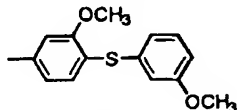
2a-246



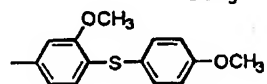
2a-247



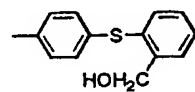
2a-248



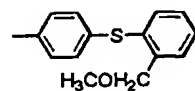
2a-249

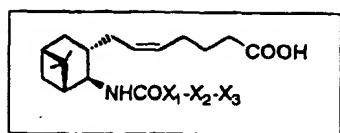


2a-250



2a-251





5

10

15

20

25

30

35

40

45

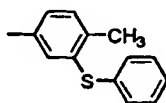
50

55

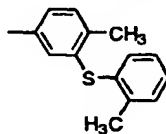
No.

 $X_1-X_2-X_3$

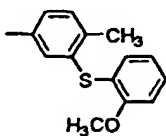
2a-252



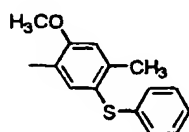
2a-253



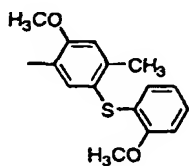
2a-254



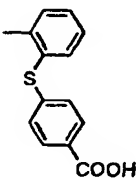
2a-255

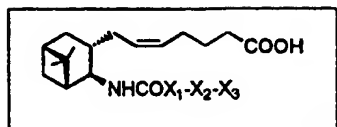


2a-256

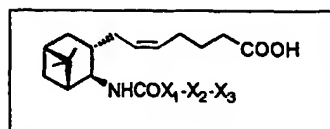


2a-257





No.	$X_1-X_2-X_3$
10 2a-258	
15 2a-259	
20 2a-260	
25 2a-261	
30 2a-262	
35 2a-263	
40 2a-264	
45 2a-265	
50 2a-266	
55 2a-267	



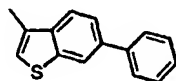
5

10

No.

 $X_1-X_2-X_3$

2a-268



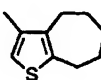
15

2a-269



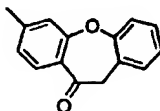
20

2a-270



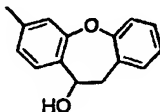
25

2a-271



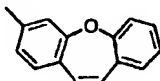
30

2a-272



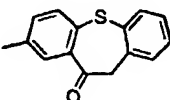
35

2a-273



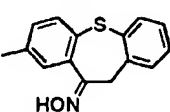
40

2a-274



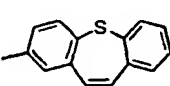
45

2a-275

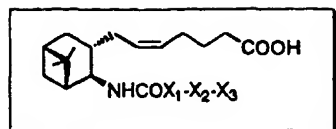


50

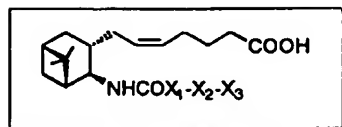
2a-276



55



No.	$\text{X}_1\text{-X}_2\text{-X}_3$
10 2a-278	
15 2a-279	
20 2a-280	
25 2a-281	
30 2a-282	
35 2a-283	
40 2a-284	
45 2a-285	
50 2a-286	
55 2a-287	



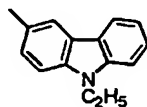
5

10

No.

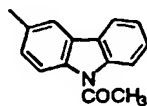
X₁-X₂-X₃

2a-288



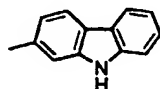
15

2a-289



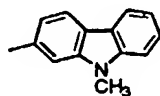
20

2a-290



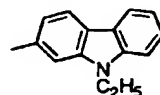
25

2a-291



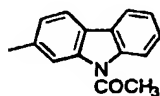
30

2a-292



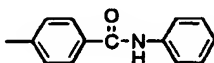
35

2a-293



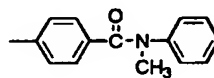
40

2a-294



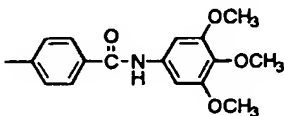
45

2a-295

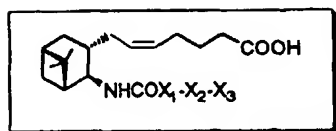


50

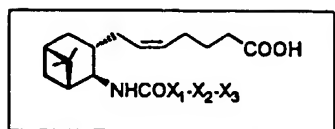
2a-296



55

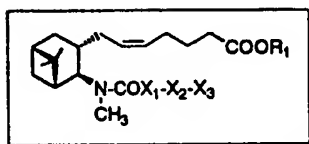


No.	X ₁ -X ₂ -X ₃
2a-297	 <chem>Oc1ccc(NC(=O)c2ccc(cc2)-)cc1</chem>
2a-298	 <chem>COc1ccc(NC(=O)c2ccc(cc2)-)cc1</chem>
2a-299	 <chem>COc1cc(OC)c(OC)c(NC(=O)c2ccc(cc2)-)c1</chem>
2a-300	 <chem>CN(C)c1ccc(NC(=O)c2ccc(cc2)-)cc1</chem>
2a-301	 <chem>Cc1ccccc1NC(=O)c2ccc(cc2)-</chem>
2a-302	 <chem>c1ccccc1C(=O)Nc2ccccc2</chem>
2a-303	 <chem>CN(C)C(=O)Nc1ccccc1</chem>
2a-304	 <chem>COc1cc(OC)c(OC)c(NC(=O)c2ccc(cc2)-)c1</chem>
2a-305	 <chem>Oc1ccc(NC(=O)c2ccc(cc2)-)cc1</chem>
2a-306	 <chem>COc1ccc(NC(=O)c2ccc(cc2)-)cc1</chem>



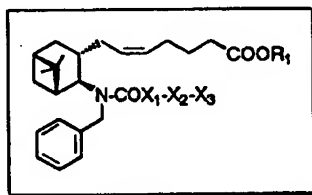
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
10 2a-307	
15 2a-308	
20 2a-309	
25 2a-310	
30 2a-311	
35 2a-312	
40 2a-313	
45 2a-314	
50 2a-315	

Table 2b



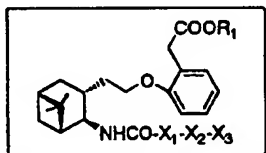
No.	R ₁	X ₁ -X ₂ -X ₃
2b-1	H	
2b-2	H	

Table 2c



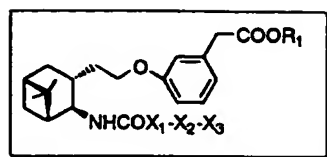
No.	R ₁	X ₁ -X ₂ -X ₃
2c-1	H	
2c-2	H	
2c-3	H	

Table 2d



No.	R ₁	X ₁ -X ₂ -X ₃
2d-1	H	
2d-2	H	
2d-3	H	

Table 2e



5

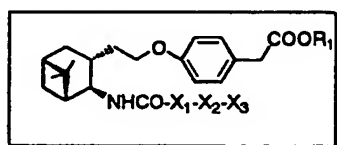
10

15

20

No.	R ₁	X ₁ -X ₂ -X ₃
2e-1	H	
2e-2	H	
2e-3	H	

Table 2f



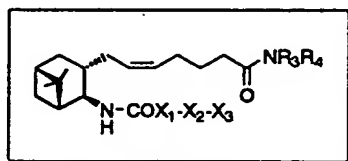
25

30

35

No.	R ₁	X ₁ -X ₂ -X ₃
2f-1	H	
2f-2	H	
2f-3	H	

Table 2g



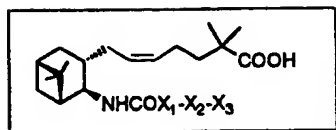
45

50

55

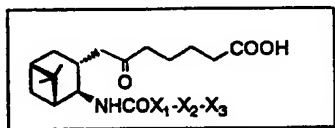
No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
2g-1	H	SO ₂ CH ₃	

Table 2h



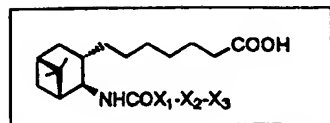
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2h-1	
2h-2	
2h-3	
2h-4	
2h-5	
2h-6	

Table 2i



No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2i-1	
2i-2	
2i-3	
2i-4	
2i-5	
2i-6	

Table 2j



5

10

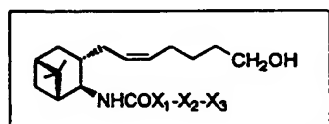
15

20

25

No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2j-1	
2j-2	
2j-3	
2j-4	
2j-5	
2j-6	

Table 2k



30

35

40

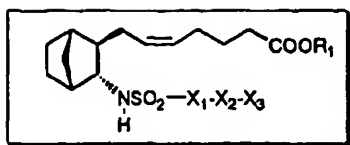
45

50

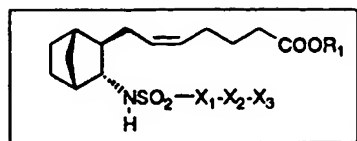
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2k-1	
2k-2	
2k-3	
2k-4	
2k-5	
2k-6	

55

Table 3a



No.	R ₁	X ₁ -X ₂ -X ₃
3a-1	CH ₃	
3a-2	H	
3a-3	CH ₃	
3a-4	H	
3a-5	H ₃ N ⁺ C(CH ₂ OH) ₃	
3a-6	Na	
3a-7	1/2 Ca	
3a-8	H	
3a-9	H	
3a-10	CH ₃	
3a-11	H	
3a-12	CH ₃	
3a-13	H	
3a-14	CH ₃	
3a-15	CH ₃	
3a-16	H	
3a-17	CH ₃	
3a-18	H	



5

10

15

20

25

30

35

40

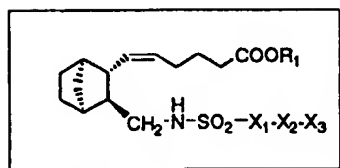
45

50

55

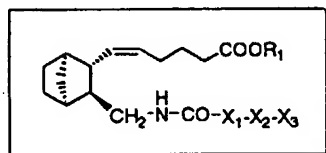
No.	R ₁	X ₁ -X ₂ -X ₃
3a-19	CH ₃	
3a-20	H	
3a-21	CH ₃	
3a-22	H	
3a-23	CH ₃	
3a-24	H	
3a-25	H	—(CH ₂) ₃ CH ₃
3a-26	CH ₃	—(CH ₂) ₇ CH ₃
3a-27	H	
3a-28	CH ₃	
3a-29	H	
3a-30	CH ₃	
3a-31	CH ₃	
3a-32	H	
3a-33	Na	
3a-34	H	
3a-35	Na	

Table 3b



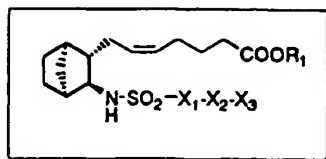
No.	R ₁	X ₁ -X ₂ -X ₃
3b-1	CH ₃	
3b-2	H	
3b-3	H	
3b-4	H	

Table 3c



No.	R ₁	X ₁ -X ₂ -X ₃
3c-1	H	

Table 3d



5

10

15

20

25

30

35

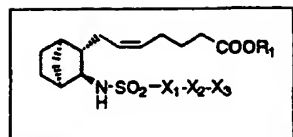
40

45

50

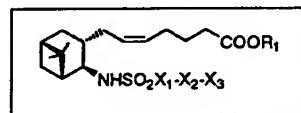
55

No.	R ₁	X ₁ -X ₂ -X ₃
3d-1	1/2 Ca	
3d-2	Na	
3d-3	Na	
3d-4	Na	
3d-5	CH ₃	
3d-6	H	
3d-7	CH ₃	
3d-8	H	
3d-9	Na	
3d-10	CH ₃	
3d-11	H	
3d-12	Na	
3d-13	1/2 Ca	
3d-14	H	
3d-15	Na	



No.	R ₁	X ₁ -X ₂ -X ₃
3d-16	H	
3d-17	H	
3d-18	H	
3d-19	CH ₃	
3d-20	H	
3d-21	CH ₃	
3d-22	H	
3d-23	H	
3d-24	H	
3d-25	H	
3d-26	H	
3d-27	H	
3d-28	H	
3d-29	H	
3d-30	H	

Table 3e



No.	R ₁	X ₁ -X ₂ -X ₃
3e-1	1/2Ca	

Physicochemical properties of compounds above are shown below. The compound number below corresponds to that described in Tables above.

No.1a — 4

$[\alpha]_D = -11.5^\circ$ (CHCl_3 , $c=1.01$, 23.5°C).

5 No.1a — 5

$[\alpha]_D = -10.0^\circ$ (CHCl_3 , $c=1.01$, 25.0°C).

No.1a — 6

10

CDCl_3 300MHz

0.93-1.96(14H,m), 2.20-2.26(3H,m), 3.03(1H,m), 3.67(3H,s), 4.99(1H,d, $J=6.6\text{H}$ z), 5.10-5.24(2H,m), 7.37-7.51(3H,m), 7.54-7.64(3H,m), 7.76-7.88(2H,m), 8.11(1H,m).

IR (CHCl_3): 3384, 3278, 3026, 2952, 2874, 1727, 1436, 1411, 1324, 1155, 1097 /cm.

15

$[\alpha]_D = -9.0^\circ$ (CHCl_3 , $c=1.04$, 22.0°C).

No.1a — 7

20

CDCl_3 300MHz

0.93-2.00(14H,m), 2.18(1H,m), 2.28(2H,t, $J=7.2\text{Hz}$), 3.04(1H,m), 5.15-5.25(2H,m), 5.28(1H,d, $J=6.9\text{Hz}$), 7.36-7.50(3H,m), 7.54-7.63(3H,m), 7.76-7.89(2H,m), 8.12(1H,m).

IR (CHCl_3): 3268, 3028, 2952, 2872, 1708, 1452, 1410, 1324, 1155, 1097 /cm.

$[\alpha]_D = -9.1^\circ$ (CHCl_3 , $c=1.01$, 24.0°C).

25 No.1a — 8

CDCl_3 300MHz

0.94-1.99(14H,m), 2.21-2.29(3H,m), 3.05(1H,m), 3.67(3H,s), 4.92(1H,d, $J=6.3\text{Hz}$), 5.14-5.30(2H,m), 7.70-7.78(6H,m), 7.96-8.01(2H,m).

30

IR (CHCl_3): 3376, 3272, 3018, 2946, 2868, 1727, 1616, 1435, 1388, 1324, 1162, 1130, 1069 /cm.

$[\alpha]_D = +1.6^\circ$ (CHCl_3 , $c=1.01$, 24.0°C). mp. 117-119°C.

No.1a — 9

35

CDCl_3 300MHz

0.95-2.08(14H,m), 2.19(1H,m), 2.32(2H,t, $J=7.2\text{Hz}$), 3.06(1H,m), 5.20-5.30(2H, m), 5.34(1H,d, $J=6.6\text{Hz}$), 7.69-7.78(6H,m), 7.96-8.03(2H,m).

IR (CHCl_3): 3260, 3020, 2950, 2868, 1708, 1389, 1324, 1162, 1130, 1069 /cm.

$[\alpha]_D = +13.3^\circ$ (CHCl_3 , $c=1.05$, 24.0°C).

40

mp. 118-120°C

No.1a — 10

45

CDCl_3 300MHz

0.96-1.98(14H,m), 2.15-2.32(3H,m), 3.04(1H,m), 3.66(3H,s), 5.12-5.26(5H,m), 7.67-7.78(4H,m), 7.93-8.07(4H,m).

IR (CHCl_3): 3276, 3018, 2946, 2868, 1726, 1595, 1435, 1341, 1162, 1095 /cm.

$[\alpha]_D = -1.5^\circ$ (CHCl_3 , $c=1.01$, 25.0°C).

mp. 133-139°C.

50 No.1a — 11

CD_3OD 300MHz

1.05-1.98(14H,m), 2.13-2.22(3H,m), 2.97(1H,m), 5.09-5.22(2H,m), 7.85-7.92(4H,m), 7.95-8.05(4H,m).

55

IR (KBr): 3385, 3261, 3069, 3003, 2954, 2872, 1708, 1596, 1428, 1413, 1378, 1343, 1326, 1236, 1186, 1160, 1096 /cm.

mp. 144-146°C.

No.1a — 12

CDCl₃ 300MHz

0.96-1.96(14H,m),2.22-2.27(3H,m),3.03(1H,m),3.66(3H,s),3.87(3H,s),4.86(1 H,d,J=6.9Hz),5.18-5.24(2H,m),6.99-7.02(2H,m),7.55-7.66(2H,m),7.66-7.69(2 H,m),7.89-7.92(2H,m).

IR(CHCl₃):3374,3270,3016,2948,2870,1726,1608,1518,1487,1458,1437,1248, 1157,1037.[α]_D=+4.2° (CHCl₃,c=1.01,24°C).

mp.85-87°C.

10 No.1a — 13

CDCl₃ 300MHz

0.97-1.99(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.04(1H,m),3.86(3H,s),5.1 8(1H,d,J=5.7Hz),5.23-5.26(2H,m),6.99-7.02(2H,m),7.55-7.58(2H,m),7.66-7.68(2H,m),7.89-7.92(2H,m).

IR(CHCl₃):3380,3260,3020,2948,2868,1708,1608,1519,1487,1458,1306,1293, 1248,1156 /cm.[α]_D=+18.3° (CHCl₃,c=1.00,25.5°C) .

No.1a — 14

CDCl₃ 300MHz

0.98-2.00(14H,m),2.20(1H,m),2.25(2H,t,J=7.2Hz),3.02(1H,m),3.67(3H,s),4.8 5(1H,d,J=6.3Hz),5.19-5.25(2H,m),7.13(1H,dd,J=4.8,3.6Hz),7.39(1H,d,J=4.8 Hz),7.40(1H,d,J=3.6Hz),7.71-7.74(2H,m),7.86-7.89(2H,m).

IR(CHCl₃):3374,3270,3018,2946,2868,1727,1593,1434,1322/cm.[α]_D= +5.6° (CHCl₃,c=1.01,24°C).

mp.69-71°C.

No.1a — 15

CDCl₃ 300MHz

0.95-2.00(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.03(1H,m),5.20(1H,d,J=6.9Hz),5.24-5.28(2H,m),7.13(1H,dd,J=4.8,3.3Hz),7.38(1H,d,J=4.8Hz),7.43(1H,d,J=3.3Hz),7.73(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).

IR(CHCl₃):3260,3022,2948,2868,1709,1593,1404,1321,1154/cm.[α]_D= +20.8° (CHCl₃,c= 1.07,23°C).

mp.71-73°C.

No.1a — 16

CDCl₃ 300MHz

0.98-2.00(14H,m),2.27(2H,t,J=7.5Hz),2.28(1H,m),3.13(1H,m),3.66(3H,s),4.9 0(1H,d,J=6.9Hz),5.25-5.29(2H,m),7.40-7.65(6H,m),7.76(1H,d,J=8.4Hz),7.90-8.02(4H,m).

IR(CHCl₃):3376,3276,3018,2946,2868,1726,1593,1435,1394,1322,1159/cm.[α]_D= +7.0° (CHCl₃,c=1.07,24°C).

45 No.1a — 17

CDCl₃ 300MHz

1.02-2.07(14H,m),2.25(1H,m),2.34(2H,t,J=6.6Hz),3.14(1H,m),5.28-5.33(3H,m),7.39-7.57(4H,m),7.62-7.65(2H,m),7.76(1H,d,J=8.1Hz),7.89-8.02(4H,m).

IR(CHCl₃):3260,2948,2868,1709,1593,1394,1324,1157/cm.[α]_D=+20.2° (CHCl₃,c=1.02,24°C).

No.1a — 18

CDCl₃ 300MHz

1.05-1.97(14H,m),2.25(2H,t,J=7.2Hz),2.33(1H,m),3.12(1H,m),3.67(3H,s),4.9 1(1H,d,J=6.6Hz),5.24-5.29(2H,m),7.24(1H,d,J=3.9Hz),7.39-7.45(3H,m),7.56(1H,d,J=3.9Hz),7.59-7.62(2H,m).

IR(CHCl₃):3372,3272,3018,2946,2868,1727,1433,1331,1152/cm.

$[\alpha]_D = -5.7^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1a — 19

5 CDCl_3 300MHz
1.05-2.05(14H,m), 2.28-2.33(3H,m), 3.13(1H,m), 5.18(1H,d,J=6.3Hz), 5.27-5.31 (2H,m), 7.24(1H,d,J=4.2Hz), 7.39-7.42(3H,m), 7.56(1H,d,J=4.2Hz), 7.58-7.62(2 H,m).
IR(CHCl_3): 3372, 3254, 3018, 2948, 2868, 1707, 1431, 1328, 1151/cm.
10 $[\alpha]_D = +4.5^\circ$ (CHCl_3 , $c=1.01$, 21.5°C).

No.1a — 20

CDCl_3 300MHz
1.05-2.00(14H,m), 2.26(2H,t,J=7.5Hz), 2.33(1H,m), 3.11(1H,m), 3.68(3H,s), 4.9
15 2(1H,d,J=6.0Hz), 5.27(2H,m), 7.05(1H,m), 7.10(1H,d,J=3.6Hz), 7.25(1H,m), 7.3 2(1H,m), 7.49(1H,d,J=3.6Hz).
IR(CHCl_3): 3372, 3272, 3018, 2946, 2686, 1727, 1438, 1417, 1333, 1151/cm.
 $[\alpha]_D = -9.2^\circ$ (CHCl_3 , $c=1.01$, 25°C).

No.1a — 21

20 CDCl_3 300MHz
1.02-2.01(14H,m), 2.28-2.34(3H,m), 3.13(1H,m), 5.12(1H,d,J=6.9Hz), 5.28-5.32
(2H,m), 7.06(1H,m), 7.10(1H,d,J=3.9Hz), 7.25(1H,m), 7.32(1H,m), 7.50(1H,d,J=3.9Hz).
IR(CHCl_3): 3350, 3250, 2948, 1709, 1440, 1420, 1330, 1151.
25 $[\alpha]_D = +2.5^\circ$ (CHCl_3 , $c=1.00$, 25°C).

No.1a — 22

CDCl_3 300MHz
30 0.96-2.05(14H,m), 2.25(1H,m), 2.35(2H,t,J=7.0Hz), 3.11(1H,m), 5.20-5.34(2H, m), 5.41(1H,d,J=6.6Hz), 7.31-7.49(5H,m), 7.62(1H,d,J=7.8Hz), 8.11(1H,d,d,J= 1.8 and 7.8Hz), 8.35(1H,d,J=1.8Hz).
IR(CHCl_3): 3384, 3271, 3025, 2958, 1708, 1608, 1559, 1537, 1357, 1168/cm.
 $[\alpha]_D = +18.3^\circ$ (CHCl_3 , $c=0.31$, 22°C).

35 No.1a — 23

CDCl_3 300MHz
0.97-2.07(14H,m), 2.24(1H,m), 2.35(2H,t,J=6.9Hz), 3.09(1H,m), 3.86(3H,s), 5.2
4- 5.35(2H,m), 5.44(1H,d,J=6.3Hz), 6.97-7.00(2H,m), 7.26-7.28(2H,m), 7.59(1H, d,J=8.1Hz), 8.06(1H,d,d,J=2.1 and
40 8.1Hz), 8.29(1H,d,J=2.1Hz).
IR(CHCl_3): 3384, 3270, 2959, 1709, 1609, 1535, 1519, 1357, 1302, 1255, 1226, 1169/cm.
 $[\alpha]_D = +17.0^\circ$ (CHCl_3 , $c=1.00$, 21°C).

No.1No.1a — 24

45 CDCl_3 300MHz
0.95-2.00(14H,m), 2.20-2.25(1H,m), 2.26(2H,t,J=7.2Hz), 3.02-3.10(1H,m), 3.66(3H,s), 4.92(1H,d,J=6.6Hz), 5.16-5.31(2H,m), 7.52-7.60(3H,m), 7.94-8.06(6H,m).
IR(CHCl_3): 3376, 3020, 2946, 2868, 1726, 1436, 1366, 1298, 1164, 1090, 890/cm.
50 $[\alpha]_D = +11.2 \pm 0.5^\circ$ (CHCl_3 , $c=1.04$, 23.5°C)
mp. 101-103°C

No.1a — 25

55 CDCl_3 300MHz
0.95-2.08(14H,m), 2.15-2.22(1H,m), 2.33(2H,t,J=6.9Hz), 3.02-3.10(1H,m), 5.21-5.31(2H,m), 5.34(1H,d,J=6.3Hz), 7.51-7.59(3H,m), 7.92-8.07(6H,m).
IR(CHCl_3): 3258, 3022, 2948, 2868, 1707, 1399, 1328, 1298, 1163, 1089, 1051, 892/cm.

$[\alpha]_D = +29.8 \pm 0.7^\circ$ (CHCl_3 , $c = 1.05$, 25°C)

mp. $158-160^\circ\text{C}$

No. 1a — 26

5

Anal. Calcd for $\text{C}_{26}\text{H}_{30}\text{N}_3\text{O}_4\text{SNa} \cdot 0.8\text{H}_2\text{O}$: C, 60.29; H, 6.15; N, 8.11; S, 6.19; Na, 4.44; Found: C, 60.15; H, 6.19; N, 8.15; S, 6.03; Na, 4.98.

$[\alpha]_D = -16.6^\circ$ (CHCl_3 , $c = 1.04$, 25.0°C).

10 No. 1a — 27

CDCl_3 300MHz

0.92-1.98(14H,m), 2.20(1H,m), 2.26(2H,t, $J = 7.5\text{Hz}$), 3.03(1H,m), 3.12(6H,s), 3.6 6(3H,s), 4.87(1H,d, $J = 6.6\text{Hz}$), 5.16-5.32(2H,m), 6.73-6.80(2H,m), 7.88-8.00(6H, m).

15 IR(CHCl_3): 3376, 3020, 2946, 1726, 1601, 1518, 1442, 1419, 1362, 1312, 1163, 1133, 1088 /cm.

$[\alpha]_D = +55.3^\circ$ (CHCl_3 , $c = 0.53$, 24.0°C).

mp. $158-168^\circ\text{C}$

No. 1a — 28

20

$\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz

0.99-2.14(14H,m), 2.21(1H,m), 2.31(2H,t, $J = 7.2\text{Hz}$), 2.94(1H,m), 3.12(6H,s), 5.22-5.38(2H,m), 6.73-6.81(2H,m), 7.87-8.00(6H,m).

25 IR(KBr): 3434, 3309, 2946, 1708, 1604, 1520, 1442, 1416, 1366, 1312, 1252, 1164, 1155, 1134, 1091 /cm.

$[\alpha]_D =$ not measurable (colored, insufficient energy)

mp. $193-196^\circ\text{C}$

No. 1a — 29

30

CD_3OD 300MHz

1.02-1.96(14H,m), 2.10(2H,t, $J = 7.8\text{Hz}$), 2.16(1H,m), 2.98(1H,m), 3.11(6H,s), 5.07-5.27(2H,m), 6.80-6.87(2H,m), 7.84-8.00(6H,m).

IR(KBr): 3433, 3087, 3004, 2949, 2871, 1604, 1565, 1520, 1444, 1420, 1364, 1312, 1253, 11638, 1136, 1090 /cm.

$[\alpha]_D =$ not measurable

35

No. 1a — 30

CDCl_3 300MHz

0.95-1.99(14H,m), 2.22(1H,m), 2.26(2H,t, $J = 7.2\text{Hz}$), 2.35(3H,s), 3.06(1H,m), 3.6 6(3H,s), 4.95(1H,d, $J = 6.9\text{Hz}$), 5.15-5.30(2H,m), 7.26-7.32(2H,m), 7.97-8.06(6H, m).

40

IR(CHCl_3): 3374, 2996, 2946, 2868, 1763, 1728, 1591, 1495, 1435, 1368, 1299, 1228, 1192, 1163, 1139 /cm.

$[\alpha]_D = +12.9^\circ$ (CHCl_3 , $c = 1.04$, 26.0°C).

No. 1a — 31

45

CDCl_3 300MHz

0.93-2.01(14H,m), 2.19(1H,m), 2.31(2H,t, $J = 7.2\text{Hz}$), 2.35(3H,s), 3.06(1H,m), 5.17-5.32(2H,m), 7.25-7.32(2H,m), 7.96-8.07(6H,m).

IR(CHCl_3): 3267, 3028, 2952, 2874, 1759, 1708, 1592, 1495, 1368, 1328, 1299, 1163, 1138, 1088, 1050, 1008 /cm.

50

$[\alpha]_D = +21.7^\circ$ (CHCl_3 , $c = 0.51$, 22°C).

No. 1a — 32

CDCl_3 300MHz

0.93-1.99(14H,m), 2.21(1H,m), 2.27(2H,t, $J = 7.2\text{Hz}$), 3.05(1H,m), 3.67(3H,s), 4.9 2(1H,d, $J = 6.6\text{Hz}$), 5.15-5.30(2H,m), 6.72(1H,s), 6.96-7.00(2H,m), 7.86-8.04(6H, m).

55

IR(CHCl_3): 3374, 3276, 3018, 2946, 2686, 1725, 1605, 1589, 1502, 1433, 1396, 1330, 1271, 1164, 1135, 1089 /cm. $[\alpha]_D =$

$+18.6^\circ$ (CHCl_3 , $c = 1.00$, 26.0°C).

No.1a — 33

CDCl₃+CD₃OD 300MHz
 0.98-2.08(14H,m),2.20(1H,m),2.28(2H,t,J=7.2Hz),2.98(1H,m),5.18-5.32(2H,m),6.92-6.99(2H,m),7.85-8.02(6H,m).
 IR(KBr):3385,3248,2948,2876,1717,1601,1505,1430,1399,1296,1280,1219,1165,1136,1092 /cm.
 [α]_D= -16.0° (CH₃OH,c=1.08,26.0°C).
 mp.208-210°C

No.1a — 34

mp.82-83°C [α]_D= +10.6° (CHCl₃,c=1.01,23.5°C).

No.1a — 35

mp.80-82°C [α]_D= -1.8° (CHCl₃,c=1.07,22.0°C).

No.1a — 36

TLC Rf=0.25 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a — 37

CDCl₃ 300MHz
 0.92-1.96(14H,m),2.21(1H,m),2.27(2H,t,J=7.4Hz),3.01(1H,m),3.66(3H,s),4.71(1H,d,J=6.6Hz),5.14-5.29(2H,m),7.12(1H,d,J=16.2Hz),7.24(1H,d,J=16.2Hz),7.28-7.42(3H,m),7.52-7.56(2H,m),7.62(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz).
 IR(CHCl₃):3384,3283,3023,2954,2876,1730,1595,1494,1317,1163,1147 /cm.
 [α]_D= +10.5° (CHCl₃,c=1.01,24°C).
 mp 116-117 °C.

No.1a — 38

CDCl₃ 300MHz
 0.92-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.02(1H,m),5.23-5.29(3H,m),7.11(1H,d,J=16.2Hz),7.23(1H,d,J=16.2Hz),7.28-7.41(3H,m),7.52-7.55(2H,m),7.61(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz).
 IR(CHCl₃):3515,3384,3270,3022,3015,2957,2876,2669,1708,1595,1496,1320,1157 /cm.
 [α]_D= +27.1° (CHCl₃,c=1.02,24°C).

No.1a — 39

CDCl₃ 300MHz
 0.92-1.99(14H,m),2.15(1H,m),2.28(2H,t,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.96(1H,d,J=6.6Hz),5.16-5.32(2H,m),6.60(1H,d,J=12.0Hz),6.74(1H,d,J=12.0Hz),7.16-7.23(5H,m),7.35(2H,d,J=8.4Hz),7.72(2H,d,J=8.4Hz).
 IR(CHCl₃):3384,3283,3023,3015,2954,2876,1730,1595,1493,1324,1163,1147 /cm.
 [α]_D= +13.7° (CHCl₃,c=1.00,24°C).

No.1a — 40

CDCl₃ 300MHz
 0.90-2.16(14H,m),2.12(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.16(1H,d,J=6.9Hz),5.23-5.34(2H,m),6.60(1H,d,J=12.3Hz),6.74(1H,d,J=12.3Hz),7.14-7.24(5H,m),7.35(2H,d,J=8.1Hz),7.72(2H,d,J=8.1Hz).
 IR(CHCl₃):3515,3384,3269,3025,3021,3014,2957,2876,2668,1709,1595,1322,1162,1147 /cm.
 [α]_D= +26.4° (CHCl₃,c=1.00,24°C).

No.1a — 41

CDCl₃ 300MHz

0.98-1.99(14H,m), 2.17(1H,m), 2.32(2H,t,J=7.2Hz), 3.00(1H,m), 3.84(3H,s), 5.20-5.26(3H,m), 6.90-6.95(2H,m), 6.98(1H,d,J=16.2Hz), 7.17(1H,d,J=16.2Hz), 7.46-7.49(2H,m), 7.58(2H,d,J=8.4Hz), 7.83(2H,d,J=8.4Hz).

IR(CHCl₃): 3258, 3018, 3002, 2950, 1709, 1590, 1509, 1457, 1404, 1302, 1250, 1153 /cm.[α]_D = +30.2° (CHCl₃, c=1.00, 23°C).

mp. 99-100 °C

10 No.1a — 42

CDCl₃ 300MHz

1.01-1.99(14H,m), 2.28(2H,t,J=7.2Hz), 2.30(1H,m), 3.10(1H,m), 3.66(3H,s), 5.07(1H,br), 5.25-5.30(2H,m), 6.98-7.04(2H,m), 7.16(1H,d,J=16.2Hz), 7.28-7.37(3H,m), 7.47-7.50(3H,m).

IR(CHCl₃): 3372, 3276, 3020, 2946, 2870, 1727, 1491, 1433, 1331, 1152 /cm.[α]_D = -11.5° (CHCl₃, c=1.07, 21.5°C).

No.1a — 43

CDCl₃ 300MHz

0.98-2.00(14H,m), 2.11-2.36(3H,m), 3.12(1H,m), 5.10(1H,d,J=6.6Hz), 5.29-5.32(2H,m), 6.99-7.04(2H,m), 7.23(1H,d,J=21.6Hz), 7.32-7.49(6H,m).

IR(CHCl₃): 3380, 3248, 3020, 2948, 2868, 1709, 1491, 1430, 1329, 1151 /cm.[α]_D = +3.4° (CHCl₃, c=1.03, 25°C).

25 No.1a — 44

CDCl₃ 300MHz

1.00-2.00(14H,m), 2.13(1H,m), 2.29(2H,t,J=7.4Hz), 2.90-3.13(5H,m), 3.68(3H,s), 4.74(1H,d,J=6.6Hz), 5.15-5.30(2H,m), 7.18-7.29(7H,m), 7.76(2H,d,J=8.1Hz).

IR(CHCl₃): 3384, 3282, 3063, 3028, 3023, 3016, 2953, 2876, 1730, 1599, 1496, 1319, 1157 /cm.[α]_D = +2.3° (CHCl₃, c=1.00, 25°C).

mp. 85.0-86.0°C

35 No.1a — 45

CDCl₃ 300MHz

0.90-2.05(14H,m), 2.09(1H,m), 2.35(2H,t,J=6.9Hz), 2.90-3.13(5H,m), 5.18(1H,d,J=6.6Hz), 5.24-5.34(2H,m), 7.10-7.27(7H,m), 7.76(2H,d,J=8.4Hz).

IR(CHCl₃): 3510, 3384, 3270, 3087, 3063, 3026, 3018, 3014, 2955, 2876, 2670, 1708, 1599, 1496, 1318, 1157 /cm.[α]_D = +8.5° (CHCl₃, c=1.01, 25°C).

No.1a — 46

[α]_D = +6.8° (CHCl₃, c=1.05, 25°C). mp. 99-100°C.

No.1a — 47

CDCl₃ 300MHz

0.97-2.01(14H,m), 2.14(1H,m), 2.36(2H,t,J=7.2Hz), 3.02(1H,m), 5.23(1H,d,J=5.4Hz), 5.26-5.30(2H,m), 7.37-7.39(3H,m), 7.54-7.58(2H,m), 7.63-7.66(2H,m), 7.85-7.88(2H,m).

IR(CHCl₃): 3375, 3260, 3022, 2948, 2212, 1707, 1596, 1497, 1396, 1322, 1160 /cm.[α]_D = +25.0° (CHCl₃, c=1.02, 24°C). mp. 117-118°C.

55 No.1a — 48

CD₃OD 300MHz

1.05-1.93(14H,m), 2.10-2.15(3H,m), 2.96(1H,m), 5.08-5.28(2H,m), 7.38-7.40(3H,m), 7.554-

7.56(2H,m), 7.69(1H,d,J=8.4Hz), 7.87(1H,d,J=8.4Hz).

No.1a — 49

5 CDCl₃ 300MHz
0.96-1.97(14H,m), 2.24(1H,m), 2.31(2H,t,J=6.9Hz), 3.05(1H,m), 3.69(3H,s), 5.1 5(1H,d,J=6.6Hz), 5.25-
5.27(2H,m), 7.40-7.43(3H,m), 7.61-7.64(2H,m), 7.85(1H, d,J=8.1Hz), 8.07(1H,dd,J=8.1, 1.8Hz), 8.58(1H,d,J=1.8Hz).
IR(CHCl₃): 3374, 3020, 2948, 2870, 2212, 1726, 1606, 1530, 1493, 1437, 1345, 1167/cm.
[α]_D=+2.4° (CHCl₃, c=1.03, 25°C). mp. 77-79°C.

10

No.1a — 50

15 CDCl₃ 300MHz
1.00-2.02(14H,m), 2.20(1H,m), 2.34(2H,t,J=6.6Hz), 3.08(1H,m), 5.26-5.29(2H, m), 5.41(1H,d,J=6.9Hz), 7.40-
7.43(3H,m), 7.61-7.64(2H,m), 7.84(1H,d,J=8.1Hz), 8.07(1H,dd,J=8.4, 1.8Hz), 8.57(1H,dd,J=1.8Hz).
IR(CHCl₃): 3380, 3254, 2952, 2880, 2212, 1707, 1606, 1531, 1493, 1409, 1344, 1166.
[α]_D=+23.4° (CHCl₃, c=1.00, 25°C).

20

No.1a — 51

25 CDCl₃ 300MHz
0.95-1.98(14H,m), 2.23(1H,m), 2.30(2H,t,J=7.2Hz), 3.00(1H,m), 3.66(3H,s), 4.5 6(2H,br), 4.70(1H,d,J=6.9Hz), 5.20-
5.29(2H,m), 7.15(1H,dd,J=7.8, 1.8Hz), 7.23 (1H,d,J=1.8Hz), 7.36-7.39(3H,m), 7.46(1H,d,J=7.8Hz), 7.53-7.56(2H,m).
IR(CHCl₃): 3494, 3386, 3028, 2952, 2874, 1725, 1611, 1559, 1497, 1422, 1317, 1162/cm.

25

No.1a — 52

30 CDCl₃ 300MHz
0.96-2.04(16H,m), 2.20(1H,m), 2.36(2H,t,J=6.9Hz), 2.99(1H,m), 5.17(1H,d,J=6. 3Hz), 5.28-
5.31(2H,m), 7.18(1H,dd,J=9.6, 1.8Hz), 7.25(1H,m), 7.36-7.39(3H,m), 7.46(1H,d,J=7.8Hz), 7.52-7.56(2H,m).
IR(CHCl₃): 3482, 3378, 3260, 3022, 2948, 2868, 1708, 1612, 1495, 1422, 1317/cm.
[α]_D=+15.0° (CHCl₃, c=1.00, 24°C).

No.1a — 53

35 CDCl₃ 300MHz
1.01-2.05(15H,m), 2.31(2H,t,J=7.2Hz), 3.10(1H,m), 3.67(3H,s), 5.02(1H,br), 5.2 6-
5.33(2H,m), 7.18(1H,d,J=4.2Hz), 7.36-7.39(3H,m), 7.48(1H,d,J=4.2Hz), 7.51-7.55(2H,m).
IR(CHCl₃): 3372, 3270, 3018, 3004, 2946, 2868, 2202, 1726, 1486, 1433, 1336, 1154/cm.
40 [α]_D=+0.6° (CHCl₃, c=1.11, 25°C), [α]₄₃₆ +17.8° (CHCl₃, c=1.11, 25°C).

No.1a — 54

45 CDCl₃ 300MHz
0.99-2.11(14H,m), 2.27(1H,m), 2.37(2H,t,J=7.5Hz), 3.13(1H,m), 5.16(1H,d,J=6. 6Hz), 5.31-
5.35(2H,m), 7.18(1H,d,J=3.6Hz), 7.37-7.39(3H,m), 7.50(1H,d,J=3.6 Hz), 7.52-7.55(2H,m).
IR(CHCl₃): 3484, 3370, 3246, 2948, 2868, 2202, 1708, 1486, 1429, 1335, 1153/cm.
[α]_D=+17.8° (CHCl₃, c=1.00, 24°C). mp. 95-96°C

No.1a — 55

50 CDCl₃ 300MHz
0.95-1.92(14H,m), 2.15(1H,m), 2.24(2H,t,J=7.5Hz), 3.00(1H,m), 3.66(3H,s), 5.1 0-5.30(3H,m), 7.40-
7.60(7H,m), 7.70(1H,d,J=7.8Hz), 8.08(1H,d,J=8.1Hz). IR
55 (CHCl₃): 3356, 3020, 2948, 2868, 2210, 1727, 1490, 1458, 1437, 1341, 1165/cm. [α]_D=-58.4° (CHCl₃, c=1.00, 26°C).
mp. 84-85°C.

No.1a — 56

CDCl₃ 300MHz

0.95-1.95(14H,m), 2.10(1H,m), 2.27(2H,t,J=6.9Hz), 3.00(1H,m), 5.17-5.21(2H, m), 5.38(1H,d,J=6.9Hz), 7.39-7.60(7H,m), 7.70(1H,dd,J=7.8,1.5Hz), 8.07(1H,J=6.6,1.5Hz).

IR(CHCl₃): 3364, 3026, 2952, 2874, 2212, 1707, 1597, 1491, 1458, 1411, 1341, 1164/cm.[α]_D = -43.1° (CHCl₃, c=1.00, 25°C).

No.1a — 57

CDCl₃ 300MHz

0.99-1.97(14H,m), 2.23-2.30(3H,m), 3.01(1H,m), 3.67(3H,s), 5.17-5.26(3H,m), 7.36-7.38(3H,m), 7.50-7.56(3H,m), 7.60(1H,m), 7.83(1H,m), 8.05(1H,m).

IR(CHCl₃): 3376, 3020, 2946, 2870, 1727, 1598, 1491, 1437, 1412, 1330, 1245, 1163/cm.[α]_D = -12.7° (CHCl₃, c=1.00, 24°C).

No.1a — 58

CDCl₃ 300MHz

0.97-1.98(14H,m), 2.20(1H,m), 2.33(2H,t,J=6.9Hz), 3.02(1H,m), 5.19-5.28(3H, m), 7.36-7.38(3H,m), 7.47-7.55(3H,m), 7.69(1H,m), 7.83(1H,m), 8.04(1H,m).

IR(CHCl₃): 3376, 3260, 3022, 3002, 2948, 2868, 2220, 1708, 1598, 1490, 1455, 1412, 1327, 1162/cm.[α]_D = -8.6° (CHCl₃, c=1.01, 24°C).

No.1a — 59

CDCl₃ 300MHz

0.95-1.99(24H,m), 2.20(1H,m), 2.28(2H,t,J=7.8Hz), 2.53(1H,s), 2.96(1H,m), 3.69(3H,s), 4.99(1H,d,J=6.6Hz), 5.18-5.20(2H,m), 7.53(2H,d,J=8.4Hz), 7.82(2H,d,J=8.4Hz).

IR(CHCl₃): 3583, 3376, 3002, 2936, 2852, 1725, 1591, 1490, 1437, 1393, 1325, 1160/cm.[α]_D = -8.8° (CHCl₃, c=1.00, 24°C).

No.1a — 60

CDCl₃ 300MHz

0.96-2.05(24H,m), 2.22(1H,m), 2.33(2H,m), 2.88(1H,m), 5.22-5.26(2H,m), 5.30(1H,d,J=5.7Hz), 7.50(2H,d,J=8.7Hz), 7.80(2H,d,J=8.7Hz).

IR(CHCl₃): 3376, 3260, 3022, 2936, 2852, 1710, 1592, 1491, 1452, 1395, 1325, 1159/cm.[α]_D = -8.9° (CHCl₃, c=1.06, 24°C).

mp. 88-91°C

No.1a — 61

CDCl₃ 300MHz

0.95-2.24(23H,m), 2.29(2H,m), 2.99(1H,m), 3.69(3H,s), 4.76(1H,d,J=6.3Hz), 5.21-5.24(2H,m), 6.28(1H,m), 7.50-7.53(2H,m), 7.77-7.80(2H,m).

IR(CHCl₃): 3374, 3270, 3018, 2942, 2868, 2196, 1726, 1589, 1490, 1435, 1324, 1158/cm.[α]_D = +7.7° (CHCl₃, c=1.02, 24°C), mp. 93-95°C

No.1a — 62

CDCl₃ 300MHz

0.96-2.45(23H,m), 2.36(2H,d,J=6.9Hz), 2.99(1H,m), 5.24(1H,d,J=6.3Hz), 5.24-5.32(2H,m), 6.28(1H,m), 7.50-7.53(2H,m), 7.78-7.81(2H,m).

IR(CHCl₃): 3468, 3

374, 3260, 3020, 2942, 2868, 2196, 1598, 1490, 1455, 1398, 1322, 1157/cm.

[α]_D = +19.4° (CHCl₃, c=1.03, 24°C).

No.1a — 63

CDCl₃ 300MHz
 0.93-1.95(25H,m), 2.16(1H,m), 2.29(2H,t,J=7.2Hz), 2.43(2H,t,J=6.9Hz), 2.94(1
 5 H,m), 3.69(3H,s), 4.95(1H,d,J=6.9Hz), 5.21-5.24(2H,m), 7.49(2H,d,J=8.7Hz), 7.79(2H,J=8.7Hz).
 IR(CHCl₃): 3376, 3018, 2946, 2866, 2222, 1727, 1592, 1456, 1435, 1325, 1158/cm.
 [α]_D=+3.7° (CHCl₃, c=1.00, 25°C).

No.1a — 64

10 CDCl₃ 300MHz
 0.93-1.97(26H,m), 2.35(2H,t,J=7.2Hz), 2.43(2H,t,J=7.2Hz), 3.00(1H,m), 5.08(1 H,d,J=6.6Hz), 5.26-
 5.27(2H,m), 7.49(2H,d,J=8.7Hz), 7.78(2H,d,J=8.7Hz).
 15 IR(CHCl₃): 3260, 3020, 2948, 2864, 2222, 1708, 1592, 1489, 1456, 1397, 1324, 1156/cm.
 [α]_D=+14.4° (CHCl₃, c=1.00, 25°C) mp. 70-71°C.

No.1a — 65

20 CDCl₃ 300MHz
 0.95-1.98(14H,m), 2.18(1H,m), 2.30(2H,t,J=7.2Hz), 3.00(1H,m), 3.67(3H,s), 4.8 3(1H,d,J=6.9Hz), 5.22-
 5.25(2H,m), 5.54(1H,br), 6.82-6.85(2H,m), 7.42-7.45(2H,m), 7.59-7.62(2H,m), 7.82-7.85(2H,m).
 IR(CHCl₃): 3576, 3374, 3018, 2946, 2868, 2208, 1725, 1607, 1587, 1514, 1435, 1325, 1270, 1162, 1133/cm.
 [α]_D=+9.1° (CHCl₃, c=1.03, 24°C), mp. 111-112°C

25 No.1a — 66

CDCl₃ 300MHz
 0.97-2.03(14H,m), 2.15(1H,m), 2.35(2H,t,J=7.5Hz), 3.00(1H,m), 5.17(1H,d,J=6. 6Hz), 5.26-5.30(2H,m), 6.82-
 6.85(2H,m), 7.42-7.45(2H,m), 7.59-7.62(2H,m), 7.8 2-7.85(2H,m).
 30 IR(CHCl₃): 3260, 2948, 2870, 2208, 1709, 1607, 1587, 1514, 1396, 1325, 1270, 1162, 1133/cm.
 [α]_D=-21.0° (CHCl₃, c=1.00, 23°C), mp. 161-162°C

No.1a — 67

35 CDCl₃ 300MHz
 0.95-1.98(14H,m), 2.20(1H,m), 2.29(2H,t,J=7.2Hz), 3.01(1H,m), 3.67(3H,s), 4.8 2(1H,d,J=6.6Hz), 5.19-
 5.27(2H,m), 7.05-7.10(2H,m), 7.51-7.56(2H,m), 7.61-7.6 4(2H,m), 7.84-7.87(2H,m).
 IR(CHCl₃): 3374, 3280, 3020, 2946, 2868, 2214, 1727, 1589, 1509, 1435, 1327, 1233, 1161, 1134/cm.
 40 [α]_D=+6.7° (CHCl₃, c=1.01, 24°C), mp. 84-85°C

No.1a — 68

45 CDCl₃ 300MHz
 0.96-2.01(14H,m), 2.15(1H,m), 2.34(2H,t,J=6.9Hz), 3.02(1H,m), 5.23-5.27(3H, m), 7.04-7.10(2H,m), 7.51-
 7.56(2H,m), 7.61-7.64(2H,m), 7.85-7.88(2H,m).
 IR(CHCl₃): 3374, 3258, 3020, 2948, 2868, 2214, 1708, 1589, 1509, 1455, 1398, 1322, 1156/cm.
 [α]_D=+22.6° (CHCl₃, c=1.02, 24°C), mp. 135-136°C

No.1a — 69

50 CDCl₃ 300MHz
 0.95-1.98(14H,m), 2.19(1H,m), 2.29(2H,t,J=7.2Hz), 2.39(3H,s), 3.01(1H,m), 3.6 9(3H,s), 4.80(1H,d,J=6.6Hz), 5.20-
 5.29(2H,m), 7.18(2H,d,J=8.1Hz), 7.44(2H,d, J=8.1Hz), 7.62(2H,d,J=8.4Hz), 7.84(2H,d,J=8.4Hz).
 55 IR(CHCl₃): 3374, 3022, 2946, 2868, 2210, 1727, 1589, 1511, 1436, 1323, 1161, 1133/cm.
 [α]_D=+9.2° (CHCl₃, c=1.02, 24°C).
 mp. 116-118°C

No.1a — 70

CDCl₃ 300MHz

1.15-2.00(14H,m), 2.13(1H,m), 2.33-2.38(5H,m), 3.04(1H,m), 5.14(1H,d,J=6.6 Hz), 5.25-5.30(2H,m), 7.17(2H,d,J=7.8Hz), 7.44(2H,d,J=7.8Hz), 7.62(2H,d,J=8.4Hz), 7.85(2H,d,J=8.4Hz).
 IR(CHCl₃): 3380, 3260, 3020, 2948, 2868, 2210, 1708, 1590, 1511, 1396, 1324, 1160, 1133/cm.
 [α]_D=+24.6° (CHCl₃, c=1.00, 24°C).

No.1a — 71

CDCl₃ 300MHz

0.95-1.96(14H,m), 2.19(1H,m), 2.29(2H,t,J=7.2Hz), 3.00(1H,m), 3.20(1H,s), 3.6 5(3H,s), 4.81(1H,d,J=6.6Hz), 5.20-5.27(2H,m), 7.46-7.54(4H,m), 7.62-7.65(2H, m), 7.85-7.88(2H,m).
 IR(CHCl₃): 3374, 3290, 3018, 3002, 2946, 2868, 2212, 2110, 1726, 1591, 1507, 1435, 1401, 1324, 1161/cm.
 [α]_D=+9.6° (CHCl₃, c=1.01, 24°C), mp. 136-138°C,

No.1a — 72

CDCl₃ 300MHz

0.96-2.01(14H,m), 2.14(1H,m), 2.35(2H,t,J=7.2Hz), 3.05(1H,m), 3.20(1H,s), 5.1 6(1H,d,J=7.2Hz), 5.26-5.29(2H,m), 7.45-7.53(4H,m), 7.63(2H,d,J=8.4Hz), 7.87(2H,d,J=8.4Hz).
 IR(CHCl₃): 3462, 3374, 3290, 3024, 2948, 2868, 2212, 2110, 1708, 1591, 1508, 1455, 1401, 1321, 1274, 1160, 1132/cm.
 [α]_D=+24.3° (CHCl₃, c=1.03, 24°C), mp. 96-99°C

No.1a — 73

CDCl₃ 300MHz

0.95-1.98(14H,m), 2.19(1H,m), 2.27-2.32(5H,m), 3.01(1H,m), 3.67(3H,s), 4.80(1 H,d,J=6.6Hz), 5.20-5.27(2H,m), 7.12(2H,m), 7.56(2H,m), 7.63(2H,m), 7.84(2H, m).
 IR(CHCl₃): 3374, 3276, 3018, 2946, 2868, 2214, 1762, 1730, 1589, 1506, 1435, 1368, 1161/cm.
 [α]_D=+7.8° (CHCl₃, c=1.02, 24°C), mp. 102-104°C

No.1a — 74

CDCl₃ 300MHz

0.95-2.05(14H,m), 2.15(1H,m), 2.32-2.37(5H,m), 3.02(1H,m), 5.14(1H,d,J=6.6 Hz), 5.26-5.30(2H,m), 7.10-7.13(2H,m), 7.54-7.57(2H,m), 7.62-7.64(2H,m), 7.84 -7.87(2H,m).
 IR(CHCl₃): 3482, 3250, 3022, 2946, 2868, 2214, 1716, 1709, 1589, 1507, 1454, 1396, 1368, 1322, 1195, 1161/cm.
 [α]_D=+15.0° (CHCl₃, c=1.00, 24°C) mp. 129-131°C

No.1a — 75

CDCl₃ 300MHz

0.95-1.99(14H,m), 2.20(1H,m), 2.30(2H,t,J=7.2Hz), 3.02(1H,m), 3.67(3H,s), 3.9 4(3H,s), 4.79(1H,d,J=6.6Hz), 5.19-5.29(2H,m), 7.60-7.63(2H,m), 7.65-7.67(2H, m), 7.86-7.89(2H,m), 8.04-8.06(2H,m).
 IR(CHCl₃): 3378, 3018, 2946, 2880, 1720, 1604, 1435, 1307, 1276, 1161, 1106 /cm.
 [α]_D=+7.3° (CHCl₃, c=1.01, 25°C), mp. 132-133°C

No.1a — 76

CDCl₃+CD₃OD 300MHz

1.04-2.05(14H,m), 2.19(1H,m), 2.32(2H,t,J=6.9Hz), 2.93(1H,m), 5.27-5.31(2H, m), 7.60-7.63(2H,m), 7.65-7.68(2H,m), 7.86-7.89(2H,m), 8.05-8.07(2H,m).
 IR(CHCl₃): 3402, 3299, 2955, 2876, 2665, 2549, 1455, 1422, 1313, 1281, 1164 /cm.
 [α]_D=-21.1° (CH₃OH, c=1.03, 23°C), mp. 227-229(dec.)

No.1a — 77

CDCl₃ 300MHz
 0.96-1.99(14H,m), 2.20(1H,m), 2.30(2H,t,J=7.2Hz), 3.02(1H,m), 3.68(3H,s), 4.8 8(1H,d,J=6.3Hz), 5.19-
 5.29(2H,m), 7.67-7.72(4H,m), 7.89-7.91(2H,m), 8.24-8.27(2H,m).
 IR(CHCl₃): 3376, 3276, 3020, 2946, 2870, 2214, 1726, 1594, 1519, 1455, 1435, 1389, 1344, 1161/cm.
 [α]_D=+7.7° (CHCl₃, c=1.02), mp. 87-89°C

No.1a — 78

CDCl₃ 300MHz
 0.98-2.00(14H,m), 2.18(1H,m), 2.34(2H,t,J=7.2Hz), 3.02(1H,m), 5.24-5.28(2H, m), 5.32(1H,d,J=5.7Hz), 7.67-
 7.72(4H,m), 7.89-7.92(2H,m), 8.23-8.26(2H,m).
 IR(CHCl₃): 3374, 3260, 2948, 2214, 1708, 1595, 1344, 1160/cm.
 [α]_D=+23.3° (CHCl₃, c=1.00), mp. 102-103°C.

No.1a — 79 CDCl₃ 300MHz

0.93-2.02(14H,m), 2.13(1H,m), 2.36(2H,t,J=7.1Hz), 3.05(1H,m), 3.84(3H,s), 5.1 8(1H,br), 5.27-5.31(2H,m), 6.88-
 6.91(2H,m), 7.48-7.50(2H,m), 7.60-7.63(2H,m), 7.83-7.85(2H,m).
 IR(CHCl₃): 3380, 3252, 3020, 2950, 2868, 2208, 1708, 1589, 1511, 1457, 1396, 1321, 1286, 1160/cm.
 [α]_D=+26.7° (CHCl₃, c=1.00), mp. 75-77°C

No.1a — 80

CDCl₃ 300MHz
 0.96-1.99(14H,m), 2.21(1H,m), 2.30(2H,t,J=7.8Hz), 3.02(1H,m), 3.68(3H,s), 4.8 0(1H,d,J=6.6Hz), 5.19-
 5.28(2H,m), 7.51-7.77(5H,m), 7.87-7.90(2H,m), 8.13(1H, m).
 IR(CHCl₃): 3374, 3270, 3018, 2946, 2868, 2216, 1726, 1607, 1567, 1527, 1495, 1456, 1436, 1344, 1296, 1161/cm.
 [α]_D=+7.4° (CHCl₃, c=1.00, 22°C), mp. 68-70°C

No.1a — 81

CDCl₃ 300MHz
 0.97-2.01(14H,m), 2.16(1H,m), 2.34(2H,t,J=7.2Hz), 3.01(1H,m), 5.22-5.28(3H, m), 7.51(1H,m), 7.65(1H,m), 7.70-
 7.76(3H,m), 7.88-7.91(2H,m), 8.12(1H,dd,J=6.9Hz, 1.5Hz).
 IR(CHCl₃): 3480, 3382, 3262, 2952, 2872, 2218, 1708, 1607, 1567, 1526, 1396, 1343, 1225, 1160/cm.
 [α]_D=+22.0° (CHCl₃, c=1.00), mp. 92-94°C

No.1a — 82

CDCl₃ 300MHz
 0.95-1.98(14H,m), 2.20(1H,m), 2.29(2H,t,J=7.2Hz), 3.01(1H,m), 3.67(3H,s), 4.3 0(2H,br), 4.79(1H,d,J=6.9Hz), 5.20-
 5.29(2H,m), 6.71-6.76(2H,m), 7.18(1H,m), 7.37(1H,dd,J=7.8, 1.2Hz), 7.61-7.65(2H,m), 7.83-7.87(2H,m).
 IR(CHCl₃): 3376, 3020, 2946, 2868, 2202, 1725, 1613, 1589, 1484, 1454, 1315, 1253, 1161/cm.
 [α]_D=+8.9° (CHCl₃, c=1.00, 22°C), mp. 68-70°C

No.1a — 83

CDCl₃ 300MHz
 0.97-1.99(14H,m), 2.17(1H,m), 2.33(2H,t,J=6.9Hz), 2.99(1H,m), 5.20-5.28(2H, m), 5.37(1H,d,J=6.9Hz), 6.45(2H,br), 6.71-6.76(2H,m), 7.19(1H,dd,J=7.8, 6.6Hz), 7.37(1H,m), 7.62(2H,d,J=8.4Hz), 7.85(2H,d,J=8.4Hz).
 IR(CHCl₃): 3478, 3378, 3260, 3022, 2950, 2868, 2204, 1708, 1613, 1589, 1484, 1454, 1396, 1316, 1160/cm.
 [α]_D=+17.1° (CHCl₃, c=1.01).

No.1a — 84

CDCl₃ 300MHz

1.00-2.08(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.06(1H,m),3.86(3H,s),5.2
5.33(2H,m),5.45(1H,d,J=6.6Hz),6.91-6.94(2H,m),7.56-7.59(2H,m),7.81(1H,
d,t,J=8.1Hz),8.04(1H,d,d,J=8.1&1.8Hz),8.57(1H,d,J=2.1Hz).

IR(CHCl₃):3492,3254,3028,2954,2202,1708,1597,1512,1344,1291,1250/cm.
[α]_D=+27.4° (CHCl₃,c=0.53,23°C).

No.1a — 85

CDCl₃ 300MHz

0.96-2.05(14H,m),2.20(1H,m),2.35(2H,t,J=6.9Hz),2.99(1H,m),3.84(3H,s),5.2
5.31(3H,m),6.89(2H,d,J=8.7Hz),7.19(1H,brs),7.29(1H,brs),7.45-7.50(3H,m)
IR(CHCl₃):3478,3378,3020,2950,2868,2202,1708,1606,1511,1421,1311,1287,1248,1155/cm.
[α]_D=+17.1° (CHCl₃,c=1.00,23°C).

No.1a — 86

CDCl₃ 300MHz

1.03-2.05(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.04(1H,m),5.29-5.33(2H,
m),5.57(1H,d,J=6.3Hz),6.84-
6.87(2H,m),7.50-7.53(2H,m),7.79(1H,d,J=8.1Hz),8.03(1H,d,d,J=1.5and8.1Hz),8.57(1H,d,J=1.5Hz).
IR(CHCl₃):3250,3024,2950,2868,2200,1707,1515,1344,1271,1166,1143/cm.
[α]_D=+21.2° (CHCl₃,c=0.26,22°C).

No.1a — 87

CD₃OD 300MHz

1.04-2.00(14H,m),2.18(1H,m),2.26(2H,t,J=5.4Hz),2.93(1H,m),5.19-5.24(2H,
m),6.77-
6.80(2H,m),7.05(1H,d,d,J=2.1and8.1Hz),7.22(1H,d,J=2.1Hz),7.38-7.42(3H,m).
IR(CHCl₃):3377,2952,2873,2204,1705,1607,1515,1425,1312,1267,1222,1153/cm.
[α]_D=-15.6° (CH₃OH,c=1.02,22°C).

No.1a — 88

CDCl₃ 300MHz

0.90-1.96(14H,m),2.22-2.31(3H,m),2.95(1H,m),3.65(3H,s),4.87(1H,d,J=6.6H
z),5.13-5.28(2H,m),7.46-
7.62(3H,m),7.82-7.89(4H,m),7.90-7.96(2H,m),8.42(1H,brs).
IR(CHCl₃):3376,3016,2946,2868,1720,1677,1592,1514,1498,1429,1376,1314,1241,1156,1094/cm.
[α]_D=-10.7° (CHCl₃,c=1.04,22.0°C) mp.134-136°C

No.1a — 89

CDCl₃+CD₃OD 300MHz

0.96-2.08(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.89(1H,m),5.20-5.32(2H,
m),7.46-7.62(3H,m),7.82-
7.97(6H,m).
IR(KBr):3272,3007,2952,2874,1708,1660,1592,1527,1498,1433,1400,1317,1260,1152,1094/cm.
[α]_D=-24.4° (CH₃OH,c=1.02,25.0°C).

No.1a — 90

CDCl₃ 300MHz

0.89-1.96(14H,m),2.23-2.33(3H,m),2.92(1H,m),3.67(3H,s),4.85(1H,d,J=6.3H
z),5.10-5.25(2H,m),7.81-
7.90(4H,m),8.10-8.18(2H,m),8.31-8.40(2H,m),8.77(1H,s).
IR(CHCl₃):3372,3018,2946,2868,1718,1685,1592,1527,1436,1397,1346,1318,1256,1154,1099/cm.
[α]_D=-16.1° (CHCl₃,c=1.00,23.0°C).

No.1a — 91

CDCl₃+CD₃OD 300MHz

0.94-2.02(14H,m),2.18-2.36(3H,m),2.87(1H,m),5.15-5.30(2H,m),7.82-7.92(4
H,m),8.09-8.16(2H,m),8.30-

8.37(2H,m).

IR(KBr):3284,3112,3006,2952,2874,1707,1593,1528,1498,1399,1348,1320,1 259,1153,1093 /cm.

[α]_D = -26.3° (CH₃OH, c=1.01, 22°C).

5 No.1a — 92

CDCl₃ 300MHz

0.93-1.95(14H,m), 2.22-2.31(3H,m), 2.98(1H,m), 3.68(3H,s), 5.07(1H,d, J=6.9H z), 5.10-

5.24(2H,m), 7.18(1H,m), 7.35-7.43(2H,m), 7.70(2H,d, J=7.8Hz), 7.88-8.05(4H,m), 8.50(1H,brs),

10 IR(CHCl₃):3382,3008,2952,1720,1675,1599,1525,1499,1438,1321,1253,1161, 1087 /cm.[α]_D = -16.6° (CHCl₃, c=1.03, 24.0°C) mp. 100-101°C

No.1a — 93

15 CDCl₃+CD₃OD 300MHz

0.96-2.00(14H,m), 2.18-2.35(3H,m), 2.90(1H,m), 5.15-5.30(2H,m), 7.18(1H,m), 7.33-7.42(2H,m), 7.65-

7.74(2H,m), 7.90-8.08(4H,m).

IR(KBr):3347,3194,3011,2955,2875,1706,1650,1602,1544,1499,1443,1325, 1265,1165,1091 /cm.

[α]_D = -19.4° (CH₃OH, c=1.00, 24.0°C) mp. 158-159°C

20

No.1a — 94

CD₃OD 300MHz

1.05-2.00(14H,m), 2.14(1H,m), 2.23(2H,t, J=7.2Hz), 2.98(1H,m), 3.80(3H,s), 5.1 3-5.27(2H,m), 6.88-6.98(2H,m), 7.54-

25 7.64(2H,m), 7.94-8.12(4H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1

302,1248,1162,1107,1090,1032/cm.

[α]_D = -19.1° (CH₃OH, c=1.01, 24°C).

30 No.1a — 95

CD₃OD 300MHz

1.04-2.02(14H,m), 2.14(1H,m), 2.23(2H,t, J=7.2Hz), 2.93-3.02(7H,m), 5.13-5.27 (2H,m), 6.82-6.92(2H,m), 7.51-

7.59(2H,m), 7.95-8.02(2H,m), 8.04-8.11(2H,m).

35 IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1

302,1248,1162,1107,1090,1032/cm.

[α]_D = -17.6° (CH₃OH, c=1.01, 24°C).

No.1a — 96

40

CD₃OD 300MHz

1.05-2.02(14H,m), 2.14(1H,m), 2.23(2H,t, J=7.2Hz), 2.98(1H,m), 5.13-5.27(2H, m), 6.75-6.84(2H,m), 7.43-

7.52(2H,m), 7.94-8.12(4H,m).

IR(KBr):3339,3197,2953,2875,1707,1644,1606,1541,1514,1446,1325,1293,1 259,1240,1225,1161,1091/cm.

45 [α]_D = -18.7° (CH₃OH, c=1.00, 24°C). mp. 193-196°C

No.1a — 97

d₆-DMSO 300MHz

50 1.05-2.08(15H,m), 2.15(2H,t, J=7.5Hz), 2.89(1H,m), 5.18-5.28(2H,m), 6.78-7.12

(3H,m), 7.73(1H,d, J=1.4 and 7.8Hz), 7.91-7.95(3H,m), 8.14(2H,d, J=8.4Hz), 9.71(1H,s).

IR(KBr):3407,3191,2953,1711,1646,1614,1603,1537,1457,1326,1162,1151/cm.

[α]_D = -20.7° (CH₃OH, c=1.01, 21°C).

55 No.1a — 98

CDCl₃ 300MHz

0.93-2.00(14H,m), 2.21(1H,m), 2.31(2H,t, J=7.2Hz), 2.93(1H,m), 3.84(3H,s), 3.8 5(6H,s), 5.15-

EP 0 837 052 A1

5.30(2H,m), 5.45(1H,d,J=6.3Hz), 7.04(2H,s), 7.78-7.86(2H,m), 7.90-7.98(2H,m), 8.58(1H,s).
 IR(CHCl₃): 3264, 3008, 2954, 2874, 1707, 1670, 1607, 1537, 1506, 1451, 1421, 1308, 1158, 1129, 1086/cm.
 $[\alpha]_D = -7.2^\circ$ (CHCl₃, c=1.01, 23.5°C). mp. 147-149°C.

5 No.1a — 99

CD₃OD 300MHz
 1.04-1.98(14H,m), 2.21(1H,m), 2.10(2H,t,J=7.2Hz), 2.95(1H,m), 3.76(3H,s), 3.8 6(6H,s), 5.07-
 5.24(2H,m), 7.19(2H,s), 7.99(2H,d,J=8.7Hz), 8.13(1H,d,J=8.7Hz).
 10 IR(KBr): 3354, 3002, 2950, 2874, 1656, 1607, 1570, 1508, 1452, 1413, 1314, 1233, 1185, 1157, 1127, 1092/cm.
 $[\alpha]_D = -20.3^\circ$ (CH₃OH, c=1.00, 23.5°C).

No.1a — 100

15 CDCl₃ 300MHz
 1.14-1.97(14H,m), 2.19(1H,m), 2.28(2H,t,J=7.4Hz), 3.04(1H,m), 3.69(3H,s), 5.0 3(1H,d,J=6.9Hz), 5.15-
 5.29(2H,m), 7.65(2H,d,J=8.4Hz), 7.87(1H,s), 7.98(2H,d,J=8.4Hz).
 IR(CHCl₃): 3386, 3271, 3025, 3015, 2955, 2877, 1755, 1712, 1608, 1331, 1162/cm.
 $[\alpha]_D = -29.4^\circ$ (CH₃OH, c=1.01, 25°C).

20

No.1a — 101

d₆-DMSO
 1.00-2.20(17H,m), 2.84(1H,m), 5.00-5.20(2H,m), 7.78(2H,d,J=8.2Hz), 7.84(1H,s), 7.89-7.95(3H,m).
 25 IR(KBr): 3269, 3065, 3008, 2952, 2874, 2763, 1746, 1707, 1607, 1322, 1157/cm.
 $[\alpha]_D = -26.2^\circ$ (CH₃OH, c=1.01, 25°C).

No.1a — 102

30 CD₃OD
 1.00-2.25(17H,m), 2.92(1H,s), 3.64(3H,s), 5.07-5.21(2H,m), 7.53(1H,s), 7.77(2H,d,J=8.6Hz), 7.90(2H,d,J=8.6).
 IR(KBr): 3430, 3277, 3006, 2952, 2873, 1720, 1687, 1620, 1571, 1438, 1312, 1156/cm.
 $[\alpha]_D = -27.3^\circ$ (CH₃OH, c=0.51, 26°C), mp 230-232°C.

35 No.1a — 103

CDCl₃ 300MHz
 0.94-1.96(14H,m), 2.19(1H,m), 2.28(2H,t,J=7.2Hz), 3.04(1H,m), 3.69(3H,s), 5.1 1(1H,d,J=6.6Hz), 5.15-
 5.28(2H,m), 7.60(2H,d,J=8.4Hz), 7.67(1H,s), 7.98(2H,d,J=8.4Hz).
 40 IR(CHCl₃): 3381, 3021, 2955, 2876, 1735, 1605, 1437, 1411, 1325, 1231, 1177/cm.
 $[\alpha]_D = +8.6^\circ$ (CHCl₃, c=1.00, 23°C).

No.1a — 104

45 CDCl₃ 300MHz
 0.94-1.96(14H,m), 2.21(1H,m), 2.31(2H,t,J=6.8Hz), 2.99(1H,m), 5.18-5.28(2H,m), 5.45(1H,d,J=6.6Hz), 7.61(2H,d,J=8.7Hz), 7.67(1H,s), 7.99(2H,d,J=8.7Hz).
 IR(CHCl₃): 3382, 3222, 3028, 3019, 2957, 2876, 1736, 1709, 1604, 1412, 1322, 1301, 1286, 1179, 1162/cm.
 $[\alpha]_D = +10.4^\circ$ (CHCl₃, c=1.00, 23°C).

50

No.1a — 105

CDCl₃ 300MHz
 0.92-1.98(14H,m), 2.17(1H,m), 2.26(2H,d,J=7.5Hz), 3.01(1H,m), 3.69(3H,s), 4.0 1(3H,s), 4.84(1H,d,J=6.3Hz), 5.14-
 5.30(2H,m), 7.71(2H,d,J=8.7Hz), 7.87(2H,d,J=8.7Hz), 8.09(1H,s).
 55 IR(CHCl₃): 3385, 3284, 3025, 3015, 2954, 2877, 2821, 1730, 1598, 1459, 1438, 1403, 1341, 1160, 1052/cm.
 $[\alpha]_D = +3.6^\circ$ (CHCl₃, c=1.00, 26°C).

No.1a — 106

CDCl₃ 300MHz

0.92-2.08(14H,m), 2.14(1H,m), 2.34(2H,d,J=7.2Hz), 3.02(1H,m), 4.01(3H,s), 5.1
 5.32(2H,m), 7.71(2H,d,J=8.4Hz), 7.88(2H,d,J=8.4Hz), 8.09(1H,s). 9(1H,d,J=6.9Hz), 5.23-
 IR(CHCl₃):3510,3384,3268,3028,3021,3014,2957,2877,2821,2667,2821,2666,
 1707,1598,1459,1404,1341,1324,1160,1052 /cm.
 [α]_D= +11.8° (CHCl₃,c=1.01,25°C). mp 95-96°C

10 No.1a — 107

CDCl₃ 300MHz

0.92-1.97(14H,m), 1.34(3H,t,J=7.2Hz), 2.18(1H,m), 2.28(2H,d,J=7.4Hz), 3.01(1
 H,m), 3.68(3H,s), 4.26(2H,q,J=7.2Hz), 4.86(1H,d,J=6.6Hz), 5.15-5.29(2H,m), 7.
 71(2H,d,J=8.7Hz), 7.87(2H,d,J=8.7Hz), 8.09(1H,s).
 IR(CHCl₃):3385,3282,3025,3026,3015,2954,2877,1729,1599,1480,1458,1438, 1403,1338,1161 /cm.
 [α]_D=+4.4° (CHCl₃,c=1.00,25°C).

20 No.1a — 108

CDCl₃ 300MHz

0.90-2.04(14H,m), 1.34(3H,t,J=7.2Hz), 2.14(1H,m), 2.34(2H,d,J=7.1Hz), 3.01(1
 H,m), 4.27(2H,q,J=7.2Hz), 5.20(1H,d,J=6.6Hz), 5.21-5.35(2H,m), 7.71(2H,d,J=
 8.4Hz), 7.88(2H,d,J=8.4Hz), 8.10(1H,s).
 IR(CHCl₃):3514,3384,3270,3025,3015,2957,2877,1708,1599,1458,1403, 1324,1324,1160,1050 /cm.
 [α]_D= +12.7° (CHCl₃,c=1.00,25°C).

No.1a — 109

30 [α]_D=+8.5° (CHCl₃,c=1.00,25°C).mp109.0-111.0°C

No.1a — 110

CDCl₃:CD₃OD(95:5)

35 0.92-2.06(14H,m), 2.20(1H,m), 2.30(2H,d,J=7.2Hz), 2.99(1H,m), 5.22-5.33(2H,
 7.66(3H,m), 8.07(2H,d,J=9.0Hz), 8.12-8.20(2H,m), 8.29(2H,d,J=9.0Hz) m), 7.54-
 IR(Nujol):3270,2956,2924,2854,1716,1548,1485,1319,1167/cm.
 [α]_D=+17.0° (CHCl₃,c=1.00,25°C). mp.166.5-168°C

40 No.1a — 111

[α]_D=+2.6° (CHCl₃,c=1.00,24°C).mp120.0-121.0°C

No.1a — 112

CDCl₃ 300MHz

45 0.96-2.04(14H,m), 2.19(1H,m), 2.33(2H,d,J=7.1Hz), 3.07(1H,m), 5.28-5.31(2H,
 7.63(3H,m), 8.05(2H,d,J=8.4Hz), 8.18-8.23(2H,m), 8.41(2H,d,J=8.4Hz) m), 5.33(1H,d,J=6.6Hz), 7.54-
 IR(CHCl₃):3384,3269,3025,3015,2957,2877,1708,1598,1496,1457,1417,1326, 1164 /cm.
 50 [α]_D= +12.2° (CHCl₃,c=1.00,24°C). mp.163-164°C

No.1a — 113

[α]_D= +22.1° (CHCl₃,c=1.05,25°C). mp.90-92°C

55

No.1a — 114

[α]_D= +2.2° (CHCl₃,c=1.02,25°C).

No.1a — 115

CDCl₃ 300MHz0.90-1.98(14H,m), 2.15-2.22(1H,m), 2.27(2H,t,J=7.2Hz), 2.95-3.04(1H,m),
3.68(3H,s), 4.04(2H,s), 4.85(1H,d,J=6.6Hz), 5.10-5.27(2H,m), 7.12-7.34(7H,m), 7.76-7.82(2H,m).IR(CHCl₃): 3384, 3026, 2952, 1727, 1595, 1493, 1436, 1318, 1155, 1091, 890/cm.[α]_D=0°[α]₄₃₆=+4.9±0.4° (CHCl₃, c=1.05, 23°C)

10 No.1a — 116

CDCl₃ 300MHz

0.90-2.10(14H,m), 2.10-2.18(1H,m), 2.32(2H,t,J=7.2Hz), 2.96-3.04(1H,m), 4.04(2H,s), 5.14(1H,d,J=6.6Hz), 5.16-5.28(2H,m), 7.12-7.34(7H,m), 7.76-7.82(2H,m).

IR(CHCl₃): 3260, 3020, 2950, 1709, 1407, 1318, 1154, 1091, 892/cm.[α]_D=+9.1±0.5° (CHCl₃, c=1.04, 23°C)

No.1a — 117

20 CD₃OD 300MHz

0.96-2.18(17H,m), 2.89-2.92(1H,m), 4.05(2H,s), 4.95-5.22(2H,m), 7.15-7.42(7H,m), 7.75-7.81(2H,m).

IR(KBr): 3429, 3279, 2951, 2872, 1563, 1494, 1453, 1408, 1313, 1155, 1093, 1057/cm.

[α]_D=-16.3±0.5° (CH₃OH, c=1.06, 25°C)

25 No.1a — 118

CDCl₃ 300MHz

0.98-1.70(15H,m), 1.80-2.00(5H,m), 2.20-2.40(3H,m), 2.98(1H,m), 4.06(2H,s), 4.72(1H,d,J=6.3Hz), 5.00-5.23(3H,m), 7.16(2H,d,J=8.4Hz), 7.26-7.33(5H,m), 7.79(2H,d,J=8.1Hz).

IR(CHCl₃): 3376, 3020, 2948, 2868, 1716, 1596, 1492, 1453, 1407, 1318, 1155, 1105/cm.[α]_D=+2.4° (CHCl₃, c=1.08, 24°C)

No.1a — 119

35 CDCl₃ 300MHz

0.90-2.02(14H,m), 2.20(1H,m), 2.29(2H,t,J=7.2Hz), 3.00(1H,m), 3.68(3H,s), 4.85(1H,d,J=6.9Hz), 5.13-5.34(2H,m), 7.00-7.09(4H,m), 7.22(1H,m), 7.37-7.45(2H,m), 7.79-7.86(2H,m).

IR(CHCl₃): 3376, 3018, 2946, 2868, 1727, 1582, 1486, 1321, 1243, 1151, 1093 /cm.[α]_D= +4.5° (CHCl₃, c=1.05, 23.5°C).

40

No.1a — 120

CD₃OD 300MHz

1.00-2.00(14H,m), 2.13(2H,t,J=7.5Hz), 2.16(1H,m), 2.91(1H,m), 5.05-5.33(2H,m), 7.04-7.11(4H,m), 7.18-7.25(1H,m), 7.38-7.48(2H,m), 7.80-7.87(2H,m).

IR(KBr): 3430, 3278, 3006, 2952, 2873, 1583, 1487, 1410, 1322, 1298, 1245, 1152, 1095 /cm.

[α]_D= -8.8° (CH₃OH, c=1.05, 25.0°C).

No.1a — 121

50

CDCl₃ 300MHz

0.90-2.10(14H,m), 2.15(1H,m), 2.35(2H,t,J=7.2Hz), 3.01(1H,m), 5.20(1H,d,J=6.9Hz), 5.22-5.35(2H,m), 7.00-7.09(4H,m), 7.18-7.25(1H,m), 7.37-7.45(2H,m), 7.79-7.86(2H,m).

IR(CHCl₃): 3260, 3020, 2948, 2868, 1708, 1582, 1486, 1409, 1321, 1296, 1243, 1151, 1093 /cm.[α]_D= +13.1° (CHCl₃, c=1.04, 24.0°C).

55

No.1a — 122

CDCl₃ 300MHz
 0.90-2.00(14H,m),2.23(1H,m),2.28(2H,t,J=7.5Hz),2.96(1H,m),3.67(3H,s),4.6 9(1H,d,J=6.6Hz),5.15-
 5.32(2H,m),6.22(1H,s),6.98-7.40(5H,m),7.30-7.38(2H, m),7.68-7.74(2H,m).
 IR(CHCl₃):3416,3370,3018,2946,2868,1725,1587,1508,1437,1400,1320,1149, 1094 /cm.
 [α]_D= +6.2° (CHCl₃,c=1.04,25.0°C).

No.1a — 123

CDCl₃ 300MHz
 0.90-2.04(14H,m),2.18(1H,m),2.33(2H,t,J=7.2Hz),2.96(1H,m),5.04-5.35(3H, m),6.98-7.12(3H,m),7.12-
 7.20(2H,m),7.28-7.38(2H,m)7.66-7.74(2H,m).
 IR(CHCl₃):3424,3270,3028,2952,2872,1708,1587,1508,1445,1399,1320,1148, 1092 /cm.
 [α]_D= +20.9° (CHCl₃,c=1.06,23.0°C).

No.1a — 124

CDCl₃ 300MHz
 0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),3.14(3H,s),3.6
 8(3H,s),4.56(2H,s),4.84(1H,d,J=6.3Hz),5.10-5.29(2H,m),7.16-7.26(4H,m),7.2 6-7.34(2H,m),7.78-7.84(2H,m).
 IR(CHCl₃):3384,3028,2952,2874,1727,1598,1501,1435,1410,1370,1329,1172, 1148,1091 /cm.
 [α]_D= +2.7° (CHCl₃,c=1.09,23.0°C).

No.1a — 125

CDCl₃ 300MHz
 0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),2.29(3H,s)3.00(1H,m),3.6
 8(3H,s),4.04(2H,s),4.80(1H,d,J=6.6Hz),5.11-5.29(2H,m),6.99-7.06(2H,m),7.1
 7.19(2H,m),7.31(2H,d,J=8.1Hz),7.79(2H,d,J=8.1Hz).
 IR(CHCl₃):3382,3280,3024,2950,2874,1730,1596,1504,1435,1407,1367,1318 1196,1155,1091 /cm.
 [α]_D= +2.9° (CHCl₃,c=1.06,23.0°C).

No.1a — 126

CDCl₃ 300MHz
 0.90-2.02(14H,m),2.14(1H,m),2.29(3H,s),2.32(2H,t,J=7.2Hz),3.01(1H,m),4.0 3(2H,s),5.10(1H,d,J=6.6Hz),5.15-
 5.30(2H,m)6.98-7.06(2H,m)7.11-7.18(2H, m),7.30(2H,d,J=8.1Hz),7.79(2H,d,J=8.1Hz).
 IR(CHCl₃):3374,3260,3020,2948,2868,1749,1708,1596,1504,1407,1369,1317, 1195,1155,1091 /cm.
 [α]_D= +10.0° (CHCl₃,c=1.09,23.0°C).

No.1a — 127

CDCl₃ 300MHz
 0.87-1.95(14H,m),2.18-2.32(3H,m),2.95(1H,m),3.69(3H,s),3.96(2H,s),4.79(1 H,d,J=6.6Hz),4.97-
 5.17(2H,m),5.54(1H,s),6.75-6.82(2H,m),6.97-7.05(2H,m), 7.25-7.33(2H,m),7.75-7.81(2H,m).
 IR(CHCl₃):3382,3026,2950,2874,1722,1595,1511,1436,1407,1317,1257,1154, 1090 /cm.
 [α]_D= -2.1° (CHCl₃,c=1.00,21.5°C).

No.1a — 128

CDCl₃ 300MHz
 0.85-2.02(14H,m),2.18(1H,m),2.31(2H,t,J=7.2Hz),2.96(1H,m),3.95(2H,s),5.0 5-5.27(3H,m),6.73-6.82(2H,m),6.96-
 7.04(2H,m),7.25-7.32(2H,m),7.74-7.81(2 H,m).
 IR(CHCl₃):3262,3020,2948,2868,1708,1596,1511,1407,1315,1242,1154,1091 /cm.
 [α]_D=+4.8° (CHCl₃,c=1.04,22°C).

No.1a — 129

CDCl₃ 300MHz

0.89-1.98(14H,m), 2.18(1H,m), 2.27(2H,t, J=7.2Hz), 2.99(1H,m), 3.68(3H,s), 3.7
 5 9(3H,s), 3.98(2H,s), 4.81(1H,d, J=6.6Hz), 5.10-5.27(2H,m), 6.81-6.87(2H,m), 7.0 3-7.10(2H,m), 7.25-
 7.32(2H,m), 7.75-7.82(2H,m).

IR(CHCl₃): 3382, 3276, 3006, 2950, 2874, 1726, 1609, 1509, 1457, 1436, 1407, 1315, 1244, 1154, 1091, 1033/cm.[α]_D=+19.3° (CHCl₃, c=1.05, 23°C).

10 No.1a — 130

CDCl₃ 300MHz

0.90-2.00(14H,m), 2.20(1H,m), 2.30(2H,t, J=7.2Hz), 2.98(1H,m), 3.69(3H,s), 4.8 1(1H,d, J=6.6Hz), 5.12-
 5.32(2H,m), 5.46(1H,brs), 6.84-7.01(6H,m), 7.76-7.83(2H,m)

15 IR(CHCl₃): 3380, 3284, 3024, 2952, 2874, 1724, 1588, 1504, 1488, 1436, 1321, 1296, 1149, 1091/cm.[α]_D=+28.9° (CHCl₃, c=1.01, 23°C).

No.1a — 131

20 CDCl₃ 300MHz

0.92-2.10(14H,m), 2.18(1H,m), 2.34(2H,t, J=6.9Hz), 2.96(1H,m), 5.18-5.35(3H, m), 6.84-7.01(6H,m), 7.75-
 7.83(2H,m).

IR(CHCl₃): 3270, 3028, 2952, 2874, 1708, 1589, 1505, 1489, 1456, 1322, 1297, 1238, 1148, 1091/cm.[α]_D=+7.7° (CHCl₃, c=1.09, 24°C).

25

No.1a — 132

CDCl₃ 300MHz

0.91-2.02(14H,m), 2.19(1H,m), 2.29(2H,t, J=7.2Hz), 2.99(1H,m), 3.68(3H,s), 3.8 3(3H,s), 4.82(1H,d, J=6.6Hz), 5.14-
 5.33(2H,m), 6.90-7.04(6H,m), 7.76-7.83(2H,m).

30 IR(CHCl₃): 3384, 3006, 2952, 2874, 1727, 1589, 1502, 1488, 1459, 1438, 1321, 1295, 1231, 1150, 1092, 1033/cm.[α]_D=+3.1° (CHCl₃, c=1.01, 23°C).

No.1a — 133

35 TLC R_f=0.21 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a — 134

40 CDCl₃ 300MHz

0.97-2.10(14H,m), 2.20(1H,m), 2.36(2H,t, J=6.9Hz), 3.04(1H,m), 5.22-5.33(2H, m), 5.41(1H,d, J=6.6Hz), 7.02(1H,d, J=9.0Hz), 7.09-7.13(2H,m), 7.26-7.32(1H,m), 7.43-
 7.49(2H,m), 7.93(1H,d,d, J=2.4 and 9.0Hz), 8.46(1H,d, J=2.4Hz).

IR(CHCl₃): 3384, 3270, 3020, 2958, 1709, 1610, 1587, 1537, 1479, 1352, 1271, 1252, 1167/cm.45 [α]_D=+20.9° (CHCl₃, c=0.51, 22°C).

No.1a — 135

50 CDCl₃ 300MHz

0.96-2.02(14H,m), 2.21(1H,m), 2.29(2H,t, J=7.2Hz), 3.07(1H,m), 3.68(3H,s), 5.0 4(1H,d, J=6.9Hz), 5.16-
 5.33(2H,m), 7.48-7.55(2H,m), 7.64(1H,m), 7.76-7.82(2H,m), 7.88-7.94(2H,m), 7.98-8.04(2H,m).

IR(CHCl₃): 3384, 3282, 3026, 2952, 2874, 1727, 1663, 1596, 1446, 1396, 1316, 1274, 1163, 1090 /cm.[α]_D= +3.1° (CHCl₃, c=1.03, 22.0°C).

55 No.1a — 136

CDCl₃ 300MHz

0.95-2.05(14H,m), 2.19(1H,m), 2.34(2H,t, J=7.2Hz), 3.08(1H,m), 5.10-5.40(2H, m), 5.35(1H,d, J=6.8Hz), 7.45-

7.58(2H,m), 7.64(1H,m), 7.74-7.84(2H,m), 7.84-7.95(2H,m), 7.95-8.06(2H,m).
 IR(CHCl₃): 3260, 3018, 2950, 2870, 1708, 1662, 1595, 1446, 1395, 1316, 1274, 1162, 1090 /cm.
 [α]_D = +12.9° (CHCl₃, c=1.05, 21.5°C).

5 No. 1a — 137

CDCl₃ 300MHz
 0.97-2.04(14H,m), 2.27(1H,m), 2.31(2H,t,J=7.2Hz), 3.07(1H,m), 3.70(3H,s), 5.15-5.30(3H,m), 7.48-7.68(5H,m), 7.96-8.02(2H,m).
 IR(CHCl₃): 3382, 3030, 2952, 2878, 1725, 1446, 1329, 1154, 1098 /cm.
 [α]_D = -12.1° (CHCl₃, c=1.03, 22.0°C).

No. 1a — 138

15 CDCl₃ 300MHz
 0.95-2.04(14H,m), 2.25(1H,m), 2.35(2H,t,J=7.2Hz), 3.08(1H,m), 5.15-5.34(2H,m), 5.41(1H,d,J=6.6Hz), 7.48-7.68(5H,m), 7.98-8.03(2H,m).
 IR(CHCl₃): 3370, 3242, 3022, 2950, 2870, 1707, 1445, 1408, 1329, 1154, 1099 /cm.
 [α]_D = -0.6° (CHCl₃, c=1.06, 21.5°C) [α]₃₆₅ = +30.7° (CHCl₃, c=1.06, 21.5°C).

20 No. 1a — 139

CDCl₃ 300MHz
 0.92-2.19(14H,m), 2.27-2.34(3H,m), 3.26(1H,m), 3.65(3H,s), 4.28(2H,s), 4.37(1H,d,J=7.4Hz), 5.34-5.50(2H,m), 7.37-7.62(9H,m).
 IR(CHCl₃): 3389, 3294, 3028, 3015, 2954, 2877, 1730, 1600, 1488, 1325, 1151, 1129 /cm.
 [α]_D = -24.8° (CHCl₃, c=1.01, 24°C).

30 No. 1a — 140

CDCl₃ 300MHz
 0.92-2.22(15H,m), 2.34(2H,t,J=7.1Hz), 3.24(1H,m), 4.29(2H,s), 4.81(1H,d,J=7.4Hz), 5.32-5.52(2H,m), 7.36-7.62(9H,m).
 IR(CHCl₃): 3510, 3388, 3251, 3031, 3015, 2956, 2877, 2668, 1708, 1601, 1488, 1318, 1151, 1129 /cm.
 [α]_D = -24.6° (CHCl₃, c=1.02, 25°C).

35 No. 1a — 141

CDCl₃ 300MHz
 0.92-2.19(15H,m), 2.32(2H,t,J=7.2Hz), 3.26(1H,m), 3.65(3H,s), 4.31(2H,s), 4.48(1H,d,J=7.4Hz), 5.33-5.49(2H,m), 7.42-7.80(8H,m).
 IR(CHCl₃): 3388, 3285, 3018, 2955, 2877, 2225, 1730, 1597, 1479, 1320, 1152, 1129 /cm.
 [α]_D = -20.1° (CHCl₃, c=0.96, 25°C).

45 No. 1a — 142

CDCl₃ 300MHz
 0.92-2.22(15H,m), 2.35(2H,t,J=6.8Hz), 3.25(1H,m), 4.32(2H,s), 4.86(1H,d,J=7.4Hz), 5.33-5.53(2H,m), 7.43-7.80(8H,m).
 IR(CHCl₃): 3512, 3388, 3258, 3031, 3023, 3014, 2956, 2877, 2225, 1708, 1597, 1479, 1319, 1151, 1128 /cm.
 [α]_D = -19.3° (CHCl₃, c=1.09, 23°C).

50 No. 1a — 143

CDCl₃ 300MHz
 1.00-1.93(14H,m), 2.17(1H,m), 2.27(2H,t,J=7.2Hz), 3.07(1H,m), 5.17-5.22(2H,m), 5.36(1H,d,J=6.9Hz), 7.77(1H,d,J=9.0Hz), 8.11-8.17(2H,m), 8.36(1H,d,d,J=2.1 and 9.0Hz), 8.51(1H,d,J=1.8Hz), 8.65(1H,d,J=2.1Hz).

EP 0 837 052 A1

IR(CHCl₃):3382,3266,3026,2954,2874,1708,1632,1585,1528,1458,1419,1345, 1153/cm.
[α]_D=+7.6° (CHCl₃,c=1.04,22°C).

No.1a — 144

5

CDCl₃ 300MHz
0.95-1.90(14H,m),2.17(1H,m),2.25(2H,t,J=7.5Hz),3.02(1H,m),5.09(1H,d,J=6.6Hz),5.15-5.21(2H,m),6.72(1H,d,J=8.4Hz),6.85(1H,s),7.54(1H,d,J=8.4Hz),7.72(1H,d,J=9.0Hz),7.83(1H,d,d,J=1.8and9.0Hz),8.32(1H,d,J=1.8Hz).
10 IR(CHCl₃):3380,3260,3022,2948,2868,2352,1709,1636,1460,1425,1313,1291, 1265,1148,1130/cm.
[α]_D=+12.9° (CHCl₃,c=1.02,22.5°C).

No.1a — 145

15

CDCl₃ 300MHz
0.97-1.90(14H,m),2.15(1H,m),2.27(2H,t,J=6.9Hz),3.02(1H,m),3.08(6H,s),5.12(1H,d,J=6.3Hz),5.19-5.25(2H,m),6.78-6.84(2H,m),7.53(1H,d,J=8.7Hz),7.76-7.83(2H,m),8.30(1H,d,J=1.8Hz).
IR(CHCl₃):3272,3030,2950,2874,1708,1635,1601,1511,1457,1425,1357,1328, 1151,1124/cm.
[α]_D=+6.3° (CHCl₃,c=1.04,23°C).

20

No.1a — 146

CDCl₃ 300MHz
0.95-2.00(14H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.13-5.28(2H,m),5.38(1H,d,J=6.9Hz),7.67-7.74(2H,m),8.08(1H,d,d,J=1.8and9.0 Hz),8.11(1H,s),8.61(1H,d,J=1.8Hz).
25 IR(CHCl₃):3260,3020,2948,2868,1708,1639,1606,1528,1470,1455,1424,1349,1311,1238,1174,1149,1120,1079,1060,1022/cm.
[α]_D=+7.8° (CHCl₃,c=1.00,23°C).

30 No.1a — 147

CDCl₃ 300MHz
0.92-1.92(14H,m),2.17(1H,m),2.25(2H,t,J=7.2Hz),3.01(1H,m),3.97(3H,s),5.10-5.27(5H,m),6.92(1H,s),7.29(1H,s),7.52(1H,d,J=8.7Hz),7.82(1H,d,d,J=2.1and8.7Hz),8.33(1H,d,J=2.1Hz).
35 IR(CHCl₃):3380,3264,3002,2950,2868,1708,1634,1476,1452,1426,1317,1264,1218,1169,1147,1115,1068,1031/cm.
[α]_D=+5.6° (CHCl₃,c=1.02,23°C).

No.1a — 148

40

CDCl₃ 300MHz
0.90-1.98(14H,m),2.15(1H,m),2.28(2H,t,J=6.9Hz),2.91(6Hs),3.03(1H,m),4.01(3H,s),5.15-5.26(3H,m),7.18(1H,s),7.38(1H,s),7.59(1H,d,J=8.7Hz),7.87(1H,d,d,J=2.1and8.7Hz),8.40(1H,d,J=2.1Hz).
IR(CHCl₃):3384,3266,2956,1709,1632,1602,1495,1473,1458,1430,1317,1231, 1148,1121/cm.
45 [α]_D=+11.2° (CHCl₃,c=1.01,23°C).

No.1a — 149

50

CDCl₃ 300MHz
0.99-1.90(14H,m),2.17(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),5.13-5.19(2H,m),5.43(1H,d,J=6.0Hz),7.02(1H,d,d,J=2.4and9.0Hz),7.38-7.41(2H,m),7.58(1H,d,J=8.7Hz),7.96(1H,d,d,J=1.8and8.7Hz),8.45(1H,d,J=1.8Hz).
IR(CHCl₃):3270,3020,2948,2868,1709,1601,1478,1448,1419,1315,1147,1120/cm.
[α]_D=-11.4° (CHCl₃,c=1.01,23°C).

55

No.1a — 150

CDCl₃ 300MHz

0.97-1.88(14H,m), 2.12-2.31(3H,m), 2.38(3H,s), 3.01(1H,m), 5.14-5.19(2H,m), 5.36(1H,d,J=6.6Hz), 7.24(1H,d,d,J=2.4and9.0Hz), 7.59(1H,d,J=6.3Hz), 7.66(1H,d,J=8.7Hz), 7.72(1H,d,J=2.4Hz), 8.01(1H,d,d,J=1.8and8.7Hz), 8.49(1H,d,J=1.8Hz).
 IR(CHCl₃): 3470, 3374, 3260, 3018, 2950, 2868, 1709, 1474, 1444, 1412, 1370, 1319, 1266, 1162, 1145, 1118/cm.
 5 [α]_D=+4.9° (CHCl₃, c=1.00, 24°C).

No.1a — 151

CDCl₃ 300MHz
 10 0.97-1.89(14H,m), 2.17(1H,m), 2.25(2H,t,J=7.2Hz), 3.03(1H,m), 3.92(3H,s), 5.1 5-
 5.20(2H,m), 5.32(1H,d,J=6.6Hz), 7.11(1H,d,d,J=2.4and9.3Hz), 7.45(1H,d,J=2.4Hz), 7.50(1H,d,J=9.3Hz), 7.62(1H,d,J=8.7Hz), 7.97(1H,d,d,J=2.1and8.7Hz), 8.50(1H,d,J=2.1Hz).
 IR(CHCl₃): 3260, 3018, 2948, 1708, 1483, 1454, 1432, 1314, 1287, 1268, 1188, 1169, 1147/cm.
 [α]_D=+4.9° (CHCl₃, c=1.01, 23.5°C).

No.1a — 152

CDCl₃ 300MHz
 20 0.98-2.04(14H,m), 2.15(1H,m), 2.30(2H,t,J=6.6Hz), 3.04(1H,m), 5.17-5.29(3H,m), 7.41(1H,d,d,J=1.5and8.1Hz), 7.64-7.68(2H,m), 7.92(1H,d,J=8.4Hz), 8.00(1H,d,d,J=1.8and8.4Hz), 8.49(1H,d,J=1.8Hz).
 IR(CHCl₃): 3266, 3028, 2952, 2872, 1707, 1629, 1591, 1456, 1416, 1318, 1275, 1150/cm.
 [α]_D=+3.2° (CHCl₃, c=1.04, 23°C).

25 No.1a — 153

CDCl₃ 300MHz
 0.97-1.88(14H,m), 2.16(1H,m), 2.26(2H,t,J=7.2Hz), 3.03(1H,m), 4.64-4.65(2H,m), 5.16-
 5.50(5H,m), 6.13(1H,m), 7.14(1H,d,d,J=2.7and9.0Hz), 7.46-7.52(2H,m), 7.63(1H,d,J=8.7Hz), 7.97(1H,d,d,J=1.8and8.7Hz), 8.49(1H,d,J=1.8Hz).
 30 IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1708, 1599, 1478, 1446, 1414, 1314, 1284, 1268, 1184, 1148, 1120/cm.
 [α]_D=+5.3° (CHCl₃, c=1.00, 23°C).

No.1a — 154

CDCl₃ 300MHz
 0.99-2.00(15H,m), 2.26(2H,t,J=7.2Hz), 3.03(1H,m), 4.07(3H,s), 5.23-5.27(2H,m), 5.36(1H,d,J=7.2Hz), 7.20(1H,s), 7.36-7.48(2H,m), 7.55-7.58(1H,m), 7.91-7.93(1H,m), 8.52(1H,s).
 40 IR(CHCl₃): 3362, 3257, 3020, 2948, 2868, 1708, 1637, 1602, 1579, 1488, 1457, 1437, 1413, 1345, 1318, 1301, 1276, 1182, 1104/cm.
 [α]_D=+19.4° (CHCl₃, c=1.01, 25°C).
 mp. 88-90°C

No.1a — 155

CDCl₃ 300MHz
 0.92-2.02(14H,m), 2.15(1H,m), 2.31(2H,t,J=7.2Hz), 3.01(1H,m), 4.10(2H,s), 5.1 0(1H,d,J=6.6Hz), 5.18-
 5.35(2H,m), 7.04-7.26(5H,m), 7.67-7.76(2H,m).
 50 IR(CHCl₃): 3266, 3028, 2952, 2952, 2872, 1708, 1599, 1574, 1478, 1457, 1418, 1301, 1258, 1147, 1124, 1101, 1080/cm.
 [α]₃₆₅=+33.4° (CHCl₃, c=1.00, 23°C).

No.1a — 156

CDCl₃ 300MHz
 0.91-2.21(15H,m), 2.33(2H,t,J=6.9Hz), 3.01(1H,m), 5.11(1H,d,J=6.6Hz), 5.27-5.35(2H,m), 6.85-
 6.96(5H,m), 7.35(1H,d,J=2.1Hz), 7.42(1H,d,d,J=2.1and8.7Hz).
 IR(CHCl₃): 3384, 3263, 2957, 1708, 1587, 1489, 1462, 1416, 1290, 1222, 1151, 1123/cm.
 [α]_D=+6.4° (CHCl₃, c=1.00, 23°C).

No.1a — 157

CDCl₃ 300MHz0.97-1.91(14H,m), 2.18(1H,m), 2.26(2H,t, J=6.9Hz), 3.04(1H,m), 5.18-5.26(3H, m), 7.52-7.56(2H,m), 7.88-
5 8.00(3H,m), 8.25(1H,m), 8.69(1H,m).IR(CHCl₃): 3382, 3268, 2952, 2874, 1707, 1457, 1425, 1409, 1318, 1152/cm.[α]_D=+4.4° (CHCl₃, c=1.02, 22°C).

No.1a — 158

CDCl₃ 300MHz

1.02-1.97(14H,m), 2.20(1H,m), 2.29(2H,t, J=7.2Hz), 3.06(1H,m), 5.19-5.24(2H, m), 5.58(1H,d, J=6.6Hz), 7.62(1H,m), 7.72(1H,m), 7.86-7.91(2H,m), 7.96(1H,d, J=7.8Hz), 8.04(1H,d, J=1.5 and 8.1Hz), 8.34(1H,d, J=1.2Hz).

15 IR(CHCl₃): 3490, 3260, 3020, 2950, 2870, 1707, 1456, 1399, 1312, 1165/cm.[α]_D=-8.3° (CHCl₃, c=1.00, 23°C).

No.1a — 159

CDCl₃ 300MHz

20 0.92-1.88(14H,m), 2.13(1H,m), 2.24(2H,m), 3.02(1H,m), 3.90(3H,s), 5.12-5.26(3 H,m), 7.29-7.58(4H,m), 7.97(1H,d, J=1.8 and 7.5Hz), 8.13(1H,d, J=7.5Hz), 8.64 (1H,d, J=1.8Hz).

IR(CHCl₃): 3382, 3266, 3018, 2956, 1708, 1629, 1594, 1476, 1467, 1325, 1245, 1227, 1158, 1146/cm.[α]_D=+14.6° (CHCl₃, c=1.00, 22°C).

No.1a — 160

CDCl₃ 300MHz

30 0.93-1.88(14H,m), 2.18-2.24(3H,m), 3.00(1H,m), 5.08-5.21(3H,m), 7.28-7.33(1 H,m), 7.47-7.51(3H,m), 7.90(1H,d, J=1.5 and 7.8Hz), 8.10(1H,d, J=7.8Hz), 8.63 -8.64(2H,m).

IR(CHCl₃): 3465, 3380, 3275, 3020, 2957, 2876, 1708, 1627, 1604, 1495, 1473, 1457, 1328, 1240, 1222, 1156, 1149/cm.[α]_D=+8.2° (CHCl₃, c=1.01, 22°C).

No.1a — 161

CDCl₃ 300MHz

35 0.98-1.88(14H,m), 2.17(1H,m), 2.24(2H,t, J=7.2Hz), 3.05(1H,m), 5.16-5.20(2H, m), 5.35(1H,d, J=6.6Hz), 7.40(1H,m), 7.55(1H,m), 7.63(1H,d, J=8.1Hz), 7.89(1H, d, J=1.5 and 8.1Hz), 8.01(1H,m), 8.06(1H,d, J=8.1Hz), 8.12(1H,d, J=1.5Hz).

40 IR(CHCl₃): 3478, 3266, 3028, 2952, 2874, 1708, 1454, 1417, 1323, 1196, 1148/cm.[α]_D=+21.9° (CHCl₃, c=1.01, 23°C).

No.1a — 162

CDCl₃ 300MHz

45 0.96-1.98(14H,m), 2.02(1H,m), 2.25(2H,t, J=7.2Hz), 3.05(1H,m), 4.10(3H,s), 5.1 4-5.25(2H,m), 5.41(1H,d, J=7.2Hz), 7.35-7.42(1H,m), 7.51-7.64(3H,m), 7.94-8.00(1H,m), 8.16(1H,s).

IR(CHCl₃): 3368, 3274, 3028, 2952, 2874, 1708, 1633, 1583, 1465, 1452, 1438, 1413, 1315, 1151, 1103, 1053, 1024/cm.[α]_D=+15.1° (CHCl₃, c=1.01, 23°C). mp. 108-110°C

No.1a — 163

d₆-DMSO 300MHz

55 0.97-1.84(14H,m), 1.92(1H,m), 2.04(2H,t, J=7.5Hz), 2.90(1H,m), 5.08-5.23(2H, m), 7.32(1H,s), 7.38-7.61(2H,m), 7.62(1H,s), 7.68-7.71(1H,m), 7.92(1H,s), 8.14-8.17(1H,m), 10.7(1H,s), 11.9(1H,s).

IR(KBr): 3350, 3295, 2952, 2874, 1707, 1636, 1601, 1466, 1431, 1389, 1315, 1251, 1174, 1146, 1106/cm.

[α]_D=-25.3° (CH₃OH, c=1.01, 25°C). mp. 159-162°C

No.1a — 164

CDCl₃ 300MHz

0.98-1.96(17H,m),2.05(1H,m),2.25(2H,t,J=7.2Hz)3.07(1H,m)4.32(2H,q,J=7.2Hz),5.19-5.23(2H,m),5.31(1H,d,J=7.8Hz),7.38(1H,m)7.41-7.62(3H,m),7.95(1H,m),8.15(1H,s).
 IR(CHCl₃):3360,3018,2946,2870,1709,1633,1457,1445,1425,1394,1314,1176,1152,1105/cm.
 [α]_D = +12.7° (CHCl₃, c=1.02, 25°C). mp.108-109°C

No.1a — 165

CDCl₃ 300MHz

0.95-1.98(15H,m),2.26(2H,t,J=7.5Hz),3.04(1H,m),4.15(3H,s)5.20-5.26(2H,m),5.34(1H,d,J=6.9Hz),7.41-7.47(1H,m),7.65-7.68(2H,m)7.89-7.92(1H,m),8.32(1H,s).
 IR(CHCl₃):3366,3087,3022,2957,1708,1632,1538,1463,1408,1364,1346,1308,1227,1212,1205,1167/cm.
 [α]_D = +19.6° (CHCl₃, c=1.01, 25°C).

No.1a — 166

CDCl₃ 300MHz

0.97-2.02(15H,m),2.27(2H,t,J=6.9Hz),3.07(1H,m),4.14(3H,s)5.21-5.27(2H,m),5.47(1H,d,J=6.9Hz),7.64(1H,s),7.72(1H,d,d,J=0.6and9.0Hz)8.25(1H,s)8.47(1H,d,d,J=2.4and9.0Hz),8.94(1H,d,d,J=0.6and2.4Hz).
 IR(CHCl₃):3373,2957,1708,1639,1587,1528,1467,1428,1415,1345,1221,1184,1155/cm.
 [α]_D = +14.4° (CHCl₃, c=0.50, 25°C)

No.1a — 167

CDCl₃ 300MHz

0.92-2.00(14H,m),2.15(1H,m),2.27(2H,t,J=7.2Hz),3.04(1H,m),3.97(2H,s),5.15-5.30(3H,m),7.35-7.47(2H,m),7.55-7.63(1H,m),7.80-7.96(3H,m),8.05(1H,d,J=0.3Hz).
 IR(CHCl₃):3260,3020,2948,2868,1707,1451,1413,1319,1172,1144,1101,1071/cm.
 [α]_D = +18.2° (CHCl₃, c=1.04, 22°C).

No.1a — 168

CDCl₃ 300MHz

0.90-1.88(14H,m),2.16(1H,m),2.25(2H,t,J=6.9Hz),3.00(1H,m),5.00-5.19(2H,m),5.35(1H,d,J=6.6Hz),7.25-7.30(1H,m),7.48-7.50(2H,m),7.73(1H,d,d,J=1.5 and8.1Hz),8.08-8.14(3H,m),8.93(1H,s).
 IR(CHCl₃):3466,3380,3276,3016,2957,1708,1630,1495,1458,1324,1241,1150/cm.
 [α]_D = +18.0° (CHCl₃, c=1.00, 22°C).

No.1a — 169

CDCl₃ 300MHz

0.87-1.86(14H,m),2.15(1H,m),2.25(2H,t,J=6.9Hz),2.98(1H,m),3.89(3H,s),5.05-5.22(2H,m),5.27(1H,d,J=6.9Hz),6.88(1H,d,d,J=2.1and8.4Hz),6.94(1H,d,J=2.1Hz),7.69(1H,d,d,J=1.5and7.8Hz),7.92-8.01(3H,m),8.83(1H,s).
 IR(CHCl₃):3465,3378,3276,3022,2957,1708,1630,1609,1569,1459,1433,1314,1281,1229,1151/cm.
 [α]_D = +19.3° (CHCl₃, c=1.01, 21°C).

No.1a — 170

CDCl₃ 300MHz

0.88-2.25(17H,m),3.04(1H,m),3.84(3H,s),3.95(3H,s),5.06-5.26(3H,m),6.87-6.93(2H,m),7.69(1H,d,d,J=1.6and8.2Hz),7.93-9.05(3H,m).
 IR(CHCl₃):3026,2957,1708,1630,1601,1460,1331,1243,1224,1152/cm.
 [α]_D = +17.2° (CHCl₃, c=1.00, 22°C).

No.1a — 171

CDCl₃ 300MHz

0.95-2.00(14H,m), 2.16-2.32(3H,m), 2.66(3H,s), 3.14(1H,m), 3.68(3H,s), 5.09(1H,d,J=6.8Hz), 5.10-

5.28(2H,m), 7.45(1H,d,d,J=1.8&8.6Hz), 7.75-7.84(2H,m).

IR(CHCl₃): 3374, 3018, 2946, 2868, 1725, 1585, 1513, 1436, 1340, 1278, 1153, 1112 /cm.[α]_D = -14.7° (CHCl₃, c=1.07, 25.0°C).

No.1a — 172

CDCl₃ 300MHz

0.97-2.02(14H,m), 2.23(1H,m), 2.28(2H,t,J=7.2Hz), 2.66(3H,s), 3.14(1H,m), 5.1H, 5.10-2-

5.22(2H,m), 5.41(1H,d,J=7.2Hz), 7.45(1H,d,d,J=2.1&8.7Hz), 7.76(1H,d,J=8.7Hz), 7.78(1H,d,J=2.1Hz).

IR(CHCl₃): 3372, 3250, 3022, 2950, 2868, 1707, 1514, 1419, 1336, 1279, 1154, 1112 /cm.[α]_D = -4.1° (CHCl₃, c=1.08, 26.0°C) m.p. 141-143°C

No.1a — 173

CDCl₃ 300MHz

1.15-2.42(17H,m), 2.91(1H,m), 5.15(1H,d,J=4.2Hz), 5.25-5.40(2H,m), 7.85(1H, t,J=7.2Hz), 8.00(1H,t,J=8.1Hz), 8.15-

8.20(2H,m), 8.67(1H,d,J=8.1Hz), 8.73(1H, d,J=8.1Hz), 8.83(1H,s), 9.43(1H,s).

IR(KBr): 3422, 3269, 3046, 2952, 2871, 1711, 1617, 1447, 1333, 1243, 1161, 1146/cm.

[α]_D = -41.0° (CH₃OH, c=1.01, 23°C).

No.1a — 174

CDCl₃+d₆-DMSO 300MHz

1.00-1.92(14H,m), 2.20(2H,t,J=6.6Hz), 2.35(1H,m), 2.92(1H,m), 5.05-5.22(2H, m), 6.63(1H,d,J=5.4Hz), 7.77-

7.92(3H,m), 8.31(1H,d,d,J=1.8and8.7Hz), 8.59(1H,d,J=8.7Hz), 8.73(1H,d,J=8.7Hz), 9.01(1H,s), 9.55(1H,d,J=1.8Hz).

IR(KBr): 3433, 3252, 2952, 2871, 1696, 1578, 1423, 1335, 1308, 1219, 1185, 1160, 1106/cm.

[α]_D = -19.3° (DMSO, c=0.50, 23°C).

No.1a — 175

CDCl₃ 300MHz

0.96-1.87(14H,m), 2.20-2.25(3H,m), 2.95(1H,m), 3.66(3H,s), 4.74(1H,d,J=6.6Hz), 5.10-5.12(2H,m), 6.88(1H,d,J=1.2Hz), 7.37-7.50(3H,m), 7.56(1H,dd,J=8.7,1.2Hz), 7.77(3H,m), 8.06(1H,s), 9.44(1H,dd,J=1.2Hz).

IR(CHCl₃): 3462, 3374, 3026, 3006, 2952, 2872, 1724, 1610, 1580, 1484, 1452, 1358, 1309, 1147.[α]_D = +16.4° (CHCl₃, c=1.05, 26°C). mp. 130-132°C.

No.1a — 176

CDCl₃+CD₃OD 300MHz

1.00-2.02(14H,m), 2.22(1H,m), 2.29(2H,t,J=6.9Hz), 2.88(1H,m), 5.16-5.26(2H, m), 6.87(1H,s), 7.28-

7.57(4H,m), 7.69(1H,d,J=8.4Hz), 7.75-7.78(2H,m), 7.99(1H, s).

IR(KBr): 3254, 2944, 1704, 1484, 1453, 1358, 1305, 1147.

[α]_D = +13.0° (CH₃OH, c=1.02, 24°C), mp. 160-161°C

No.1a — 177

CDCl₃ 300MHz

0.96-1.88(14H,m), 1.88-2.26(3H,m), 2.94(1H,m), 3.67(3H,s), 3.87(3H,s), 4.67(1H,brs), 5.08-

5.14(2H,m), 6.77(1H,d,J=1.5Hz), 6.99-7.02(2H,m), 7.53-7.57(1H, m), 7.65-7.70(3H,m), 8.00(1H,s), 9.27(1H,brs).

IR(CHCl₃): 3426, 3376, 3006, 2952, 1724, 1610, 1495, 1438, 1357, 1308, 1282, 1249, 1177, 1147/cm.[α]_D = +18.1° (CHCl₃, c=1.02, 22°C).

No.1a — 178

CDCl₃+CD₃OD 300MHz
 0.96-1.91(14H,m),2.19(1H,m),2.27(2H,t,J=6.0Hz),2.85(1H,m),3.87(3H,s),5.1 6-5.23(2H,m),6.99-
 5 7.02(2H,m),7.41(1H,m),7.64-7.73(3H,m),7.92(1H,m).
 IR(CHCl₃):3366,3261,3004,2954,2873,1705,1611,1496,1458,1438,1304,1286, 1253,1180,1149,1128/cm.
 [α]_D=+14.6° (CHCl₃,c=1.02,22°C).

No.1a — 179

CDCl₃+CD₃OD 300MHz
 0.96-1.87(14H,m),2.15-2.23(3H,m),2.93(1H,m),3.85(3H,s),5.10-5.16(2H,m),6. 90-6.93(2H,m),7.50(1H,m),7.60-
 10 7.65(3H,m),7.91(1H,d,J=0.9Hz).
 IR(CHCl₃):3369,3270,2950,2873,1719,1612,1498,1456,1440,1359,1306,1269, 1219,1146,1127/cm.
 15 [α]_D=+18.1° (CH₃OH,c=1.00,22°C).

No.1a — 180

CDCl₃+CD₃OD 300MHz
 20 1.03-1.86(14H,m),2.08-2.17(3H,m),2.91(1H,m),5.06-5.10(2H,m),6.76(1H,m), 6.86-6.90(2H,m),7.48(1H,m),7.61-
 7.69(3H,m),7.89(1H,m).
 IR(CHCl₃):3360,3259,2954,2873,1706,1612,1497,1457,1360,1306,1272,1230, 1176,1148,1126/cm.
 [α]_D=+20.3° (CH₃OH,c=1.00,22°C).

25 No.1a — 181

CDCl₃ 300MHz
 0.97-1.96(14H,m),2.15(1H,m),2.29(2H,t,J=6.9Hz),3.05(1H,m),3.81(3H,s)5.0 8(1H,d,J=6.9Hz),5.23-
 5.25(2H,m),6.62(1H,s),7.47-7.54(5H,m),7.59(1H,m),7. 70(1H,m),7.97(1H,m).
 30 IR(CHCl₃):3380,3260,3020,2946,2868,1708,1466,1388,1328,1149/cm.
 [α]_D=+32.9° (CHCl₃,c=1.07,22°C).

No.1a — 182

CDCl₃ 300MHz
 0.94-1.90(14H,m),2.25(2H,t,J=7.5Hz)2.30(1H,m),2.98(1H,m),3.70(3H,s)4.8 3(1H,d,J=6.6Hz),5.13-
 5.16(2H,m),6.95(1H,d,J=1.5Hz),7.11-7.23(2H,m),7.43(1H,d,J=8.1Hz),7.65(1H,d,J=8.1Hz),7.79-
 7.93(4H,m),9.08(1H,br).
 IR(CHCl₃):3458,3372,3020,3002,2946,2868,1719,1598,1452,1422,1321,1300, 1157/cm.
 40 [α]_D=-6.6° (CHCl₃,c=1.00), mp 150-151°C

No.1a — 183

CDCl₃ 300MHz
 45 0.95-1.94(14H,m),2.26(1H,m),2.28(2H,t,J=7.5Hz),3.00(1H,m),5.16-5.19(2H,
 m),5.32(1H,d,J=7.2Hz),6.93(1H,d,J=1.2Hz),7.13(1H,m),7.22(1H,dd,J=7.8,6.
 6Hz),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=7.8Hz),7.76(2H,d,J=8.4Hz),7.90(2H,d, J=8.4Hz),8.95(1H,br).
 IR(CHCl₃):3458,3374,3260,3020,3002,2948,2868,1708,1598,1452,1422,130 1,1156/cm.
 [α]_D=+17.9° (CHCl₃,c=1.01,22°C).

50 No.1a — 184

CDCl₃ 200MHz
 0.92-2.00(14H,m),2.20(1H,m),2.34(2H,t,J=6.8Hz),3.05(1H,m),5.20-5.36(3H, m),7.39-7.44(2H,m),7.61-
 55 7.66(1H,m),7.80-7.84(1H,m),8.05(2H,d,J=8.6Hz),8. 40(2H,d,J=8.6Hz).
 IR(CHCl₃):3384,3271,3019,2958,1709,1615,1599,1551,1453,1405,1344,1326, 1243,1163/cm.
 [α]_D=+18.5° (CHCl₃,c=1.00,21°C).

No.1a — 185

CDCl₃ 300MHz

0.89-2.20(15H,m), 2.26(2H,d,t,J=2.1 and 7.2Hz), 2.99(1H,m), 5.08(1H,d,J=6.3Hz), 5.09-

5 5.24(2H,m), 6.90(1H,d,J=1.2Hz), 7.32-7.48(4H,m), 7.64-7.72(3H,m), 8.20(1H,d,J=1.2Hz), 9.00(1H,s).

IR(CHCl₃): 3464, 3375, 3275, 3022, 2956, 1707, 1605, 1490, 1449, 1356, 1322, 1219, 1147, 1131/cm.[α]_D=+21.6° (CHCl₃, c=1.01, 23°C).

No.1a — 186

10

CDCl₃:300MHz

1.36-2.24(14H,m), 2.31(2H,t,J=7.4Hz), 2.49(1H,brs), 3.37(1H,m), 3.67(3H,s), 5.38-5.50(2H,m), 7.40-7.68(9H,m).

IR(CHCl₃): 3375, 1727, 1602, 1435, 1362, 1221, 1207, 1168, 1045/cm.

15 No.1a — 187

CDCl₃:300MHz

1.10-2.25(14H,m), 2.36(2H,t,J=7.2Hz), 2.47(1H,m), 3.37(1H,m), 5.35-5.54(2H, m), 5.62(1H,d,J=7.2Hz), 7.39-

20 7.70(9H,m).

IR(CHCl₃): 3674, 3496, 3376, 3234, 3012, 2952, 2880, 2650, 1725(sh), 1709, 1602, 1485, 1420, 1360, 1167/cm.[α]_D=+32° (CHCl₃, c=1.69).

No.1a — 188

25

CDCl₃ 200MHz

0.86-1.92(14H,m), 2.22(3H,m), 2.36(3H,s), 2.95(1H,m), 3.67(3H,s), 3.93(3H,s), 4.81(1H,d,J=6.2Hz), 5.04-

5.20(2H,m), 7.02-7.05(2H,m), 7.31(1H,d,J=8.6Hz), 7.39(1H,d,J=7.8Hz), 7.79-7.89(3H,m).

IR(CHCl₃): 3385, 3286, 3029, 3019, 3015, 2954, 2877, 1718, 1617, 1598, 1567, 1507, 1311, 1269, 1153 /cm.[α]_D= -29.4° (CHCl₃, c=1.01, 25°C).

30

No.1a — 189

[α]_D= -7.7° (CHCl₃, c=1.00, 24°C).

35 No.1a — 190

[α]_D= -17.3° (CHCl₃, c=1.00, 24°C).

No.1a — 191

40

CDCl₃ 300MHz

0.95-2.20(14H,m), 2.30(1H,m), 2.36(2H,d,J=6.9Hz), 3.21(1H,m), 4.25(2H,s), 5.07(1H,d,J=7.8Hz), 5.35-

5.48(2H,m), 7.25(1H,dd,J=1.8 and 8.1Hz), 7.32-7.35(2

H,m), 7.59(1H,d,J=8.1Hz), 7.94(1H,s), 8.14(1H,d,J=2.7Hz), 8.23(1H,d,d,J=2.7 and 8.7Hz).

45 IR(CHCl₃): 3386, 3026, 3015, 2957, 2877, 2633, 1702, 1617, 1573, 1530, 1348, 1123 /cm.[α]_D= -6.1° (CHCl₃, c=1.01, 25°C).

No.1a — 192

50

CDCl₃ 300MHz

0.92-2.20(14H,m), 2.13(3H,m), 3.23(1H,m), 3.64(3H,s), 3.94(3H,s), 4.22(2H,s), 4.36(1H,d,J=7.8Hz), 5.37-

5.42(2H,m), 7.16-7.42(6H,m), 7.53(1H,d,J=8.4Hz), 7.94(1H,s).

IR(CHCl₃): 3389, 3022, 3013, 2953, 2877, 1716, 1616, 1560, 1485, 1340, 1326, 1124 /cm.[α]_D= -15.2° (CHCl₃, c=1.01, 25°C).

55

No.1a — 193

CDCl₃ 300MHz

EP 0 837 052 A1

0.92-2.20(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.17(1H,m),4.22(2H,s),4.9 1(1H,d,J=7.5Hz),5.37-
5.42(2H,m),7.13-7.43(6H,m),7.60(1H,d,J=8.1Hz),8.05(1H,s).
IR(CHCl₃):3511,3387,3029,3020,3011,2957,2877,2651,1698,1614,1560,1505, 1320,1280,1252,1126 /cm.
[α]_D= -0.9° (CHCl₃,c=1.00,25°C).

5

No.1b — 1

CDCl₃ 300MHz
0.98-1.56(15H,m),1.85-1.90(5H,m),2.23(1H,m),3.05(1H,m),3.66(3H,s),4.77(1 H,d,J=6.0Hz),5.08-
10 5.28(2H,m),7.46(3H,m),7.38-7.54(2H,d,J=7.5Hz),7.72(2H, d,J=8.4Hz),7.93(2H,d,J=8.4Hz).
IR(CHCl₃):3384,3028,2952,2876,1719,1595,1391,1322,1155/cm.
[α]₄₃₅ +4.0~+6.0(CHCl₃,c=1.00,23°C).
mp.96-98°C

15 No.1b — 2

CDCl₃ 300MHz
0.98-1.52(15H,m),1.85-1.90(5H,m),2.17(1H,m),3.00(1H,m),3.67(3H,s),4.05(2 H,s),4.83(1H,d,J=6.0Hz),5.05-
20 5.23(2H,m),7.14(2H,d,J=7.2Hz),7.17-7.32(5H, m),7.78(2H,d,J=8.4Hz).
IR(CHCl₃):3384,3026,2952,2874,1719,1595,1453,1407,1320,1180/cm.
[α]_D=+2.5° (CHCl₃,c=1.02,24°C).

No.1b — 3

25 CDCl₃ 300MHz
0.96-2.05(20H,m),2.07(1H,m),3.07(1H,m),4.04(2H,s),5.21-5.35(2H,m),5.55(1 H,d,J=6.9Hz),7.14(2H,d,J=6.6Hz),7.20-7.32(5H,m),7.78(2H,d,J=8.1H).
IR(CHCl₃):3250,3022,2950,1699,1596,1495,1453,1405,1318,1153/cm.
[α]_D= +17.1° (CHCl₃,c=1.01,25°C).
30 mp.129-131°C.

No.1b — 4

35 CDCl₃ 200MHz
0.90-2.10(15H,m),1.19(3H,s),1.20(3H,s),3.11(1H,m),5.24-5.32(2H,m),5.70(1 H,d,J=6.6Hz),7.38-7.68(4H,m),7.96-
8.04(2H,m),8.53(1H,d,J=1.4Hz).
IR(CHCl₃):3384,3246,2958,1701,1632,1595,1468,1445,1322,1216,1202,1190, 1155,1122/cm.
[α]_D=+10.8° (CHCl₃,c=0.51,23°C).

40 No.1b — 5

1.02-2.10(15H,m),1.16(6H,s),3.02(1H,m),4.09(3H,s),5.23-5.28(2H,m),5.76(1 H,d,J=7.2Hz),7.36-
7.63(4H,m),7.97(1H,d,J=7.8Hz),8.16(1H,s).
45 IR(CHCl₃):3369,2959,1702,1635,1585,1468,1454,1441,1415,1318,1222,1189, 1170,1154/cm.
[α]_D=+9.9° (CHCl₃,c=1.00,23°C).

No.1c — 1

50 CDCl₃ 300MHz
1.10-2.02(14H,m),2.27(2H,t,J=7.5Hz),2.50(1H,m),2.89(3H,s),3.31(1H,m),3.6 4(3H,s),5.16-5.30(2H,m),7.34-
7.42(3H,m),7.50-7.59(2H,m),7.62-7.68(2H,m), 7.76-7.82(2H,m).
IR(CHCl₃):3020,2946,2868,2212,1727,1596,1495,1437,1339,1156,1135,1084 /cm.
[α]_D=-16.1° (CHCl₃,c=1.05,25.0°C).
m.p.100-102°C

55

No.1c — 2

CDCl₃ 300MHz

EP 0 837 052 A1

1.10-2.05(14H,m),2.23(2H,t,J=7.5Hz),2.53(1H,m),2.91(3H,s),3.35(1H,m),3.6 2(3H,s),5.02-5.30(2H,m),7.50-7.60(3H,m),7.90-8.08(6H,m).
IR(CHCl₃):3016,2946,2868,1728,1437,1398,1340,1160,1086 /cm.
[α]_D=-32.5° (CHCl₃,c=1.00,25.0°C).

No.1c — 3

CD₃OD 300MHz
1.15-2.05(14H,m),2.13(2H,t,J=7.2Hz),2.47(1H,m),2.91(3H,s),3.27(1H,m),4.9 0-5.30(2H,m),7.37-7.44(3H,m),7.53-7.61(2H,m),7.71-7.77(2H,m),7.81-7.87(2 H,m).
IR(KBr):3412,2999,2951,2871,2217,1560,1399,1243,1159,1137,1103,1084.
[α]_D=-8.6° (CH₃OH,c=1.03,23°C).

No.1d — 1

CDCl₃ 300MHz
1.00-2.16(15H,m),2.36(2H,t,J=7.2Hz),3.17(1H,m),3.33(3H,s),5.23-5.43(3H,m),7.51-7.59(3H,m),7.91-8.10(6H,m),9.02(1H,brs).
IR(CHCl₃):3382,3268,3028,2954,2874,1715,1442,1400,1337,1162,1120,1089/cm.
[α]_D=+40.0° (CHCl₃,c=0.53,22°C).

No.1d — 2

CDCl₃ 300MHz
1.03-2.30(17H,m),3.03(1H,m),4.03(2H,s),5.26(2H,m),5.84(1H,br),5.25-5.29(1 H,d,J=6.6Hz),6.03(1H,br),7.14(2H,d,J=8.1Hz),7.26-7.31(5H,m),7.80(2H,d,J= 8.1Hz).
IR(CHCl₃):3376,3002,2946,1669,1595,1492,1454,1406,1318,1154/cm.
[α]_D=+4.3° (CHCl₃,c=1.00,23°C).

No.1d — 3

CDCl₃ 300MHz
0.96-2.17(17H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),4.04(2H,s),5.10(1H,d,J=6. 6Hz),5.21-5.26(2H,m),7.14(2H,d,J=8.7Hz),7.16-7.32(5H,m),7.78(2H,d,J=8.4 Hz).
IR(CHCl₃):3260,3020,2946,1711,1596,1492,1457,1407,1318,1154/cm.
[α]_D=+9.3° (CHCl₃,c=1.09,25°C).

No.1d — 4

CDCl₃ 300MHz
0.95-2.14(15H,m),2.34(2H,t,J=7.2Hz),3.09(1H,m),3.30(3H,s),4.04(2H,s),5.19 (1H,d,J=7.2Hz),5.22-5.39(2H,m),7.10-7.35(7H,m),7.81(2H,d,J=8.1Hz),9.10(1 H,brs).
IR(CHCl₃):3382,3260,3028,2952,2874,2670,1713,1595,1492,1450,1405,1338, 1160,1120,1092/cm.
[α]_D=+22.2° (CHCl₃,c=1.07,22°C).

No.1d — 5

CDCl₃ 300MHz
1.00-2.10(14H,m),2.30-2.39(3H,m),3.15(1H,m),3.35(3H,s),5.18-5.40(3H,m),7. 41(1H,d,t,J=0.9and7.8Hz),7.50-7.69(3H,m),7.88-8.15(2H,m),8.60(1H,d,J=1. 5Hz),9.06(1H,s).
IR(CHCl₃):3382,3268,3028,2954,2874,1714,1442,1402,1338,1188,1155,1 121,1072/cm.
[α]_D=+15.3° (CHCl₃,c=1.00,22°C).

No.1e — 1

CDCl₃ 300MHz
1.19-2.45(19H,m),2.58(1H,m),5.63(1H,d,J=3.0Hz),7.42-7.65(4H,m),7.94-8.03 (2H,m),8.49-8.50(1H,m).
IR(CHCl₃):3293,3024,1710,1595,1584,1467,1445,1410,1324,1222,1213,1206, 1190,1160/cm.

$[\alpha]_D = -41.1^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1e — 2

5 CDCl_3 300MHz
1.10-2.25(19H,m), 2.94(1H,m), 4.12(3H,s), 5.53(1H,d, $J=7.2\text{Hz}$), 7.39(1H,m), 7.5
7.62(3H,m), 7.96(1H,d, $J=7.5\text{Hz}$), 8.13(1H,s). 0-
IR(CHCl_3): 3367, 3025, 2955, 1711, 1634, 1600, 1584, 1468, 1454, 1440, 1415, 1342, 1317, 1222, 1189, 1157/cm.
[$\alpha]_D = +1.2^\circ$ (CHCl_3 , $c=1.00$, 25°C).

10 No.1f — 1

CDCl_3 300MHz
1.08-2.47(19H,m), 2.56(1H,m), 3.52(2H,t, $J=6.6\text{Hz}$), 5.59(1H,d, $J=2.4\text{Hz}$), 7.40-7.66(4H,m), 7.95-
8.04(2H,m), 8.50(1H,d, $J=1.8\text{Hz}$). 15
IR(CHCl_3): 3624, 3383, 3295, 2950, 2877, 1705, 1595, 1584, 1468, 1445, 1405, 1347, 1337, 1324, 1224, 1190, 1160/cm.
[$\alpha]_D = -54.1^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1f — 2

20 CDCl_3 300MHz
1.08-2.24(19H,m), 2.94(1H,m), 3.53(2H,t, $J=6.3\text{Hz}$), 4.13(3H,s), 5.47(1H,d, $J=6.6\text{Hz}$), 7.36-
7.63(4H,m), 7.96(1H,d, $J=6.3\text{Hz}$), 8.14(1H,s).
IR(CHCl_3): 3625, 3368, 3025, 3013, 2949, 2877, 1710, 1634, 1600, 1584, 1468, 1454,
25 1440, 1415, 1342, 1317, 1232, 1220, 1189, 1157/cm.
[$\alpha]_D = -5.6^\circ$ (CHCl_3 , $c=1.00$, 25°C).

No.1g — 1

30 CDCl_3 200MHz
1.17-2.34(15H,m), 3.22(1H,m), 5.10-5.16(2H,m), 5.45(1H,d, $J=7.0\text{Hz}$), 7.35-7.66
(4H,m), 7.95-
8.01(2H,m), 8.51(1H,d, $J=2.0\text{Hz}$).
IR(CHCl_3): 3383, 3275, 2959, 1707, 1595, 1584, 1468, 1445, 1425, 1319, 1269, 1248, 1190, 1149, 1123/cm.
[$\alpha]_D = +64.3^\circ$ (CHCl_3 , $c=1.01$, 23°C).

35 No.1g — 2

CDCl_3 300MHz
1.10-2.15(13H,m), 2.36(2H,t, $J=7.2\text{Hz}$), 3.21(1H,m), 4.09(3H,s), 5.10-5.22(2H,m), 5.43(1H,d, $J=7.8\text{Hz}$), 7.36-
40 7.62(4H,m), 7.96(1H,d, $J=7.8\text{Hz}$), 8.12(1H,s).
IR(CHCl_3): 3366, 2959, 1708, 1635, 1600, 1585, 1467, 1454, 1440, 1415, 1345, 1318, 1233, 1189, 1152/cm.
[$\alpha]_D = +103.1^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1h — 1

45 CDCl_3 300MHz
0.90-1.60(17H,m), 1.83(1H,m), 2.11(1H,m), 2.22(2H,t, $J=7.2\text{Hz}$), 3.07(1H,m), 5.11(1H,d, $J=7.2\text{Hz}$), 7.38-
7.47(1H,m), 7.50-7.60(1H,m), 7.60-7.72(2H,m), 7.88-8.12(2H,m), 8.54(1H,d, $J=0.9\text{Hz}$).
IR(CHCl_3): 3382, 3274, 2926, 1707, 1464, 1442, 1318, 1266, 1188, 1153, 1121, 1105, 1071, 1019/cm.
50 [$\alpha]_D = -2.8^\circ$ (CHCl_3 , $c=1.01$, 23°C).

No.1i — 1

55 [$\alpha]_{365} = +50.9^\circ$ (CHCl_3 , $c=1.01$, 24°C).

No.1i — 2

CDCl_3 300MHz

0.98-1.70(11H,m),1.80-2.00(5H,m),2.19(1H,m),3.03(1H,m),3.64(2H,t,J=6.6Hz),4.05(2H,s),4.69(1H,d,J=6.6Hz),5.15(1H,m),5.25(1H,m),7.16(2H,d,J=7.2Hz),7.32(5H,m),7.77(2H,d,J=8.4Hz),7.27-

IR(CHCl₃):3376,3004,2946,2316,1596,1492,1453,1407,1318,1154/cm.

[α]_D= +3.5° (CHCl₃,c=1.00,22°C).

mp.80.5-82.0°C

No.1j — 1

[α]₄₃₆= -7.5±0.5° (CHCl₃,c=1.05,22°C).

No.1j — 2

[α]_D= -9.7±0.5° (CHCl₃,c=1.06,22°C).

No.1j — 3

[α]_D= +15.0±0.5° (CH₃OH,c=1.06,24.5°C).

mp.101-108°C

No.1j — 4

[α]_D= -28.0±0.6° (CHCl₃,c=1.06,24°C).

mp.159-161°C

1j — 5

[α]_D= -12.5±0.5° (CHCl₃,c=1.04,23°C).

mp.99-101°C

No.1j — 6

CDCl₃ 300MHz

0.90-2.03(14H,m),2.20(1H,m),2.30(2H,t,J=7.3Hz),3.00(1H,m),3.68(3H,s),4.76 (1H,d,J=6.8Hz),5.13-

5.35(2H,m),7.01-7.08(4H,m),7.19-7.26(1H,m),7.37-7.46 (2H,m),7.80-7.84(2H,m).

IR(CHCl₃):3382,3280,3080,3016,2952,2900,1727,1582,1486,1432,1322,1150/cm.

[α]_D= -31.0° (CHCl₃,c=1.05,26°C).

No.1j — 7

CDCl₃ 300MHz

0.91-2.09(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.01(1H,m),5.17(1H,d,J=6.8Hz),5.21-5.34(2H,m),7.01-

7.08(4H,m),7.15-7.27(1H,m),7.37-7.43(2H,m),7.80-7.85(2H,m).

IR(CHCl₃):3474,3386,3270,3024,2958,2900,2675,1711,1584,1488,1420,1323,1298,1150/cm.

[α]_D= -13.4° (CHCl₃,c=1.01,26°C).

No.1j — 8

CDCl₃ 300MHz

0.95-2.14(13H,m),2.30(2H,t,J=7.5Hz),2.36(1H,m),2.84(1H,m),2.91(1H,d,J=4.8Hz),3.66(3H,s),5.33-5.52(2H,m),6.82-

6.87(1H,m),6.93-7.00(2H,m),7.09-7.15(4H,m),7.28-7.36(2H,m),7.54-7.59(1H,m).

IR(CHCl₃):3350,3010,2950,2880,1728,1603,1582,1489,1461,1438,1360,1160/cm.

[α]_D= +75.1° (CHCl₃,c=1.13,26°C).

No.1j — 9

CDCl₃ 300MHz

0.95-2.03(14H,m),2.20(1H,m),2.29(2H,t,J=7.5Hz),3.06(1H,m),3.68(3H,s),4.9

8(1H,d,J=7.4Hz),5.14-

5.34(2H,m), 7.46-7.54(2H,m), 7.60-7.68(1H,m), 7.75-7.80(2H,m), 7.88-7.92(2H,m), 7.99-8.03(2H,m).

IR(CHCl₃): 3384, 3280, 3020, 2960, 2888, 1727, 1662, 1600, 1316, 1273, 1163/cm.

[α]_D = -41.0° (CHCl₃, c=1.17, 26°C).

5 No.1j — 10

CDCl₃+CD₃OD 300MHz

0.94-2.08(14H,m), 2.21(1H,m), 2.34(2H,t,J=6.2Hz), 3.04(1H,m), 5.21-5.35(2H, m), 5.40(1H,m), 7.49-7.58(2H,m), 7.64-7.68(1H,m), 7.79-8.06(6H,m).

10 IR(CHCl₃): 3475, 3370, 3250, 3018, 2956, 2976, 2650, 1709, 1662, 1595, 1445, 1420, 1395, 1317, 1274, 1163/cm.

[α]_D = -17.1° (CHCl₃, c=1.13, 25°C).

No.1j — 11

15 CDCl₃ 300MHz

1.06-1.98(14H,m), 2.24-2.29(3H,m), 3.13(1H,m), 3.66(3H,s), 5.10-5.24(2H,m), 5.40(1H,d,J=6.3Hz), 7.39-7.49(3H,m), 7.59-7.64(3H,m), 7.80-7.83(2H,m), 8.08-8.11(1H,m).

IR(CHCl₃): 3302, 3012, 2948, 2905, 1727, 1661, 1593, 1435, 1332, 1312, 1287, 1271, 1165/cm.

[α]_D = +15.6° (CHCl₃, c=1.03, 26°C).

20

No.1j — 12

CDCl₃ 300MHz

1.08-1.98(14H,m), 2.23(1H,m), 2.33(2H,t,J=7.5Hz), 3.16(1H,m), 5.18-5.26(2H, m), 5.39-5.45(1H,m), 7.39-7.49(3H,m), 7.60-7.64(3H,m), 7.80-7.83(2H,m), 8.09-8.12(1H,m).

25 IR(CHCl₃): 3325, 3022, 2956, 2872, 2680, 1708, 1662, 1603, 1598, 1425, 1340, 1316, 1288, 1271, 1165/cm.

[α]_D = +9.7° (CHCl₃, c=0.52, 25°C).

No.1j — 13

30

CDCl₃ 300MHz

0.95-2.00(14H,m), 2.20(1H,m), 2.27(2H,t,J=6.3Hz), 3.03(1H,m), 3.67(3H,s), 4.9 9(1H,d,J=6.6Hz), 5.12-5.31(2H,m), 7.47-7.55(2H,m), 7.60-7.69(2H,m), 7.76-7.8 1(2H,m), 7.96-8.05(1H,m), 8.08-8.14(1H,m), 8.27-8.28(1H,m).

35 IR(CHCl₃): 3674, 3538, 3376, 3276, 3012, 2948, 2860, 1726, 1662, 1595, 1440, 1335, 1317, 1297, 1274, 1166, 1150/cm.

[α]_D = +10.2° (CHCl₃, c=1.00, 25°C).

No.1j — 14

40

CDCl₃ 300MHz

0.93-2.08(14H,m), 2.21(1H,m), 2.32(2H,t,J=6.3Hz), 3.00(1H,m), 5.20-5.36(2H, m), 5.38(1H,d,J=6.2Hz), 7.50-7.55(2H,m), 7.63-7.71(2H,m), 7.77-7.81(2H,m), 7.99-8.04(1H,m), 8.10-8.18(1H,m), 8.32-8.36(1H,m).

IR(CHCl₃): 3674, 3480, 3374, 3258, 3012, 2950, 2875, 2650, 1709, 1662, 1598, 1418, 1335, 1317, 1274, 1143/cm.

[α]_D = +61.0° (CHCl₃, c=1.19, 25°C).

45

No.1j — 15

CDCl₃ 300MHz

0.90-2.00(14H,m), 2.19(1H,m), 2.30(2H,t,J=7.3Hz), 3.01(1H,m), 3.67(3H,s), 4.8 2(1H,d,J=6.6Hz), 5.14-

50 5.34(2H,m), 7.36-7.39(3H,m), 7.53-7.57(2H,m), 7.62-7.66(2H,m), 7.83-7.88(2H,m).

IR(CHCl₃): 3376, 3276, 3010, 2948, 2868, 2212, 1727, 1597, 1500, 1437, 1325, 1161/cm.

[α]_D = -7.2° (CHCl₃, c=1.00, 26°C).

No.1j — 16

55

CDCl₃ 300MHz

0.93-2.03(14H,m), 2.15(1H,m), 2.36(2H,t,J=7.5Hz), 3.05(1H,m), 5.20-5.40(3H, m), 7.36-7.39(3H,m), 7.55-

7.66(4H,m), 7.84-7.88(2H,m).

EP 0 837 052 A1

IR(CHCl₃):3470,3376,3260,3012,2950,2868,2675,2212,1708,1596,1503,1416, 1396,1322,1160.
[α]_D=-22.4° (CHCl₃,c=1.00,26°C).

No.1j — 17

5

CDCl₃ 300MHz
1.00-1.60(9H,m)1.79-1.89(5H,m)2.17(1H,brs),2.23(2H,t,J=7.2Hz),3.03(1H, m),5.10-
5.23(2H,m),5.49(1H,d,J=6.6Hz),7.40(1H,t,J=7.4Hz),7.53(1H,t,J=7.2 Hz),7.60-7.68(2H,m),7.98-
8.03(2H,m),8.55(1H,d,J=1.5Hz).
10 IR(CHCl₃):3516,3384,3270,2666,1708,1632,1595,1584,1467,1445,1425,1374, 1345,1321,1269,1248,1218/cm.
[α]_D= -7.8°(CHCl₃,c=1.01,22°C).

No.1j — 18

15

CDCl₃ 300MHz
0.90-2.03(14H,m),2.19(1H,m),2.30(2H,t,J=7.5Hz),3.00(1H,m),3.67(3H,s),4.8 0(1H,d,J=6.4Hz),5.14-
5.35(2H,m),6.99-7.04(2H,m),7.16-7.22(2H,m),7.34-7.4 9(4H,m),7.57-7.61(1H,m).
IR(CHCl₃):3376,3276,3012,2948,2875,1727,1583,1488,1471,1432,1330,1311, 1150/cm.
[α]_D=+54.0° (CHCl₃,c=0.99,25°C).

20

No.1j — 19

25

CDCl₃ 300MHz
0.91-2.09(14H,m),2.15(1H,m),2.34(2H,t,J=7.5Hz),3.01(1H,m),5.16(1H,d,J=6. 6Hz),5.24-5.40(2H,m),7.01-
7.08(2H,m),7.15-7.25(2H,m),7.35-7.53(4H,m),7.5 9-7.65(1H,m).
IR(CHCl₃):3470,3376,3260,3012,2950,2875,2640,1708,1583,1488,1471,1430, 1335,1305,1149/cm.
[α]_D= -21.0° (CHCl₃,c=1.30,25°C).

No.1j — 20

30

CDCl₃ 300MHz
1.17(1H,m),1.26-1.34(2H,m),1.54-2.24(11H,m),2.31(2H,t,J=7.4Hz),2.48(1H, brs),3.37(1H,m),3.67(3H,s),5.35-
5.50(2H,m),7.39-7.68(9H,m).
IR(CHCl₃):3377,1727,1601,1435,1362,1168/cm.

35

No. 1j — 21

40

CDCl₃ 300MHz
1.10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),2.89(1H,m),5.35-5.53(2H, m),5.63(1H,d,J=7.2Hz),7.40-
7.71(9H,m).
IR(CHCl₃):3674,3496,3374,3234,3010,2952,2870,2640,1730(sh),1710,1605,1 485,1425,1360,1167/cm.
[α]_D=-43.0° (CHCl₃,c=1.01,25°C).

No.1j — 22

45

CDCl₃ 300MHz
0.98-1.95(14H,m),2.25-2.31(3H,m),2.95(1H,m),5.19-5.30(2H,m),5.33(1H,d,J =3.9Hz),6.58(1H,d,J=7.5Hz),6.80(1H,t,J=7.5Hz),6.99-7.05(1H,m),7.44-7.53(6H,m),7.60-7.73(9H,m),7.94-
7.73(3H,m),8.23-8.26(2H,m),10.66(1H,s).
50 IR(CHCl₃):3475,3372,3260,3008,2952,2868,2722,1725,1710(sh),1663,1590,1 571,1525,1448,1437,1345,1314,1161,1112/cm.
[α]_D=+12.9° (CHCl₃,c=0.12,23°C).

No.1j — 23

55

CDCl₃ 300MHz
0.94~1.94(14H,m),2.23-2.30(3H,m),2.98(1H,m),3.68(3H,s),5.09(1H,d,J=6.2H z),5.15-5.28(2H,m),7.14-
7.22(1H,m),7.34-7.42(2H,m),7.68-7.73(2H,m),7.89-8. 03(4H,m),8.51(1H,s).

IR(CHCl₃):3372,3275,1724,1673,1599,1438,1320,1161/cm.

[α]_D= +17.0° (CHCl₃, c=1.38, 25°C).

No.1j — 24

5

CDCl₃+CD₃OD 300MHz

0.96-2.05(14H,m), 2.25-2.34(3H,m), 2.92(1H,m), 5.16-5.34(2H,m), 7.14-7.22(1H,m), 7.29-7.42(2H,m), 7.70(2H,d, J=7.6Hz), 7.92-8.05(4H,m).

IR(CHCl₃):3616,3426,3375,3010,2950,2828,2645,1708,1672,1599,1439,1323, 1161/cm.

10

[α]_D=+21.0° (CH₃OH, c=1.00, 22°C).

No.1j — 25

15

CDCl₃ 300MHz

1.03(1H,m), 1.18-2.01(13H,m), 2.20(1H,brs), 2.27(2H,t, J=7.4Hz), 3.08(1H,m), 3.66(3H,s), 5.11(1H,d, J=6.6Hz), 5.14-5.34(2H,m), 7.54-7.62(3H,m), 8.04-8.32(6H, m).

IR(CHCl₃):3384,3278,1726,1605,1484,1448,1331,1161/cm.

No.1j — 26

20

CDCl₃+CD₃OD 300MHz

1.03-2.10(14H,m), 2.22(1H,m), 2.31(2H,t, J=7.5Hz), 2.98(1H,m), 5.23-5.38(2H, m), 7.55-7.66(3H,m), 8.05-8.08(2H,m), 8.14-8.18(2H,m), 8.28-8.31(2H,m).

IR(Nujol):3260,2720,2660,1711,1545,1460,1317,1163/cm.

25

[α]_D=+15.8° (CH₃OH, c=1.01, 22°C).

No.1j — 27

30

[α]_D= +16.7° (CHCl₃, c=1.00, 23°C).

No.1j — 28

35

CDCl₃ 300MHz

1.01(1H,m), 1.14-1.29(2H,m), 1.46-2.19(11H,m), 2.33(2H,t, J=7.2Hz), 2.41(1H, brs), 3.18-3.21(5H,m), 3.68(3H,s), 3.73-3.76(4H,m), 4.37(1H,d, J=7.2Hz), 5.35-5.45(2H,m).

IR(CHCl₃):3392,1727,1435,1335,1148/cm.

[α]_D= +10.7° (CHCl₃, c=1.39, 26°C).

No.1j — 29

40

CDCl₃ 300MHz

1.00(1H,m), 1.20-1.29(2H,m), 1.48-2.25(12H,m), 2.37(2H,t, J=7.2Hz), 3.17-3.22(5H,m), 3.74-3.79(4H,m), 4.79(1H,d, J=7.8Hz), 5.34-5.54(2H,m).

IR(CHCl₃):3470,3390,3270,2675,1709,1455,1420,1315,1147/cm.

45

[α]_D= +16.8° (CHCl₃, c=1.42, 26°C).

No.1k — 1

50

[α]_D= -25.4° (CHCl₃, c=1.08, 23°C).

No.1k — 2

55

CDCl₃ 200MHz

1.07-2.28(14H,m), 2.32(2H,t, J=7.4Hz), 2.63(1H,m), 3.63(3H,s), 3.93(1H,m), 5.30-5.52(2H,m), 6.35(1H,d, J=7.0Hz), 7.48-7.60(3H,m), 7.88-8.02(6H,m).

IR(CHCl₃):3438,3002,2946,2868,1727,1652,1514,1485,1363,1310,1245,1154 /cm.

[α]_D=-80.4° (CHCl₃, c=1.01, 24.0°C).

No.1k — 3

CDCl₃ 200MHz

1.10-2.26(14H,m), 2.37(2H,t,J=7.2Hz), 2.60(1H,m), 3.93(1H,m), 5.30-5.50(2H, m), 6.33(1H,d,J=7.5Hz), 7.48-7.58(3H,m), 7.88-7.99(6H,m).

IR(CHCl₃): 3446, 3004, 2952, 2874, 1709, 1652, 1515, 1485, 1305, 1153 /cm.[α]_D = -96.4° (CHCl₃, c=1.05, 23.0°C).

No.1k — 4

CDCl₃ 300MHz

1.05-2.17(14H,m), 2.38(2H,t,J=7.2Hz), 2.52(1H,m), 3.81(1H,m), 5.33-5.50(2H, m), 6.08(1H,d,J=7.6Hz), 7.39-7.53(3H,m), 7.57-7.62(6H,m).

IR(CHCl₃): 3420, 3250, 3008, 2948, 2870, 2660, 2208, 1735(sh), 1705, 1640, 1500/cm.[α]_D = -21.9±0.6° (CHCl₃, c=1.02, 22°C).

No.1k — 5

CDCl₃ 300MHz

1.05-2.14(14H,m), 2.38(2H,t,J=7.2Hz), 2.51(1H,m), 3.81(1H,m), 5.34-5.46(2H, m), 6.07(1H,d,J=7.6Hz), 7.33-7.56(5H,m).

IR(CHCl₃): 3422, 3250, 3010, 2950, 2876, 2664, 2558, 2210, 1735(sh), 1705, 1645, 1502, 1441, 1410, 1307, 1276/cm.[α]_D = -63.6±1.9° (CHCl₃, c=0.56, 22°C).

No.1k — 6

CDCl₃ 300MHz

1.04-2.24(14H,m), 2.36(2H,t,J=7.5Hz), 2.58(1H,m), 3.88(1H,m), 5.30-5.43(2H, m), 6.21(1H,d,J=7.2Hz), 7.41-7.49(3H,m), 7.73-7.77(2H,m).

IR(CHCl₃): 3447, 3011, 2955, 1708, 1653, 1603, 1578, 1515, 1486, 1457, 1312, 1211, 1164/cm.[α]_D = -60.3° (CHCl₃, c=1.00, 23°C).

No.1k — 7

CDCl₃ 300MHz

1.04-2.22(14H,m), 2.36(2H,t,J=7.2Hz), 2.57(1H,m), 3.87(1H,m), 5.30-5.44(2H, m), 6.17(1H,d,J=8.7Hz), 6.99-7.40(7H,m), 7.73(2H,d,J=7.5Hz).

IR(CHCl₃): 3449, 3013, 2955, 1739, 1708, 1651, 1609, 1588, 1522, 1487, 1243, 1227, 1169/cm.[α]_D = -60.2° (CHCl₃, c=0.92, 23°C).

No.1k — 8

CDCl₃ 300MHz

1.04-2.25(14H,m), 2.34(2H,t,J=7.5Hz), 2.56(1H,m), 3.87(1H,m), 5.30-5.44(2H, m), 6.19(1H,d,J=7.5Hz), 6.83-6.94(6H,m), 7.69(2H,d,J=8.7Hz).

IR(CHCl₃): 3599, 3455, 3012, 2955, 1711, 1644, 1604, 1577, 1524, 1507, 1492, 1290, 1236, 1197, 1170/cm.[α]_D = -47.7° (CHCl₃, c=1.01, 22°C).

No.1k — 9

CDCl₃ 300MHz

1.04-2.20(14H,m), 2.31(3H,s), 2.36(2H,t,J=7.2Hz), 2.56(1H,m), 3.86(1H,m), 5.3-5.43(2H,m), 6.16(1H,d,J=7.2Hz), 7.00-7.11(6H,m), 7.74(2H,d,J=8.7Hz).

IR(CHCl₃): 3450, 3010, 2955, 1750, 1709, 1651, 1609, 1596, 1523, 1489, 1370, 1247, 1227, 1183/cm.[α]_D = -54.7° (CHCl₃, c=1.01, 22°C).

No.1k — 10

CDCl₃ 300MHz

1.04-2.22(14H,m), 2.35(2H,t,J=7.2Hz), 2.56(1H,m), 3.82(3H,s), 3.86(1H,m), 5.3

0.5-

5 43(2H,m), 6.17(1H,d,J=6.9Hz), 6.89-7.01(6H,m), 7.70(2H,d,J=8.7Hz).

IR(CHCl₃): 3023, 2955, 1742, 1708, 1649, 1613, 1602, 1577, 1522, 1507, 1490, 1227, 1210, 1170/cm.[α]_D = -58.1° (CHCl₃, c=1.01, 22°C).

No.1m — 1

10

CDCl₃ 300MHz

1.06-2.25(14H,m), 2.32(2H,t,J=7.4Hz), 2.61(1H,m), 3.63(3H,s), 3.91(1H,m), 5.3

3-

5.47(2H,m), 6.24(1H,d,J=6.9Hz), 7.35-7.38(3H,m), 7.53-7.60(4H,m), 7.75-7.78(2H,m).

IR(CHCl₃): 3438, 3008, 2946, 2875, 2212, 1732, 1650, 1605, 1519, 1496/cm.15 [α]_D = +76° (CHCl₃, c=1.39, 24°C)

No.1m — 2

CDCl₃ 300MHz

20 1.05-2.20(14H,m), 2.36(2H,t,J=6.2Hz), 2.59(1H,m), 3.89(1H,m), 5.29-5.48(2H, m), 6.26(1H,d,J=7.0Hz), 7.26-7.38(3H,m), 7.52-7.60(4H,m), 7.73-7.77(2H,m).

IR(CHCl₃): 3444, 3012, 2952, 2874, 2664, 2214, 1718(sh), 1708, 1649, 1605, 1520, 1498/cm.[α]_D = +81.4° (CHCl₃, c=1.01, 23°C)

25 No.1m — 3

CDCl₃ 300MHz

1.06-2.23(14H,m), 2.32(2H,t,J=7.0Hz), 2.62(1H,m), 3.63(3H,s), 3.93(1H,m), 5.3

0-

30 5.50(2H,m), 6.28(1H,d,J=7.0Hz), 7.38-7.51(3H,m), 7.58-7.67(4H,m), 7.83-7.88(2H,m).

IR(CHCl₃): 3438, 3008, 2948, 2875, 1783(w), 1727, 1650, 1608, 1580(w), 1523, 1501, 1482/cm.[α]_D = +59° (CHCl₃, c=1.49, 25°C)

No.1m — 4

35

CDCl₃ 300MHz

1.08-2.25(14H,m), 2.36(2H,t,J=7.4Hz), 2.59(1H,m), 3.91(1H,m), 5.28-5.48(3H, m), 6.29(1H,d,J=7.4Hz), 7.38-7.50(3H,m), 7.61-7.67(4H,m), 7.81-7.86(2H,m).

IR(CHCl₃): 3436, 3010, 2948, 2868, 1727, 1715(sh), 1649, 1615(w), 1524, 1502, 1482, 1372/cm.[α]_D = +72° (CHCl₃, c=0.98, 25°C)

40

No.1m — 5

CDCl₃ 300MHz

45 1.09-2.20(14H,m), 2.32(2H,t,J=7.2Hz), 2.63(1H,m), 3.63(3H,s), 3.92(1H,m), 5.3

1-

5.51(2H,m), 6.35(1H,d,J=7.0Hz), 7.51-7.60(3H,m), 7.92-7.97(6H,m).

IR(CHCl₃): 3436, 3008, 2946, 2875, 1727, 1652, 1608(w), 1515, 1484/cm.[α]_D = +82° (CHCl₃, c=0.99, 25°C)

No.1m — 6

50

CDCl₃ 300MHz

1.09-2.23(14H,m), 2.37(2H,t,J=7.2Hz), 2.60(1H,m), 3.92(1H,m), 5.30-5.49(2H, m), 6.32(1H,d,J=7.4Hz), 7.51-7.55(3H,m), 7.85-7.98(6H,m).

IR(CHCl₃): 3436, 3010, 2950, 2875, 2670, 1727, 1715(sh), 1650, 1605(w), 1515, 1484/cm.55 [α]_D = +84° (CHCl₃, c=1.54, 25°C)

No.1m — 7

CDCl₃ 300MHz

1.03-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.64(3H,s),3.89(1H,m),5.2

9-

5.49(2H,m),6.16(1H,d,J=7.8Hz),6.98-7.06(4H,m),7.14-7.20(1H,m),7.34-7.41(2H,m),7.73-7.78(2H,m).

IR(CHCl₃):3438,3008,2946,2868,1727,1648,1610,1586,1519,1485/cm.[α]_D= +54° (CHCl₃,c=1.29,25°C).

No. 1m — 8

CDCl₃ 300MHz

1.06-2.21(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.31-5.46(2H,m),6.17(1H,d,J=6.9Hz),6.99-7.05(4H,m),7.15-7.21(1H,m),7.36-7.41(2H,m),7.72-7.75(2H,m).

IR(CHCl₃):3436,3010,2948,2868,2675,1730(sh),1709,1647,1608,1586,1520,1485/cm.[α]_D= +56° (CHCl₃,c=0.97,25°C)

No.1m — 9

CDCl₃ 300MHz

1.05-2.18(14H,m),2.29-2.34(5H,m),2.59(1H,m),3.64(3H,s),3.89(1H,m),5.32-5.46(2H,m),6.16(1H,d,J=7.5Hz),7.00-7.11(6H,m),7.74-7.77(2H,m).

IR(CHCl₃):3440,3010,2946,2868,1729,1649,1595,1519,1488/cm.[α]_D= +47° (CHCl₃,c=0.82,25°C).

No.1m — 10

CDCl₃ 300MHz

1.04-2.20(14H,m),2.31-2.39(5H,m),2.57(1H,m),3.87(1H,m),5.28-5.47(2H,m),6.17(1H,d,J=7.0Hz),6.99-7.12(6H,m),7.72-7.76(2H,m).

IR(CHCl₃):3674,3572,3438,3010,2948,2868,2626,1748,1710,1648,1615,1595,1520,1489/cm.[α]_D= +51° (CHCl₃,c=0.91,25°C)

No.1m — 11

CDCl₃ 300MHz

1.04-2.16(14H,m),2.31(2H,t,J=7.2Hz),2.59(1H,m),3.63(3H,s),3.89(1H,m),5.2

9-

5.49(2H,m),6.24(1H,d,J=7.4Hz),6.54(1H,s),6.83-6.93(6H,m),7.69-7.73(2H,m).

IR(CHCl₃):3674,3588,3438,3296,3010,2946,2868,1725,1646,1603,1520,1504,1489/cm.[α]_D= +51° (CHCl₃,c=0.91,25°C)

No.1m — 12

CDCl₃ 300MHz

1.04-2.21(14H,m),2.33(2H,t,J=8.0Hz),2.56(1H,m),3.87(1H,m),5.28-5.48(2H,m),6.23(1H,d,J=8.0Hz),6.75(1H,m),6.87-6.94(6H,m),7.66-7.71(2H,m),9.63(1H,brs).

IR(CHCl₃):3674,3582,3436,3275,3010,2950,2868,2675,1727,1710(sh),1643,1603,1522,1504,1490/cm.[α]_D= +30° (CHCl₃,c=0.97,25°C)

No.1m — 13

CDCl₃ 300MHz

1.01-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.63(3H,s),3.82(3H,s),3.89

(1H,m),5.29-

5.48(2H,m),6.14(1H,d,J=7.0Hz),6.88-7.02(6H,m),7.70-7.74(2H,m).

IR(CHCl₃):3442,3402,3004,2946,2868,1727,1648,1600,1518,1499/cm.[α]_D=+42° (CHCl₃,c=1.82,26°C)

No.1m — 14

CDCl₃ 300MHz
 1.05-2.21(14H,m), 2.35(2H,t,J=7.2Hz), 2.55(1H,m), 3.82(3H,s), 3.88(1H,m), 5.2
 5.46(2H,m), 6.16(1H,d,J=7.2Hz), 6.88-7.02(6H,m), 7.68-7.73(2H,m). 7-
 IR(CHCl₃):3438,3012,2948,2870,2650,1730(sh),1709,1647,1615(sh),1601,1519,1492/cm.
 [α]_D=+64° (CHCl₃,c=0.70,25°C)

No.1m — 15

CDCl₃ 300MHz
 1.05-2.20(14H,m), 2.29-2.36(5H,m), 2.62(1H,m), 3.63(3H,s), 3.92(1H,m), 5.30-5.
 50(2H,m), 6.25(1H,d,J=7.2Hz), 7.16-7.21(2H,m), 7.59-7.64(4H,m), 7.83-7.87(2 H,m).
 IR(CHCl₃):3446,3010,2946,2868,1745(sh),1728,1650,1615,1525,1507,1486/cm.
 [α]_D=+65.0° (CHCl₃,c= 1.02,23°C)

No.1m — 16

CDCl₃ 300MHz
 1.08-2.21(14H,m), 2.34-2.40(5H,m), 2.59(1H,m), 3.90(1H,m), 5.29-5.48(2H,m),
 6.29(1H,d,J=7.0Hz), 7.18(2H,d,J=8.6Hz), 7.58-7.64(4H,m), 7.83(2H,d,J=8.2Hz
 IR(CHCl₃):3438,3012,2948,2870,2622,1749,1710,1649,1610,1526,1508,1487/cm.
 [α]_D=+66° (CHCl₃,c=1.21,24°C)

No.1m — 17

CDCl₃ 300MHz
 1.06-2.19(14H,m), 2.32(2H,t,J=7.2Hz), 2.62(1H,m), 3.63(3H,s), 3.93(1H,m), 5.3
 5.50(2H,m), 6.32(1H,d,J=7.6Hz), 6.41(1H,s), 6.94(2H,d,J=9.0Hz), 7.47(2H,d,
 J=9.0Hz), 7.58(2H,d,J=8.6Hz), 7.81(2H,d,J=8.6Hz). 0-
 IR(CHCl₃):3580,3434,3284,3010,2946,2868,1726,1646,1606,1528,1490/cm.
 [α]_D=+62.4° (CHCl₃,c=1.01,23°C)

No.1m — 18

CDCl₃+CD₃OD 300MHz
 1.11-2.18(14H,m), 2.32(2H,t,J=7.4Hz), 2.59(1H,m), 3.88(1H,m), 5.30-5.49(2H,
 m), 6.55(1H,d,J=7.0Hz), 6.92(2H,d,J=8.6Hz), 7.47(2H,d,J=8.6Hz), 7.59(2H,d,J =8.6Hz), 7.79(2H,d,J=8.2Hz).
 IR(Nujol):3398,3175,2725,1696,1635,1601,1531,1510/cm.
 [α]_D=+99.5° (CH₃OH,c=1.011,25°C)

No.1m — 19

CDCl₃ 300MHz
 1.05-2.20(14H,m), 2.32(2H,t,J=7.4Hz), 2.61(1H,m), 3.63(3H,s), 3.86(3H,s), 3.94
 5.50(2H,m), 6.24(1H,d,J=7.0Hz), 6.99(2H,d,J=8.6Hz), 7.53-7.63(4 H,m), 7.82(2H,d,J=8.6Hz). (1H,m),5.30-
 IR(CHCl₃):3440,3006,2946,2875,1726,1649,1606,1527,1510,1489/cm.
 [α]_D=+68° (CHCl₃,c=0.88,26°C)

No.1m — 20

CDCl₃ 300MHz
 1.09-2.20(14H,m), 2.35(2H,t,J=7.3Hz), 2.58(1H,m), 3.85(3H,s), 3.89(1H,m), 5.2
 5.48(2H,m), 6.35(1H,d,J=7.2Hz), 6.98(2H,d,J=8.8Hz), 7.51-7.61(4H,m), 7.81(2H,d,J=8.4Hz), 8.34(1H,brs). 8-
 IR(CHCl₃):3446,3012,2952,2881,2640,1730(sh),1707,1647,1606,1527,1510,1 489/cm.

$[\alpha]_D^{+83^\circ}$ (CHCl_3 , $c=1.00$, 25°C).

No.1m — 21

5 CDCl_3 300MHz
1.05-2.14(14H,m), 2.37(2H,t, $J=7.2\text{Hz}$), 2.51(1H,m), 3.81(1H,m), 5.34-5.46(2H, m), 6.11(1H,d, $J=7.5\text{Hz}$), 7.33-7.48(3H,m), 7.53-7.55(2H,m).
IR(CHCl_3): 3420, 3250, 3008, 2948, 2870, 2660, 2210, 1735(sh), 1705, 1645, 1503, 1441, 1409/cm.
10 $[\alpha]_D^{+59.2\pm 1.0^\circ}$ (CHCl_3 , $c=1.023$, 22°C).

No.1m — 22

CDCl_3 300MHz
1.05-2.17(14H,m), 2.37(2H,t, $J=7.2\text{Hz}$), 2.52(1H,m), 3.82(1H,m), 5.32-5.47(2H, m), 6.20(1H,d, $J=7.6\text{Hz}$), 7.38-7.53(3H,m), 7.58-7.61(6H,m), 9.11(1H,brs).
15 IR(CHCl_3): 3420, 3250, 3010, 2984, 2870, 2675, 2208, 1730(sh), 1705, 1640, 1500, 1406/cm.
 $[\alpha]_D^{+57.4^\circ}$ (CHCl_3 , $c=1.83$, 23°C).

No.1m — 23

20 CDCl_3 300MHz
1.05-2.18(14H,m), 2.31(2H,t, $J=7.5\text{Hz}$), 2.60(1H,m), 3.63(3H,s), 3.90(1H,m), 5.3-5.47(2H,m), 6.22(1H,d, $J=6.9\text{Hz}$), 7.40-7.49(3H,m), 7.76-7.79(2H,m).
25 IR(CHCl_3): 3438, 3008, 2946, 2868, 1727, 1651, 1603, 1585, 1512, 1484/cm.
 $[\alpha]_D^{+52^\circ}$ (CHCl_3 , $c=1.49$, 25°C).

No.1m — 24

CDCl_3 300MHz
30 1.05-2.21(14H,m), 2.36(2H,t, $J=7.2\text{Hz}$), 2.57(1H,m), 3.89(1H,m), 5.28-5.47(2H, m), 6.22(1H,d, $J=7.0\text{Hz}$), 7.39-7.55(3H,m), 7.73-7.79(2H,m).
IR(CHCl_3): 3676, 3572, 3436, 3010, 2948, 2875, 1730(sh), 1709, 1650, 1600, 1580, 1514, 1484/cm.
 $[\alpha]_D^{+57^\circ}$ (CHCl_3 , $c=0.97$, 26°C).

No.1m — 25

CDCl_3 300MHz
1.04-2.18(14H,m), 2.28-2.35(5H,m), 2.59(1H,m), 3.62(3H,s), 3.88(1H,m), 5.29-5.49(2H,m), 6.20(1H,d, $J=7.2\text{Hz}$), 7.15(2H,d, $J=9.0\text{Hz}$), 7.80(2H,d, $J=8.8\text{Hz}$).
40 IR(CHCl_3): 3436, 3010, 2946, 2868, 1752, 1727, 1653, 1602, 1519, 1491/cm.
 $[\alpha]_D^{+53^\circ}$ (CHCl_3 , $c=1.63$, 25°C).

No.1m — 26

45 CDCl_3 300MHz
1.05-2.19(14H,m), 2.32-2.38(5H,m), 2.56(1H,m), 3.88(1H,m), 5.29-5.47(2H,m), 6.25(1H,d, $J=7.4\text{Hz}$), 7.15(2H,d, $J=9.0\text{Hz}$), 7.78(2H,d, $J=8.6\text{Hz}$).
IR(CHCl_3): 3434, 3016, 3006, 2948, 2880, 2622, 1752, 1730(sh), 1710, 1651, 1605, 1520, 1492/cm.
50 $[\alpha]_D^{+58^\circ}$ (CHCl_3 , $c=3.68$, 24°C).

No.1m — 27

CDCl_3 300MHz
1.05-2.16(14H,m), 2.30(2H,t, $J=7.5\text{Hz}$), 2.57(1H,m), 3.62(3H,s), 3.87(1H,m), 5.2-5.47(2H,m), 6.32(1H,d, $J=7.4\text{Hz}$), 6.85(2H,d, $J=8.6\text{Hz}$), 7.62(2H,d, $J=8.6\text{Hz}$), 8.35(1H,s).
55 IR(CHCl_3): 3580, 3450, 3216, 3010, 2946, 2868, 1726, 1640, 1608, 1584, 1528, 1496/cm.
 $[\alpha]_D^{+56.2^\circ}$ (CHCl_3 , $c=0.713$, 23°C)

No.1m — 28

CDCl₃ 200MHz

1.10-2.25(14H,m),2.32(2H,t,J=7.2Hz),2.55(1H,brs),3.82-3.93(1H,m),5.27-5.4
 5 7(2H,m),6.25(1H,d,J=7.4Hz),6.86(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz).
 IR(CHCl₃):3438,3242,2675,1730(sh),1708,1639,1607,1585/cm.

No.1m — 29

CDCl₃ 300MHz

1.05-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.64(3H,s),3.85(3H,s),3.89 (1H,m),5.29-
 10 5.48(2H,m),6.14(1H,d,J=6.6Hz),6.92(2H,d,J=9.0Hz),7.74(2H,d,J=9.0Hz).
 IR(CHCl₃):3445,3008,2946,2868,1727,1646,1606,1578,1523,1493/cm.
 [α]_D=+53° (CHCl₃,c=2.03,24°C)

15

No.1m — 30

CDCl₃ 300MHz

1.04-2.21(14H,m),2.36(2H,t,J=7.3Hz),2.56(1H,m),3.85(3H,s),3.88(1H,m),5. 27-
 20 5.46(2H,m),6.15(1H,d,J=7.2Hz),6.92(2H,d,J=8.6Hz),7.73(2H,d,J=8.6Hz)
 IR(CHCl₃):3440,3010,2950,2870,2645,1727,1710(sh),1646,1606,1575,1524,1494/cm.
 [α]_D=+62° (CHCl₃,c=1.10,24°C).

No.1m — 31

25

CDCl₃+CD₃OD 300MHz

1.16-2.20(14H,m),2.31(2H,t,J=7.2Hz),2.59(1H,m),3.85(1H,m),5.31-5.51(2H, m),7.13-7.21(1H,m),7.31-
 7.42(2H,m),7.68-7.93(6H,m).
 IR(Nujol):3344,3175,2715,2675,1699,1631,1566/cm.
 30 [α]_D=+67° (CH₃OH,c=1.01,24°C).

No.1m — 32

CDCl₃ 200MHz

1.09-2.23(14H,m),2.33(2H,t,J=7.1Hz),2.57(1H,brs),3.40-3.93(9H,m),4.41(1H, brs),5.29-
 35 5.48(2H,m),6.44(1H,d,J=7.4Hz),7.43(2H,d,J=8.2Hz),7.80(2H,d,J=7.8Hz).
 IR(CHCl₃):3434,3354,1726,1720(sh),1660(sh),1626/cm.

No.1m — 33

40

CDCl₃ 200MHz

1.14-2.25(14H,m),2.37(2H,t,J=7.3Hz),2.64(1H,brs),3.93-4.01(1H,m),5.30-5.5 1(2H,m),6.47(1H,d,J=7.4Hz),7.63-
 7.74(2H,m),7.79(2H,s),7.89-7.93(1H,m),8.00(1H,dd,J=2.3,1.0Hz),8.30(1H,d,J=1.0Hz),8.65-8.73(2H,m).
 IR(CHCl₃):3450,2675,1728,1707,1649,1528,1509/cm.
 45 [α]_D=+82.8±1.2° (CHCl₃,c=1.01,23°C).

No.2a-1

50

[α]_D=+69.0° (MeOH,c=1.01,25°C)

No.2a-2

55

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.3 0(1H,m),5.35-
 5.52(2H,m),6.32(1H,d,J=8.7Hz),7.36-7.49(3H,m),7.58-7.62(2H,m),7.66 and 7.80(each 2H,each d,J=8.7Hz).
 IR(CHCl₃):3116,3014,2925,2870,2663,1708,1651,1610,1524,1504,1484,1472 /cm.
 [α]_D= +64.1° (MeOH,c=1.02,25°C).

No.2a-3

$[\alpha]_D = +76.6^\circ$ (MeOH, c=1.18, 26°C).

5 No.2a-4

CDCl₃ 300MHz

0.99(1H, d, J=10.2Hz), 1.15 and 1.25(each 3H, each s), 1.64-2.51(14H, m), 4.3 1(1H, m), 5.36-5.53(2H, m), 6.33(1H, d, J=8.4z), 7.50-7.56(3H, m), 7.85-7.98(6H, m).

10 IR(CHCl₃): 3515, 3452, 3014, 2925, 2870, 1740, 1708, 1654, 1517, 1486, 1470 /cm.

$[\alpha]_D = +79.5^\circ$ (MeOH, c=1.18, 22°C).

No.2a-5

15 CD₃OD 300MHz

0.98(1H, d, J=9.9Hz), 1.18 and 1.25(each 3H, each s), 1.56-1.71(3H, m), 1.98-2. 40(11H, m), 4.17(1H, m), 5.41-5.52(2H, m), 7.52-7.61(3H, m), 7.91-8.01(6H, m).

IR(KBr): 3416, 3063, 2983, 2921, 2869, 1704, 1643, 1566, 1518, 1488, 1408 /cm.

$[\alpha]_D = +62.0^\circ$ (MeOH, c=1.00, 25°C).

20

No.2a-6

$[\alpha]_D = +64.1^\circ$ (MeOH, c=1.01, 25°C).

25 No.2a-7

$[\alpha]_D = +65.3^\circ$ (MeOH, c=0.99, 25°C).

No.2a-8

30

$[\alpha]_D = +74.0^\circ$ (MeOH, c=1.01, 25°C).

No.2a-9

35 $[\alpha]_D = +71.0^\circ$ (MeOH, c=1.10, 25°C).

No.2a-10

$[\alpha]_D = +74.7^\circ$ (MeOH, c=1.00, 25°C).

40

No.2a-11

$[\alpha]_D = +72.1^\circ$ (MeOH, c=1.00, 25°C).

45 No.2a-12

$[\alpha]_D = +53.1^\circ$ (CHCl₃, c=1.01, 26°C).

m.p. 155.0-156.0°C

50 No.2a-13

CDCl₃ 300MHz

0.98(1H, d, J=10.2Hz), 1.18 and 1.25(each 3H, each s), 1.63-2.40(14H, m), 4.3 0(1H, m), 5.46-5.58(2H, m), 6.44(1H, d, J=8.4Hz), 7.49 and 7.77(each 2H, each d, J=8.7Hz), 7.54(1H, s).

55 IR(CHCl₃): 3689, 3378, 3028, 3014, 2924, 1713, 1652, 1602, 1522, 1496 /cm.

$[\alpha]_D = +78.3^\circ$ (MeOH, c=0.84, 25°C).

m.p. 205.0-206.0°C

No.2a-14

$[\alpha]_D = +72.5^\circ$ (MeOH, c=1.07, 25°C).

5 No.2a-15

CDCl₃ 300MHz

0.99(1H, d, J=9.9Hz), 1.14 and 1.24(each 3H, each s), 1.55-2.44(14H, m), 4.27(1H, m), 5.30-5.50(2H, m), 6.29(1H, d, J=9.0Hz), 7.11 and 7.20(each 1H, each d, J=16.2Hz), 7.29-7.55(5H, m), 7.57 and 7.72(each 2H, each d, J=8.7Hz).

IR(CHCl₃): 3453, 3083, 3022, 3013, 2925, 2870, 1708, 1650, 1607, 1560, 1522, 1496 /cm.

$[\alpha]_D = +72.3^\circ$ (MeOH, c=1.00, 27°C).

m.p. 115.0-117.0°C

15 No.2a-16

CDCl₃ 300MHz

0.92(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.50-2.48(14H, m), 3.6 2(3H, s), 4.29(1H, m), 5.30-5.50(2H, m), 6.20(1H, d, J=8.7Hz), 6.59 and 6.68 (each 1H, each d, J=12.3Hz), 7.23(5H, s), 7.29 and 7.59(each 2H, each d, J=8.1Hz).

IR(CHCl₃): 3453, 3024, 3016, 2924, 2870, 1730, 1651, 1607, 1520, 1495 /cm.

$[\alpha]_D = +56.8^\circ$ (MeOH, c=1.04, 24°C).

No.2a-17

25

CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.50-2.38(14H, m), 4.2 6(1H, m), 5.30-5.50(2H, m), 6.23(1H, d, J=8.4Hz), 6.59 and 6.70(each 1H, each d, J=12.3Hz), 7.23(5H, s), 7.30 and 7.57(each 2H, each d, J=8.7Hz).

30 IR(CHCl₃): 3452, 3081, 3019, 3014, 2925, 2870, 2665, 1708, 1650, 1607, 1521, 1495 /cm.

$[\alpha]_D = +61.6^\circ$ (MeOH, c=1.00, 27°C).

No.2a-18

35

CDCl₃ 300MHz

0.97(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.50-2.50(14H, m), 3.61 (3H, s), 4.31(1H, m), 5.35-5.51(2H, m), 6.33(1H, d, J=8.4Hz), 7.48-7.64(4H, m), 7.7 9-7.83(2H, m), 7.91(1H, dt, J=1.5 and 7.8Hz), 8.01(1H, dt, J=1.5 and 7.8Hz), 8.13(1H, t, J=1.5Hz).

IR(CHCl₃): 3450, 3026, 3013, 2925, 2870, 1730, 1659, 1600, 1510 /cm.

40 $[\alpha]_D = +56.0^\circ$ (MeOH, c=1.01, 25°C).

No.2a-19

45

CDCl₃ 300MHz

0.95(1H, d, J=9.9Hz), 1.14 and 1.21(each 3H, each s), 1.53-2.60(14H, m), 4.25(1H, m), 5.35-5.64(2H, m), 7.21(1H, d, J=7.8Hz), 7.49-7.68(4H, m), 7.76-7.84(3H, m), 8.25(1H, m), 8.43(1H, m).

IR(CHCl₃): 3382, 3196, 3025, 3015, 2925, 2870, 1725, 1652, 1599, 1577, 1521 /cm.

$[\alpha]_D = +55.9^\circ$ (MeOH, c=1.00, 25°C).

50 No.2a-20

CDCl₃ 300MHz

0.98(1H, d, J=10.2Hz), 1.13 and 1.24(each 3H, each s), 1.50-2.50(14H, m), 3.6 2(3H, s), 4.31(1H, m), 5.35-5.51(2H, m), 6.24(1H, d, J=8.4Hz), 7.40-7.52(3H, m), 7.71-7.76(2H, m).

55 IR(CHCl₃): 3453, 3025, 3013, 2925, 2870, 1730, 1753, 1579, 1514, 1486 /cm.

$[\alpha]_D = +61.2^\circ$ (MeOH, c=1.04, 25°C).

No.2a-21

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.13 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.2 8(1H,m), 5.34-5.51(2H,m), 6.27(1H,d,J=8.7Hz), 7.41-7.53(3H,m), 7.71-7.74(2H, m).

IR(CHCl₃):3452,3063,3027,3014,2925,2871,1708,1652,1578,1515,1486 /cm.[α]_D= +62.0° (MeOH,c=1.01,27°C).

No.2a-22

d₆-DMSO 300MHz

0.86(1H,d,J=9.9Hz), 1.10 and 1.16(each 3H,each s), 1.42-1.52(3H,m), 1.85-2.46(11H,m), 3.98(1H,m), 5.32-5.43(2H,m), 7.41(3H,m), 7.88(2H,d,J=6.6Hz), 8.19 (1H,d,J=6.6Hz).

IR(KBr):3367,3060,2984,2922,2868,1634,1563,1529,1487/cm.

[α]_D=+47.7° (MeOH,c=1.00,25°C).

No.2a-23

[α]_D=+62.7° (MeOH,c=1.01,27°C).

No.2a-24

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz), 1.14 and 1.25(each 3H,each s), 1.52-2.50(14H,m), 4.3 1(1H,m), 5.36-5.52(2H,m), 6.34(1H,d,J=8.4Hz), 7.47-7.52(2H,m), 7.59-7.64(1H, m), 7.78-7.83(6H,m).

IR(CHCl₃):3449,3027,3013,2925,2869,1708,1656,1599,1518,1493 /cm.[α]_D= +63.1° (MeOH,c=1.00,25°C).

No.2a-25

[α]_D=+35.1° (MeOH,c=1.00,25°C).

No.2a-26

[α]_D=+35.5° (MeOH,c=1.02,25°C).

No.2a-27

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 3.6 3(3H,s), 4.29(1H,m), 5.36-5.51(2H,m), 6.18(1H,d,J=8.4Hz), 7.01 and 7.71 (each 2H,each d,J=8.7Hz), 6.98-7.05(2H,m), 7.16(1H,t,J=7.5Hz), 7.34-7.41(2 H,m).

IR(CHCl₃):3455,3024,3016,2924,2870,1730,1651,1588,1520,1487 /cm.[α]_D=+56.4° (MeOH,c=1.01,25°C).

No.2a-28

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.2 6(1H,m), 5.34-5.51(2H,m), 6.20(1H,d,J=9.0Hz), 7.01 and 7.70(each 2H,each d,J=9.0Hz), 6.98-7.15(2H,m), 7.17(1H,t,J=7.5Hz), 7.34-7.40(2H,m).

IR(CHCl₃):3454,3031,3018,2925,2870,1708,1650,1588,1523,1487/cm.[α]_D= +56.2° (MeOH,c=1.00,25°C).

No.2a-29

[α]_D=+53.0° (MeOH,c=1.03,25°C).

No.2a-30

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz), 1.10 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.2 5(1H,m), 5.30-
 5.50(2H,m), 6.23(1H,d,J=8.7Hz), 6.36(1H,s), 7.26-7.39(10H,m), 7.60 and 7.68(each 2H,each d,J=8.4Hz),
 IR(CHCl₃):3451,3088,3064,3029,3014,2925,2869,1707,1652,1522,1495 /cm.
 [α]_D=+54.2° (MeOH,c=1.00,25°C).

No.2a-31

CDCl₃ 300MHz
 0.98(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.50-2.50(14H,m), 3.6 3(3H,s), 4.31(1H,m), 5.30-
 5.50(2H,m), 6.26(1H,d,J=8.4Hz), 6.90(1H,t,J=7.4Hz), 7.13(1H,d,J=8.7Hz), 7.29(2H,t,J=8.0Hz), 7.67-
 7.75(5H,m), 7.82(1H,s).
 IR(Nujol):3380,3244,1723,1638,1601,1578,1535,1495 /cm.
 [α]_D=+73.6° (MeOH,c=0.50,26°C).
 m.p.133.0-134.0°C

No.2a-32

[α]_D=+56.1° (MeOH,c=1.02,26°C).

No.2a-33

CDCl₃ 300MHz
 0.95(1H,d,J=10.2Hz), 1.10 and 1.21(each 3H,each s), 1.50-2.50(14H,m), 4.25 (1H,m), 5.13(2H,s), 5.30-
 5.70(3H,m), 6.41(1H,d,J=8.2Hz), 6.89(1H,s), 7.09(1H, s), 7.17 and 7.72(each 2H,each d,J=8.2Hz), 7.62(1H,s).
 IR(CHCl₃):3450,3125,3031,3013,2925,2870,2467,1917,1708,1654,1615,1575, 1523,1497 /cm.
 [α]_D=+55.2° (MeOH,c=1.01,26°C).

No.2a-34

[α]_D=+72.9° (MeOH,c=1.03,25°C).

No.2a-35

CDCl₃ 300MHz
 0.98(1H,d,J=10.2Hz), 1.13 and 1.24(each 3H,each s), 1.52-2.48(14H,m), 4.2 8(1H,m), 5.35-
 5.51(2H,m), 6.28(1H,d,J=8.7Hz), 7.34-7.37(3H,m), 7.52-7.55(2H, m), 7.58 and 7.71(each 2H,each d,J=8.7Hz).
 IR(CHCl₃):3515,3452,3030,3012,2925,2870,1739,1708,1652,1607,1555,1521, 1497 /cm.
 [α]_D=+74.3° (MeOH,c=1.01,25°C).

No.2a-36

[α]_D=+23.4° (MeOH,c=1.07,25°C).

No.2a-37

CDCl₃ 300MHz
 0.83(1H,d,J=10.5Hz), 0.95 and 1.18(each 3H,each s), 1.44-2.46(14H,m), 3.9 2(1H,m), 5.34-5.52(3H,m), 7.26-
 7.54(9H,m), 7.62(1H,s).
 IR(CHCl₃):3432,3310,3189,3023,3014,2924,2870,1704,1610,1594,1523,1487 /cm.
 [α]_D=+25.3° (MeOH,c=1.00,26°C).

No.2a-38

[α]_D=+70.9° (MeOH,c=1.02,25°C).

No.2a-39

$[\alpha]_D^{25} = +70.6^\circ$ (MeOH, c=1.01, 25°C).

5 No.2a-40

$[\alpha]_D^{25} = +74.7^\circ$ (MeOH, c=1.00, 25°C).

No.2a-41

10

$[\alpha]_D^{24} = +72.1^\circ$ (MeOH, c=1.01, 24°C).

No.2a-42

15

$[\alpha]_D^{25} = +69.2^\circ$ (MeOH, c=1.00, 25°C).

No.2a-43

$[\alpha]_D^{25} = +70.8^\circ$ (MeOH, c=1.00, 25°C).

20

No.2a-44

$[\alpha]_D^{26} = +60.4^\circ$ (MeOH, c=1.00, 26°C).

25 No.2a-45

CDCl₃ 300MHz

0.97(1H, d, J=9.9Hz), 1.13 and 1.23(each 3H, each s), 1.55-2.52(14H, m), 4.29(1H, m), 5.34-5.54(2H, m), 6.33(1H, d, J=9.0Hz), 7.10(1H, t, J=7.4Hz), 7.34(2H, t, J=7.4Hz), 7.52(2H, m), 7.68 and 7.75(each 2H, each d, J=8.4Hz), 7.80(1H, s), 8.10(1H, s), 10.09(1H, s).

30

IR(CHCl₃): 3393, 3195, 3093, 3033, 3013, 2925, 2870, 1698, 1656, 1598, 1537, 1498 /cm.

$[\alpha]_D^{24} = +59.4^\circ$ (MeOH, c=1.01, 24°C).

No.2a-46

35

$[\alpha]_D^{25} = +63.5^\circ$ (MeOH, c=1.00, 25°C).

No.2a-47

40

CDCl₃ 300MHz

0.97(1H, d, J=9.9Hz), 1.12 and 1.23(each 3H, each s), 1.54-2.48(14H, m), 4.29(1H, m), 5.35-5.52(2H, m), 6.32(1H, d, J=8.7Hz), 7.26(1H, m), 7.41(2H, t, J=7.8Hz), 7.64(2H, d, J=7.5Hz), 7.73 and 7.77(each 2H, each d, J=8.4Hz), 7.95(1H, s), 9.20(1H, s), 10.38(1H, s).

IR(CHCl₃): 3450, 3339, 3003, 2992, 2925, 2870, 1706, 1653, 1596, 1523, 1495 /cm.

45

$[\alpha]_D^{25} = +63.3^\circ$ (MeOH, c=1.00, 25°C).

No.2a-48

$[\alpha]_D^{24} = +63.8^\circ$ (MeOH, c=1.00, 24°C).

50

No.2a-49

CDCl₃ 300MHz

1.00(1H, d, J=10.5Hz), 1.17 and 1.26(each 3H, each s), 1.55-2.52(14H, m), 4.34(1H, m), 5.36-5.54(2H, m), 6.35(1H, d, J=9.0Hz), 7.50-7.62(3H, m), 7.90 and 8.33(each 2H, each d, J=8.4Hz), 8.21(2H, m).

55

IR(CHCl₃): 3451, 3029, 3022, 3016, 2925, 2870, 1708, 1655, 1542, 1508, 1498, 1471, 1459 /cm.

$[\alpha]_D^{25} = +63.5^\circ$ (MeOH, c=1.02, 25°C):

m.p. 135.0-137.0°C

No.2a-50

[α]_D=+68.9° (MeOH,c=1.01,24°C).

5 No.2a-51

d₆-DMSO 300MHz

0.87(1H,d,J=9.9Hz),1.10 and 1.17(each 3H,each s),1.40-1.60(3H,m),1.90-2.40(11H,m),3.98(1H,m),5.35-5.46(2H,m),7.64(1H,s),7.65 and 7.91(each 2H, each d,J=8.7Hz),8.06(1H,d,J=6.0Hz),9.32(1H,brs).

10 IR(KBr):3385,2962,1734,1707,1632,1529,1498 /cm.

[α]_D=+68.4° (MeOH,c=1.01,24°C).

No.2a-52

15 [α]_D=+76.2° (MeOH,c=1.01,24°C).

No.2a-53

[α]_D=+73.9° (MeOH,c=1.02,24°C).

20

No.2a-54

[α]_D=+68.1° (MeOH,c=1.00,24°C).

25 No.2a-55

[α]_D=+67.8° (MeOH,c=1.00,24°C).

No.2a-56

30

[α]_D=+65.4° (MeOH,c=1.03,25°C).

No.2a-57

35 [α]_D=+63.4° (MeOH,c=1.01,24°C).

No.2a-58

[α]_D=+66.6° (MeOH,c=1.01,24°C).

40

No.2a-59

[α]_D=+65.5° (MeOH,c=1.00,24°C).

45 No.2a-60

[α]_D=+60.9° (MeOH,c=1.02,25°C).

No.2a-61

50

CDCl₃ 300MHz

0.97(1H,d,J=10.0Hz),1.10 and 1.22(each 3H,each s),1.50-2.50(14H,m),4.26(1H,m),5.30-5.54(2H,m),6.28(1H,d,J=8.6Hz),6.60 and 6.82(each 1H,each d,J=12.4Hz),7.12(2H,d,J=6.0Hz),7.25 and 7.62(each 2H,each d,J=8.6Hz),8.47(2H,d,J=6.0Hz).

55 IR(CHCl₃):3452,3027,3019,3013,2925,2870,2480,1708,1651,1606,1520,1494 /cm.[α]_D=+61.6° (MeOH,c=1.01,25°C).

No.2a-62

$[\alpha]_D^{25} = +72.0^\circ$ (MeOH, c=0.93, 25°C).

5 No.2a-63

CDCl₃ 300MHz

0.99(1H, d, J=10.2Hz), 1.14 and 1.24(each 3H, each s), 1.50-2.50(14H, m), 4.2 9(1H, m), 5.36-5.55(2H, m), 6.35(1H, d, J=9.1Hz), 7.04 and 7.27(each 1H, each d, J=16.5Hz), 7.37(2H, d, J=6.6Hz), 7.56 and 7.76(each 2H, each d, J=8.4Hz), 8.57(2H, d, J=6.6Hz).

IR(CHCl₃): 3452, 3024, 3018, 3014, 2925, 2870, 2470, 1933, 1708, 1652, 1605, 1521, 1496 /cm.

$[\alpha]_D^{25} = +69.2^\circ$ (MeOH, c=1.01, 25°C).

15 No.2a-64

$[\alpha]_D^{25} = +56.9^\circ$ (MeOH, c=1.24, 25°C).

20 No.2a-65

CDCl₃ 300MHz

0.98(1H, d, J=10.5Hz), 1.12 and 1.23(each 3H, each s), 1.54-2.46(14H, m), 4.2 7(1H, m), 5.23(2H, s), 5.34-5.52(2H, m), 6.26(1H, d, J=8.4Hz), 7.32-7.45(5H, m), 7.64 and 7.71 (each 2H, each d, J=8.4Hz), 8.15(1H, s).

IR(CHCl₃): 3452, 3088, 3065, 3032, 3013, 2925, 2870, 1708, 1653, 1611, 1559, 1522, 1496 /cm.

$[\alpha]_D^{25} = +61.0^\circ$ (MeOH, c=0.91, 25°C).

25 No.2a-66

$[\alpha]_D^{25} = +76.0^\circ$ (MeOH, c=1.01, 25°C).

30 No.2a-67

CDCl₃ 300MHz

0.98(1H, d, J=10.4Hz), 1.14 and 1.24(each 3H, each s), 1.54-2.46(14H, m), 4.2 8(1H, m), 5.32-5.53(2H, m), 6.27(1H, d, J=8.6Hz), 6.92-7.31(each 1H, each d, J=16.4Hz), 7.02(1H, dd, J=5.8 and 3.6Hz), 7.12(1H, d, J=3.6Hz), 7.24(1H, d, J=5.8 Hz), 7.51 and 7.70(each 2H, each d, J=8.4Hz).

IR(CHCl₃): 3453, 3029, 3013, 2925, 2870, 1739, 1650, 1604, 1524, 1515, 1494 /cm.

$[\alpha]_D^{25} = +76.2^\circ$ (MeOH, c=1.00, 24°C).

m.p. 104.0-106.0°C

40 No.2a-68

$[\alpha]_D^{25} = +57.7^\circ$ (MeOH, c=1.01, 25°C).

45 No.2a-69

CDCl₃ 300MHz

0.99(1H, d, J=10.2Hz), 1.14 and 1.24(each 3H, each s), 1.54-2.48(14H, m), 4.2 8(1H, m), 5.34-5.53(2H, m), 6.29(1H, d, J=9.0Hz), 6.54-6.74(each 1H, each d, J=12.0Hz), 7.02(1H, dd, J=4.8 and 3.3Hz), 6.97(1H, dd, J=3.3 and 1.2Hz), 7.13(1 H, dd, J=4.8 and 1.2Hz), 7.44 and 7.70(each 2H, each d, J=8.7Hz).

IR(CHCl₃): 3453, 3025, 3010, 2925, 2870, 1708, 1650, 1607, 1559, 1523, 1493 /cm.

$[\alpha]_D^{25} = +58.4^\circ$ (MeOH, c=1.00, 25°C).

50 No.2a-70

$[\alpha]_D^{25} = +48.6^\circ$ (MeOH, c= 1.00, 25°C).

No.2a-71

CDCl₃ 300MHz
 0.98(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.52-2.46(14H,m), 2.3 1(3H,s), 4.26(1H,m), 5.33-
 5.52(2H,m), 6.20(1H,d,J=9.3Hz), 7.02-7.11(6H,m), 7. 70(2H,d,J=9.0Hz).
 IR(CHCl₃):3460,3031,3022,3011,2925,2870,1750,1708,1650,1608,1597,1523, 1490 /cm.
 [α]_D=+48.9° (MeOH,c=1.01,25°C).

No.2a-72

[α]_D=+51.2° (MeOH,c=1.02,25°C).

No.2a-73

CDCl₃ 300MHz
 0.97(1H,d,J=9.9Hz), 1.11 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 4.27(1H,m), 5.32-
 5.52(2H,m), 6.24(1H,d,J=9.0Hz), 6.83-6.94(6H,m), 7.65(2H,d,J=9. 0Hz).
 IR(CHCl₃):3598,3451,3199,3033,3012,2925,2870,1708,1642,1604,1524,1507, 1491 /cm.
 [α]_D=+52.2° (MeOH,c=1.01,25°C).

No.2a-74

[α]_D=+51.5° (MeOH,c=0.92,25°C).

No.2a-75

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.55-2.46(14H,m), 3.8 2(3H,s), 4.25(1H,m), 5.32-
 5.52(2H,m), 6.19(1H,d,J=8.7Hz), 6.89-7.01(6H,m), 7. 65-7.68(2H,m).
 IR(CHCl₃):3450,3025,3008,2925,2870,2837,1741,1649,1612,1521,1505,1490 /cm.
 [α]_D=+51.1° (MeOH,c=1.00,25°C).

No.2a-76

[α]_D=+60.4° (MeOH,c=0.98,25°C).

No.2a-77

CDCl₃ 300MHz
 0.99(1H,d,J=10.5Hz), 1.15 and 1.24(each 3H,each s), 1.54-2.48(14H,m), 2.3 4(3H,s), 4.29(1H,m), 5.32-
 5.54(2H,m), 6.32(1H,d,J=8.4Hz), 7.19 and 7.60 (each 2H,each d,J=8.4Hz), 7.63 and 7.79(each 2H,each
 d,J=8.4Hz).
 IR(CHCl₃):3452,3027,3012,2925,2870,1751,1709,1651,1611,1560,1527,1509, 1489 /cm.
 [α]_D=+61.2° (MeOH,c=1.00,25°C).

No.2a-78

[α]_D=+67.4° (MeOH,c=1.01,25°C).

No.2a-79

CDCl₃ 300MHz
 0.99(1H,d,J=10.2Hz), 1.15 and 1.24(each 3H,each s), 1.54-2.54(14H,m), 4.3 1(1H,m), 5.32-
 5.54(2H,m), 6.36(1H,d,J=8.2Hz), 6.93 and 7.48(each 2H,each d,J=8.6Hz), 7.59 and 7.75(each 2H,each d,J=8.4Hz).
 IR(CHCl₃):3593,3448,3192,3030,3010,2925,2870,1708,1644,1608,1591,1559, 1530,1516,1491 /cm.
 [α]_D=+65.8° (MeOH,c=1.01,25°C).

No.2a-80

$[\alpha]_D^{25} = +66.9^\circ$ (MeOH, c=1.01, 25°C).

5 No.2a-81

CDCl₃ 300MHz

0.99(1H,d,J=10.5Hz), 1.15 and 1.24(each 3H,each s), 1.54-2.48(14H,m), 3.8 6(3H,s), 4.29(1H,m), 5.34-5.52(2H,m), 6.20(1H,d,J=8.7Hz), 6.99 and 7.55 (each 2H,each d,J=9.0Hz), 7.61 and 7.77(each 2H,each d,J=8.7Hz).

IR(CHCl₃): 3450, 3009, 2925, 2870, 2838, 1740, 1708, 1650, 1608, 1557, 1528, 1512, 1491 /cm.

$[\alpha]_D^{25} = +66.2^\circ$ (MeOH, c=1.01, 25°C).

15 No.2a-82

$[\alpha]_D^{24} = +57.7^\circ$ (MeOH, c=1.02, 24°C).

No.2a-83

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 2.3 3(3H,s), 4.26(1H,m), 5.32-5.52(2H,m), 6.25(1H,d,J=8.7Hz), 7.16 and 7.75 (each 2H,each d,J=8.7Hz).

IR(CHCl₃): 3452, 3030, 3022, 3012, 2925, 2870, 1754, 1709, 1654, 1604, 1585, 1522, 1493 /cm.

$[\alpha]_D^{24} = +57.4^\circ$ (MeOH, c=1.01, 24°C).

25 No.2a-84

$[\alpha]_D^{24} = +57.8^\circ$ (MeOH, c=1.01, 24°C).

30 No.2a-85

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz), 1.12 and 1.22(each 3H,each s), 1.54-2.48(14H,m), 4.2 5(1H,m), 5.32-5.52(2H,m), 6.28(1H,d,J=8.7Hz), 6.87 and 7.57(each 2H,each d,J=9.0Hz).

IR(CHCl₃): 3590, 3450, 3166, 3019, 3012, 2925, 2871, 1708, 1637, 1608, 1583, 1531, 1498 /cm.

$[\alpha]_D^{24} = +56.0^\circ$ (MeOH, c=1.01, 24°C).

No.2a-86

$[\alpha]_D^{22} = +59.3^\circ$ (MeOH, c=1.01, 22°C).

No.2a-87

CDCl₃ 300MHz

0.98(1H,d,J=10.0Hz), 1.13 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 3.8 5(3H,s), 4.25(1H,m), 5.32-5.53(2H,m), 6.19(1H,d,J=8.8Hz), 6.93 and 7.69 (each 2H,each d,J=9.0Hz).

IR(CHCl₃): 3450, 3030, 3017, 3012, 2925, 2870, 2840, 1740, 1708, 1647, 1606, 1575, 1525, 1496 /cm.

$[\alpha]_D^{22} = +58.2^\circ$ (MeOH, c=0.99, 22°C).

50 No.2a-88

$[\alpha]_D^{25} = +50.9^\circ$ (MeOH, c=1.02, 25°C).

No.2a-89

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz), 1.18 and 1.26(each 3H,each s), 1.56-2.48(14H,m), 4.2 9(1H,m), 5.36-5.54(2H,m), 7.03(1H,d,J=8.7Hz), 7.21(1H,s), 7.43(2H,m), 7.74(1 H,ddd,J=1.8,6.9 and 8.7Hz), 8.22(1H,dd,J=1.8 and

8.1Hz).

IR(CHCl₃):3443,3087,3023,3014,2925,2870,1708,1685,1658,1630,1517,1466 /cm.

[α]_D=+57.1° (MeOH,c=1.01,22°C).

m.p.117.0-118.0°C

5

No.2a-90

[α]_D=+54.1° (MeOH,c=1.01,22°C).

10 No.2a-91

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 4(1H,m),5.34-5.52(2H,m),6.49-6.53(2H,m),7.11(1H,dd,J=0.9 and 3.6Hz),7.4 4(1H,dd,J=0.9 and 1.8Hz).

15 IR(CHCl₃):3437,3033,3022,3014,2925,2870,1739,1708,1655,1595,1520,1472 /cm.

[α]_D=+55.0° (MeOH,c=1.00,22°C).

No.2a-92

20 [α]_D=+50.3° (MeOH,c=1.00,22°C).

No.2a-93

CDCl₃ 300MHz

25 0.95(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 5(1H,m),5.34-5.52(2H,m),6.12(1H,d,J=8.7Hz),7.07(1H,dd,J=3.9 and 5.1Hz), 7.45-7.48(2H,m).

IR(CHCl₃):3450,3023,3011,2925,2870,1739,1708,1645,1531,1501,1471 /cm.

[α]_D=+49.1° (MeOH,c=1.02,24°C).

30 No.2a-94

[α]_D=+51.5° (MeOH,c=1.00,24°C).

No.2a-95

35

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 5(1H,m),5.34-5.56(2H,m),6.14(1H,d,J=8.7Hz),7.34(2H,d,J=2.0Hz),7.85(1H,t, J=2.0Hz).

IR(CHCl₃):3452,3114,3030,3013,2925,2870,1708,1649,1535,1498,1471/cm.

40 [α]_D=+55.5° (MeOH,c=1.00,25°C).

m.p.87.0-88.0°C

No.2a-96

45

CD₃OD 300MHz

0.94(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.50-1.76(3H,m),1.94-2.39(11H,m),4.11(1H,m),5.39-5.49(2H,m),7.43-7.51(2H,m),8.05(1H,m).

IR(KBr):3369,3084,2985,2921,2868,1630,1566,1538,1503 /cm.

[α]_D=+38.8° (MeOH,c=1.01,22°C).

50

No.2a-97

CD₃OD 300MHz

55 0.93(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.48-1.58(3H,m),1.96-2. 36(11H,m),4.10(1H,m),5.35-5.50(2H,m),7.42-7.51(2H,m),8.06(1H,m).

IR(KBr):3447,3087,2987,2922,2868,1629,1545,1501 /cm.

[α]_D=+52.9° (MeOH,c=1.01,24°C).

No.2a-98

[α]_D=+53.2° (MeOH,c=1.02,23°C).

5 No.2a-99

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.22(each 3H,each s), 1.26-2.45(24H,m), 4.2 5(2H,m), 5.34-5.52(2H,m), 6.18(1H,d,J=8.7Hz), 6.91 and 7.66(each 2H,each d,J=9.0Hz).

10 IR(CHCl₃):3455,3029,3019,2939,2862,1738,1709,1645,1605,1523,1494 /cm.[α]_D=+51.4° (MeOH,c=1.00,23°C).

No.2a-100

15 [α]_D=+49.3° (MeOH,c=1.00,24°C).

No.2a-101

[α]_D=+51.3° (MeOH,c=1.00,24°C).

20

No.2a-102

[α]_D=+48.8° (MeOH,c=1.01,23°C).

25 No.2a-103

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz), 1.12 and 1.22(each 3H,each s), 1.52-2.46(14H,m), 2.4 8(3H,d,J=0.3Hz), 4.20(1H,m), 5.32-5.54(2H,m), 6.46(1H,brs), 7.12(1H,d,J=9.0 Hz).

30 IR(CHCl₃):3415,3144,3029,3011,2926,2871,1708,1671,1598,1538,14564 /cm[α]_D=+49.6° (MeOH,c=1.01,23°C).

No.2a-104

35 [α]_D=+77.0° (MeOH,c=1.02,23°C).

No.2a-105

CDCl₃ 300MHz

40 93(1H,d,J=9.9Hz), 1.09 and 1.21(each 3H,each s), 1.51-2.44(14H,m), 3.90(6 H,s), 4.20(1H,m), 5.38-5.50(2H,m), 5.87(1H,d,J=9.0Hz), 6.25 and 7.54 (each 1H,each d,J=15.6Hz), 6.84(1H,d,J=8.1Hz), 7.03(1H,d,J=1.8Hz), 7.09(1 H,dd,J=1.8 and 8.1 Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 /cm.[α]_D=+77.3° (MeOH,c=1.01,23°C).

45

No.2a-106

[α]_D=+67.0° (MeOH,c=1.00,25°C).

50 No.2a-107

[α]_D=+66.6° (MeOH,c=1.01,24°C).
m.p.168.0-170.0°C

55 No.2a-108

[α]_D=+61.8° (MeOH,c=1.00,22°C).

No.2a-109

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.10 and 1.22(each 3H,each s), 1.51-2.45(14H,m), 4.2 5(1H,m), 5.33-5.49(2H,m), 6.21(1H,d,J=8.7Hz), 7.25 and 7.60(each 2H,each d,J=8.7Hz), 7.33-7.41(5H,s).

IR(CHCl₃):3453,3062,3028,3014,2925,2870,1739,1708,1651,1594,1557,1515, 1481 /cm.[α]_D=+61.0° (MeOH,c=1.01,22°C).

No.2a-110

CD₃OD 300MHz

0.94(1H,d,J=9.9Hz), 1.13 and 1.22(each 3H,each s), 1.54-2.37(14H,m), 4.12(1H,m), 5.38-5.49(2H,m), 7.25 and 7.68(each 2H,each d,J=8.7Hz), 7.41(5H,s)

IR(KBr):3435,3058,2986,2920,2866,1635,1595,1562,1521,1482,1439,1411 /cm.

[α]_D=+47.3° (MeOH,c=1.01,23°C).

No.2a-111

[α]_D=+65.6° (MeOH,c=1.01,24°C).

No.2a-112

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.51-2.46(14H,m), 4.2 7(1H,m), 5.35-5.50(2H,m), 6.22(1H,d,J=8.4Hz), 7.40 and 7.66(each 2H,each d,J=9.0Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 /cm.[α]_D=+65.6° (MeOH,c=1.01,22°C).

No.2a-113

[α]_D=+59.6° (MeOH,c=1.00,24°C).

No.2a-114

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.12 and 1.24(each 3H,each s), 1.52-2.46(14H,m), 4.2 9(1H,m), 5.35-5.51(2H,m), 6.28(1H,d,J=8.4Hz), 7.70 and 7.83(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 /cm.[α]_D=+60.6° (MeOH,c=1.01,22°C).

No.2a-115

[α]_D=+59.7° (MeOH,c=0.99,24°C).

No.2a-116

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.52-2.46(14H,m), 2.3 9(3H,s), 4.27(1H,m), 5.33-5.51(2H,m), 6.24(1H,d,J=9.0Hz), 7.23 and 7.62 (each 2H,each d,J=8.4Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513/cm.[α]_D=+59.7° (MeOH,c=0.99,24°C).

No.2a-117

[α]_D=+56.7° (MeOH,c=1.00,23°C).

No.2a-118

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.53-2.44(14H,m), 4.2 3(1H,m), 5.34-5.51(2H,m), 6.02(2H,s), 6.13(1H,d,J=8.7Hz), 6.83(1H,dd,J=1.2 and 7.8Hz), 7.22-7.25(2H,m).

IR(CHCl₃): 3453, 3031, 3020, 3012, 2924, 2870, 1740, 1708, 1650, 1619, 1605, 1519, 1504, 1480 /cm.[α]_D=+57.2° (MeOH, c=1.02, 23°C).

No.2a-119

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz), 1.07 and 1.23(each 3H,each s), 1.51-2.44(14H,m), 2.3 2(3H,s), 4.26(1H,m), 5.37-5.52(2H,m), 6.40(1H,d,J=9.0Hz), 7.09(1H,m), 7.30(1 H,m), 7.46(1H,m), 7.66(1H,m).

IR(CHCl₃): 3443, 3028, 3012, 2925, 2870, 1766, 1747, 1709, 1657, 1607, 1516, 1479 /cm.[α]_D=+53.2° (MeOH, c=0.99, 21°C).

No.2a-120

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.53-2.44(14H,m), 4.3 0(1H,m), 5.35-5.52(2H,m), 6.42(1H,d,J=8.7Hz), 6.85(1H,m), 6.99(1H,dd,J=1.2 and 8.4Hz), 7.27(1H,m), 7.39(1H,m).

IR(CHCl₃): 3463, 3033, 3021, 3014, 2992, 2924, 2870, 1708, 1643, 1597, 1523, 1488 /cm.[α]_D=+46.3° (MeOH, c=1.01, 21°C).

No.2a-121

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.47-2.47(14H,m), 3.9 5(3H,s), 4.31(1H,m), 5.32-5.50(2H,m), 6.98(1H,dd,J=0.9 and 8.4Hz), 7.09(1H, ddd,J=0.9, 7.7 and 8.4Hz), 7.45(1H,m), 8.19(1H,dd,J=2.1 and 8.1Hz), 8.32(1 H,d,J=9.0Hz).

IR(CHCl₃): 3400, 3078, 3028, 3020, 3007, 2924, 2870, 2842, 1736, 1708, 1640, 1600, 1536, 1483, 1470 /cm.[α]_D=+38.1° (MeOH, c=1.02, 23°C).

No.2a-122

[α]_D=+42.3° (MeOH, c=0.99, 23°C).

No.2a-123

[α]_D=+38.7° (MeOH, c=1.00, 21°C).

No.2a-124

[α]_D=+45.0° (MeOH, c=1.01, 21°C).

m.p. 119.0-120.0°C

No.2a-125

[α]_D=+49.8° (MeOH, c=1.01, 22°C).

No.2a-126

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.52-2.47(14H,m), 4.2 6(1H,m), 5.34-5.50(2H,m), 6.22(1H,d,J=8.7Hz), 7.55-7.61(4H,m).

IR(CHCl₃): 3400, 3078, 3028, 3020, 3007, 2924, 2870, 2842, 1736, 1708, 1640, 1600, 1536, 1483, 1470 /cm.[α]_D=+63.0° (MeOH, c=1.01, 23°C).

No.2a-127

CDCl₃ 300MHz

0.91(1H,d,J=10.2Hz), 1.10 and 1.20(each 3H,each s), 1.50-2.42(14H,m), 4.2 3(1H,m), 5.31-5.51(2H,m), 6.45(1H,d,J=8.4Hz), 7.01(1H,t,J=7.4Hz), 7.22-7.27(2H,m), 7.33-7.40(4H,m), 7.53(2H,d,J=9.0Hz), 8.30 and 8.48(each 1H,each s)

IR(CHCl₃):3452,3028,3022,3015,2925,2870,1708,1654,1590,1514,1478 /cm.[α]_D=+59.5° (MeOH,c=1.01,23°C).

10 No.2a-128

d₆-DMSO 300MHz

0.84(1H,d,J=9.9Hz), 1.06 and 1.19(each 3H,each s), 1.37-2.37(14H,m), 3.79(1H,m), 5.35-5.51(2H,m), 6.08(1H,d,J=8.7Hz), 6.85-6.90(1H,m), 7.18-7.23(2H,m), 7.35-7.38(2H,m), 8.42(1H,s), 12.00(1H,s).

15 IR(Nujol):3395,3345,2925,2866,2623,2506,1697,1658,1638,1597,1557 /cm.

[α]_D=+26.0° (MeOH,c=1.01,23°C).

m.p.164.0-166.0°C

No.2a-129

20

CDCl₃ 300MHz

1.01(1H,d,J=10.0Hz), 1.17 and 1.25(each 3H,each s), 1.54-2.52(14H,m), 4.3 4(1H,m), 5.36-5.57(2H,m), 6.42(1H,d,J=8.6Hz), 7.51-7.60(2H,m), 7.77(1H,dd,J =1.8 and 8.6Hz), 7.85-7.96(3H,m), 8.24(1H,brs).

IR(CHCl₃):3451,3060,3028,3010,2925,2870,1708,1652,1629,1600,1517,1502 /cm.25 [α]_D=+68.6° (MeOH,c=1.00,22°C).

No.2a-130

CDCl₃ 300MHz

30 1.02(1H,d,J=10.2Hz), 1.04 and 1.26(each 3H,each s), 1.54-2.52(14H,m), 4.4 1(1H,m), 5.41-5.58(2H,m), 6.14(1H,d,J=9.0Hz), 7.43-7.59(4H,m), 7.85-7.92(2H, m), 8.27(1H,dd,J=1.8 and 7.2Hz).

IR(CHCl₃):3436,3032,3010,2924,2870,2664,1708,1652,1512,1498 /cm.[α]_D=+93.9° (MeOH,c=1.00,22°C)

m.p.94.0-96.0°C

35

No.2a-131

[α]_D=+50.2° (MeOH,c=0.95,21°C).

40 No.2a-132

[α]_D=+10.9° (MeOH,c=0.92,21°C).

No.2a-133

45

[α]_D=+60.4° (MeOH,c=1.00,21°C).

No.2a-134

50 [α]_D=+38.5° (MeOH,c=1.01,23°C).

No.2a-135

[α]_D=+52.5° (MeOH,c=1.01,23°C).

55 m.p.180.0-182.0°C

No.2a-136

$[\alpha]_D^{+35.3^\circ}$ (MeOH, c=1.02, 23°C).
m.p. 79.0-80.0°C

5

No.2a-137

CDCl₃ 300MHz
0.97(1H, d, J=10.2Hz), 1.11 and 1.22(each 3H, each s), 1.43(3H, t, J=6.9Hz), 1.52-
2.44(14H, m), 4.03(2H, q, J=6.9Hz), 4.26(1H, m), 5.33-5.50(2H, m), 6.19(1H, d, J=8.7Hz), 6.88-7.00(6H, m), 7.65-
7.68(2H, m).
IR(CHCl₃): 3455, 3031, 3024, 3014, 2988, 2925, 2870, 1741, 1708, 1649, 1602, 1521, 1504, 1490 /cm.
 $[\alpha]_D^{+52.0^\circ}$ (MeOH, c=1.01, 23°C).

10

15 No.2a-138

CDCl₃ 300MHz
0.97(1H, d, J=10.2Hz), 1.11 and 1.22(each 3H, each s), 1.35(6H, d, J=6.0Hz), 1.53-
2.46(14H, m), 4.25(1H, m), 4.51(1H, m), 5.33-5.50(2H, m), 6.12(1H, d, J=9.0Hz), 6.87-6.99(6H, m), 7.65-7.68(2H, m).
IR(CHCl₃): 3449, 3031, 3014, 2980, 2925, 2870, 1741, 1708, 1649, 1602, 1522, 1490 /cm.
 $[\alpha]_D^{+50.0^\circ}$ (MeOH, c=1.05, 22°C).

20

No.2a-139

CDCl₃ 300MHz
1.00(1H, d, J=10.2Hz), 1.16 and 1.24(each 3H, each s), 1.59-2.52(14H, m), 4.3 1(1H, m), 5.40-
5.53(2H, m), 6.36(1H, d, J=8.7Hz), 6.70(1H, d, J=1.5Hz), 7.12(1H, m), 7.30(1H, m), 7.47(1H, dd, J=0.6 and
8.1Hz), 7.61(1H, d, J=8.4Hz).
IR(CHCl₃): 3449, 3243, 3029, 3022, 3013, 2925, 2871, 1707, 1631, 1542, 1505 /cm.
 $[\alpha]_D^{+63.4^\circ}$ (MeOH, c=1.00, 23°C).
m.p. 178.0-179.0°C

25

30

No.2a-140

CDCl₃ 300MHz
0.97(1H, d, J=10.2Hz), 1.18 and 1.23(each 3H, each s), 1.57-2.50(14H, m), 4.3 5(1H, m), 5.32-
5.55(2H, m), 6.42(1H, d, J=8.7Hz), 6.70(1H, d, J=1.5Hz), 7.21-7.24(2H, m), 7.46(1H, m), 7.76(1H, m), 7.86(1H, d, J=3.0Hz), 10.20(1H, s).
IR(CHCl₃): 3465, 3010, 2924, 1739, 1604, 1546, 1504 /cm.
 $[\alpha]_D^{+39.4^\circ}$ (MeOH, c=1.01, 22°C).
m.p. 167.0-168.0°C

35

40

No.2a-141

CDCl₃ 300MHz
0.99(1H, d, J=10.2Hz), 1.14 and 1.24(each 3H, each s), 1.55-2.44(14H, m), 3.8 4(3H, s), 4.27(1H, m), 5.34-
5.52(2H, m), 6.28(1H, d, J=9.0Hz), 6.91 and 7.47 (each 2H, each d, J=9.0Hz), 6.98 and 7.14(each 1H, each
d, J=16.5Hz), 7.54 and 7.70(each 2H, each d, J=8.7Hz).
IR(CHCl₃): 3453, 3025, 3015, 2925, 2870, 2839, 1740, 1708, 1649, 1602, 1510, 1493, 1470 /cm.
 $[\alpha]_D^{+73.4^\circ}$ (MeOH, c=1.02, 22°C).
m.p. 155.0-157.0°C

45

50

No.2a-142

CDCl₃ 300MHz
0.97(1H, d, J=10.2Hz), 1.11 and 1.23(each 3H, each s), 1.52-2.45(14H, m), 3.7 9(3H, s), 4.27(1H, m), 5.34-
5.50(2H, m), 6.24(1H, d, J=9.0Hz), 6.49 and 6.62 (each 1H each d, J=12.3Hz), 6.77 and 7.16(each 2H, each
d, J=8.7Hz), 7.32 and 7.59(each 2H, each d, J=8.1Hz).

55

IR(CHCl₃):3453,3025,3014,2925,2870,2839,1739,1708,1649,1606,1510, 1494 /cm.

[α]_D=+60.7° (MeOH,c=0.99,22°C).

No.2a-143

5

[α]_D=+57.3° (MeOH,c=1.01,23°C).

No.2a-144

10

[α]_D=+12.2° (MeOH,c=1.00,23°C).

m.p.114.0-116.0°C

No.2a-145

15

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.21(each 3H,each s),1.52-2.44(14H,m),4.2 5(1H,m),5.33-5.49(2H,m),6.37(1H,d,J=8.7Hz),7.45-7.47(3H,m),7.62-7.66(2H, m),7.69 and 7.80(each 2H,each d,J=7.5Hz,).

IR(CHCl₃):3449,3058,3027,3012,2925,2870,1708,1655,1513,1481,1043 /cm.

[α]_D=+61.0° (MeOH,c=1.01,23°C).

20

No.2a-146

CDCl₃ 300MHz

0.95(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.41(14H,m),4.2 5(1H,m),5.33-5.49(2H,m),6.33(1H,d,J=8.4Hz),7.49-7.61(3H,m),7.91-7.92(2H, m),7.82 and 7.97(each 2H,each d,J=8.7Hz,).

IR(CHCl₃):3447,3029,3023,3015,2925,2870,1708,1660,1514,1484,1321,1161 /cm.

[α]_D=+62.0° (MeOH,c=1.00,22°C).

25

No.2a-147

30

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.5 1(3H,s),4.26(1H,m),5.34-5.51(2H,m),6.23(1H,d,J=8.4Hz),7.26 and 7.64 (each 2H,each d,J=8.4Hz).

IR(CHCl₃):3453,3027,3015,2925,2870,2665,1708,1648,1596,1516,1484 /cm.

[α]_D=+67.7° (MeOH,c=0.82,22°C).

35

No.2a-148

[α]_D=+72.5° (MeOH,c=1.01,25°C).

40

No.2a-149

[α]_D=+67.8° (MeOH,c=0.98,25°C).

No.2a-150

45

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2 2(1H,m),5.36-5.55(2H,m),6.48(1H,d,J=8.4Hz),8.35(1H,s),8.90(1H,s).

IR(CHCl₃):3443,3374,3091,3024,3012,2925,2871,1709,1652,1525,1494 /cm.

[α]_D=+58.1° (MeOH,c=1.01,23°C).

m.p.120.0-122.0°C

50

No.2a-151

55

[α]_D=+40.6° (MeOH,c=1.01,23°C).

No.2a-152

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz), 1.10 and 1.24(each 3H,each s), 1.50-2.50(14H,m), 2.7 1(3H,s), 4.26(1H,m), 5.37-5.51(2H,m), 6.02(1H,d,J=9.0Hz), 8.731(1H,s).

IR(CHCl₃): 3463, 3435, 3087, 3025, 3014, 2925, 2870, 1708, 1649, 1523, 1503 /cm.[α]_D=+54.1° (MeOH, c=1.02, 22°C).

No.2a-153

CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz), 1.11 and 1.23(each 3H,each s), 1.50-2.50(14H,m), 2.50(3H,s), 4.26(1H,m), 5.36-5.51(2H,m), 6.01(1H,d,J=8.4Hz), 6.88(1H,d,J=5.1Hz), 7.26(1H,d,J=5.1Hz).

IR(CHCl₃): 3469, 3431, 3025, 3013, 2925, 2871, 2664, 1708, 1639, 1544, 1505 /cm.[α]_D=+35.8° (MeOH, c=1.03, 22°C).

No.2a-154

CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz), 1.10 and 1.22(each 3H,each s), 1.52-2.46(14H,m), 2.51(3H,d,J=1.2Hz), 4.26(1H,m), 5.34-5.50(2H,m), 6.00(1H,d,J=8.4Hz), 6.73(1H,dd, J=5.1 and 3.6Hz), 7.29(1H,d,J=3.6Hz).

IR(CHCl₃): 3450, 3431, 3026, 3011, 2925, 2869, 1739, 1708, 1639, 1547, 1508 /cm.[α]_D=+50.5° (MeOH, c=1.01, 22°C).

No.2a-155

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz), 1.19 and 1.25(each 3H,each s), 1.53-2.48(14H,m), 4.3 1(1H,m), 5.36-5.51(2H,m), 6.79(1H,d,J=9.3Hz), 7.29(1H,m), 7.41(1H,m), 7.48(1 H,s), 7.51(1H,m), 7.66(1H,d,J=8.1Hz).

IR(CHCl₃): 3436, 3029, 3024, 3015, 2925, 2871, 2670, 1708, 1659, 1598, 1510 /cm.[α]_D=+69.1° (MeOH, c=1.01, 22°C).

No.2a-156

CDCl₃:CD₃OD=10.1 300MHz

0.99(1H,d,J=9.9Hz), 1.11 and 1.21(each 3H,each s), 1.56-2.58(14H,m), 4.22(1H,m), 5.35-5.59(2H,m), 6.83(1H,d,J=8.4Hz), 7.48(1H,d,J=8.4Hz), 7.61(1H,dd, J=1.5 and 8.4Hz), 8.09(1H,d,J=1.5Hz), 8.12(1H,s).

IR(KBr): 3422, 3115, 2985, 2922, 2869, 2609, 1708, 1636, 1578, 1529, 1470 /cm.

[α]_D=+62.8° (MeOH, c=1.01, 22°C).

No.2a-157

[α]_D=+40.0° (MeOH, c=0.95, 22°C).

No.2a-158

CDCl₃ 300MHz

1.00(1H,d,J=10.5Hz), 1.17 and 1.24(each 3H,each s), 1.54-2.50(14H,m), 4.3 4(1H,m), 5.36-5.52(2H,m), 7.80(1H,d,J=9.0Hz), 9.30(1H,s).

IR(CHCl₃): 3410, 3122, 3030, 3012, 2925, 2871, 2668, 1709, 1667, 1538, 1466 /cm.[α]_D=+44.9° (MeOH, c=0.99, 22°C).

No.2a-159

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.13 and 1.22(each 3H,each s), 1.55-2.43(14H,m), 3.0 3(6H,s), 4.23(1H,m), 5.32-5.51(2H,m), 6.16(1H,d,J=8.7Hz), 6.87 and 7.63 (each 2H,each d,J=8.7Hz).

IR(CHCl₃):3457,3028,3006,2924,2870,2654,1739,1709,1637,1608,1608,1534, 1501 /cm.

[α]_D=+64.8° (MeOH,c=1.01,22°C).

No.2a-160

5

d₆-DMSO 300MHz

0.83(1H,d,J=9.9Hz),1.02 and 1.19(each 3H,each s),1.38-1.61(3H,m),1.90-2.32(11H,m),3.90(1H,m),5.41-5.44(2H,m),7.32(1H,dd,J=0.9 and 7.2Hz),7.45-7.60(2H,m),7.77(1H,dd,J=0.9 and 7.8Hz),8.03(1H,d,J=6.9Hz),12.40(1H,s).

10 IR(Nujol):3315,2924,2856,2656,2535,1737,1703,1637,1598,1581,1541 /cm.

[α]_D=+78.5° (MeOH,c=1.01,24°C).

m.p.161.0-162.0°C

No.2a-161

15

[α]_D=+65.3° (MeOH,c=1.00,22°C).

No.2a-162

20

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.13 and 1.25(each 3H,each s),1.53-2.45(14H,m),4.30(1H,m),5.36-5.51(2H,m),6.32(1H,d,J=8.4Hz),7.88 and 8.28(each 2H,each d,J=9.0Hz).

IR(CHCl₃):3448,3029,3016,2925,2870,1708,1664,1602,1527,1484,1347 /cm.

[α]_D=+72.7° (MeOH,c=1.02,22°C).

25

No.2a-163

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.51(14H,m),4.26(1H,m),5.36-5.57(2H,m),6.68(1H,d,J=7.8Hz),7.41(1H,dd,J=4.8 and 8.1Hz),8.20(1H,d,J=8.1Hz),8.66(1H,d,J=4.8Hz),9.00(1H,s).

IR(CHCl₃):3448,3026,3013,2925,2870,2534,1709,1658,1590,1515,1471 /cm.

[α]_D=+71.3° (MeOH,c=1.01,22°C).

35 No.2a-164

[α]_D=+40.8° (MeOH,c=0.98,22°C).

No.2a-165

40

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.24(each 3H,each s),1.55-2.52(14H,m),4.24(1H,m),5.37-5.57(2H,m),6.63(1H,d,J=7.8Hz),7.59 and 8.63(each 2H each d,J=6.0Hz).

IR(CHCl₃):3447,3346,3028,3016,2925,2870,2538,1941,1708,1662,1556,1516 /cm.

45

[α]_D=+75.4° (MeOH,c=1.01,22°C).

No.2a-166

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.44(14H,m),2.95(6H,s),4.25(1H,m),5.33-5.50(2H,m),6.19(1H,d,J=8.7Hz),6.77 and 6.97 (each 2H,each d,J=8.4Hz),6.94 and 7.65(each 2H,each d,J=9.0Hz).

IR(CHCl₃):3453,3024,3016,2924,2871,2806,1739,1708,1647,1612,1604,1515, 1490 /cm.

[α]_D=+53.1° (MeOH,c=1.02,23°C).

55

m.p.104.0-105.5°C

No.2a-167

CDCl₃ 300MHz

1.01(1H,d,J=9.9Hz), 1.19 and 1.26(each 3H,each s), 1.56-2.53(14H,m), 4.37(1H,m), 5.35-5.55(2H,m), 6.47(1H,d,J=8.4Hz), 7.61-7.71(2H,m), 7.79(2H,s), 7.89-7.97(2H,m), 8.27(1H,d,J=2.1Hz), 8.66-8.73(2H,m).

IR(CHCl₃): 3450, 3024, 3014, 2925, 2870, 2667, 1707, 1650, 1531, 1509 /cm.[α]_D=+70.5° (MeOH, c=1.00, 22°C).

10 No.2a-168

CDCl₃ 300MHz

1.02(1H,d,J=10.2Hz), 1.20 and 1.26(each 3H,each s), 1.56-2.50(14H,m), 4.38(1H,m), 5.36-5.56(2H,m), 6.51(1H,d,J=8.4Hz), 7.61-7.93(7H,m), 8.74(1H,d,J=8.4Hz), 9.15(1H,s).

IR(CHCl₃): 3517, 3451, 3060, 3028, 3011, 2925, 2870, 2664, 1709, 1651, 1519, 1498 /cm.[α]_D=+54.4° (MeOH, c=1.00, 23°C).

No.2a-169

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz), 1.09 and 1.21(each 3H,each s), 1.50-2.44(14H,m), 3.85(3H,s), 4.24(1H,m), 5.32-5.48(2H,m), 6.19(1H,d,J=8.4Hz), 6.94 and 7.45 (each 2H,each d,J=9.0Hz), 7.11 and 7.45(each 2H,each d,J=8.7Hz).

IR(CHCl₃): 3516, 3453, 3029, 3009, 2925, 2870, 2840, 2665, 1708, 1650, 1593, 1515, 1493, 1482 /cm.[α]_D=+57.8° (MeOH, c=1.00, 23°C).

No.2a-170

CDCl₃ 300MHz 0.98(1H,d,J=10.2Hz), 1.15 and 1.24(each 3H,each s), 1.52-2.50(14H,m), 4.28(1H,m), 5.33-5.54(2H,m), 6.25(1H,d,J=8.2Hz), 7.38-7.44(2H,m), 7.74(1H,s), 7.81-7.86(2H,m).

IR(CHCl₃): 3517, 3448, 3427, 3024, 3013, 2925, 2870, 2669, 1708, 1650, 1562, 1535, 1500 /cm.[α]_D=+61.6° (MeOH, c=1.00, 23°C).

No.2a-171

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.22(each 3H,each s), 1.52-2.42(14H,m), 2.48(3H,s), 4.21(1H,m), 5.31-5.52(2H,m), 6.06(1H,d,J=8.2Hz), 6.97 and 7.59 (each 1H,each d,J=1.2Hz).

IR(CHCl₃): 3452, 3113, 3028, 3007, 2925, 2870, 2669, 1708, 1645, 1554, 1509 /cm.[α]_D=+52.4° (MeOH, c=1.00, 23°C).

No.2a-172

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.09 and 1.28(each 3H,each s), 1.50-2.40(14H,m), 2.69(3H,s), 4.24(1H,m), 5.35-5.51(2H,m), 5.96(1H,d,J=8.7Hz), 7.03 and 7.07 (each 1H,each d,J=5.4Hz).

IR(CHCl₃): 3451, 3031, 3013, 2925, 2870, 2666, 1708, 1647, 1542, 1497 /cm.[α]_D=+51.2° (MeOH, c=1.00, 23°C).

50 No.2a-173

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz), 1.10 and 1.23(each 3H,each s), 1.50-2.45(14H,m), 4.22(1H,m), 5.35-5.49(2H,m), 6.05(1H,d,J=8.4Hz), 7.26 and 7.75(each 1H,each d,J=1.5Hz).

IR(CHCl₃): 3451, 3011, 3029, 3011, 2925, 2870, 1708, 1652, 1538, 1500 /cm.[α]_D=+50.6° (MeOH, c=1.01, 23°C).

No.2a-174

CDCl₃ 300MHz
 0.96(1H,d,J=10.2Hz), 1.13 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.2 9(1H,m), 5.35-
 5.51(2H,m), 7.02(1H,d,J=8.4Hz), 7.32 and 8.16(each 1H,each d,J=3.9Hz).
 IR(CHCl₃):3417,3115,3023,3014,2925,2870,1708,1645,1530 /cm.
 [α]_D=+48.8° (MeOH,c=1.02,23°C).

No.2a-175

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.50-2.52(14H,m), 2.5 2(3H,s), 4.29(1H,m), 5.34-
 5.51(2H,m), 7.78(1H,d,J=9.0Hz), 7.24 and 7.52 (each 1H,each d,J=5.4Hz).
 IR(CHCl₃):3329,3093,3023,3015,2924,2871,1708,1640,1526 /cm.
 [α]_D=+45.0° (MeOH,c=1.01,23°C).

No.2a-176

CDCl₃ 300MHz
 0.95(1H,d,J=10.5Hz), 1.09 and 1.23(each 3H,each s), 1.52-2.46(14H,m), 2.4 0(3H,d,J=0.9Hz), 4.24(1H,m), 5.35-
 5.51(2H,m), 6.05(1H,d,J=8.7Hz), 6.95(1H, m), 7.57(1H,d,J=3.3Hz).
 IR(CHCl₃):3517,3444,3103,3024,3013,2926,2870,1739,1708,1649,1636,1507/cm.
 [α]_D=+54.8° (MeOH,c=1.01,23°C).
 m.p.97.0-99.0°C

No.2a-177

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.52-2.45(14H,m), 3.9 3(3H,s), 4.27(1H,m), 5.34-
 5.50(2H,m), 6.35(1H,d,J=3.3Hz), 7.80(1H,d,J=8.7Hz), 8.10(1H,d,J=3.3Hz).
 IR(CHCl₃):3395,3121,3031,3019,3012,2925,2871,1739,1709,1640,1557,1533 /cm.
 [α]_D=+22.8° (MeOH,c=1.01,23°C).
 m.p.109.0-112.0°C

No.2a-178

CDCl₃ 300MHz
 0.96(1H,d,J=10.5Hz), 1.10 and 1.23(each 3H,each s), 1.51-2.45(14H,m), 4.2 4(1H,m), 5.35-
 5.50(2H,m), 6.09(1H,d,J=8.4Hz), 7.17-7.31(6H,m), 7.95(1H,d,J= 1.5Hz).
 IR(CHCl₃):3510,3451,3062,3031,3022,3011,2925,2870,2662,1708,1651,1582, 1535,1497,1477/cm.
 [α]_D=+47.9° (MeOH,c=1.01,25°C).

No.2a-179

CDCl₃ 300MHz
 0.96(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.52-2.48(14H,m), 4.3 0(1H,m), 5.36-
 5.52(2H,m), 6.73(1H,d,J=9.0Hz), 6.26 and 7.37(each 1H,each d,J=6.0Hz).
 IR(CHCl₃):3509,3429,3115,3094,3025,3014,2925,2871,2666,1708,1649,1529, 1510 /cm.
 [α]_D=+51.0° (MeOH,c=1.02,25°C).

No.2a-180

CDCl₃ 300MHz
 0.95(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.52-2.46(14H,m), 3.8 9(3H,s), 4.21(1H,m), 5.35-
 5.50(2H,m), 6.05(1H,d,J=8.4Hz), 6.46 and 7.04 (each 1H,each d,J=1.8Hz).
 IR(CHCl₃):3516,3450,3114,3031,3010,2925,2871,1708,1648,1546,1511,1477 /cm.
 [α]_D=+49.1° (MeOH,c=1.01,25°C).

No.2a-181

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.52-2.48(14H,m), 2.4 2(3H,s), 4.31(1H,m), 5.34-5.52(2H,m), 8.07(1H,d,J=9.3Hz), 7.27 and 8.17 (each 1H,each d,J=3.3Hz).

IR(CHCl₃):3510,3301,3112,3023,3007,2924,2871,2663,1708,1636,1534 /cm.[α]_D=+41.0° (MeOH,c=0.96,25°C).

No.2a-182

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.53-2.46(14H,m), 2.5 1(3H,s), 4.21(1H,m), 5.35-5.51(2H,m), 6.05(1H,d,J=8.1Hz), 7.26 and 7.78 (each 1H,each d,J=1.8Hz).

IR(CHCl₃):3509,3450,3109,3024,3012,2925,2870,2666,1708,1650,1535,1498,1471 /cm.[α]_D=+52.9° (MeOH,c=0.95,25°C).

No.2a-183

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz), 1.12 and 1.22(each 3H,each s), 1.52-2.46(14H,m), 4.2 5(1H,m), 5.33-5.51(2H,m), 6.17(1H,d,J=8.7Hz), 7.01-7.05(3H,m), 7.14 and 7.6 2(each 2H,each d,J=8.7Hz), 7.27-7.34(2H,m).

IR(CHCl₃):3428,3026,3015,2925,2870,2666,1739,1708,1643,1613,1594,1526, 1499 /cm.[α]_D=+64.8° (MeOH,c=1.02,23°C).

No.2a-184

CDCl₃ 300MHz

1.01(1H,d,J=10.2Hz), 1.18 and 1.26(each 3H,each s), 1.55-2.50(14H,m), 4.3 5(1H,m), 5.35-5.55(2H,m), 6.42(1H,d,J=8.7Hz), 7.46-7.52(2H,m), 7.73(1H,dd,J =1.8 and 8.4Hz), 7.83-7.89(2H,m), 8.21(1H,m), 8.59(1H,d,J=1.5Hz).

IR(CHCl₃):3451,3031,3014,2925,2870,2660,1739,1708,1650,1604,1513,1463 /cm.[α]_D=+58.3° (MeOH,c=1.00,23°C).

No.2a-185

CDCl₃ 300MHz

1.00(1H,d,J=10.2Hz), 1.18 and 1.25(each 3H,each s), 1.55-2.50(14H,m), 4.3 4(1H,m), 5.35-5.54(2H,m), 6.36(1H,d,J=8.7Hz), 7.37(1H,t,J=7.4Hz), 7.50(1H,m), 7.57-7.59(2H,m), 7.79(1H,dd,J=1.8 and 8.1Hz), 7.99(1H,d,J=7.8Hz), 8.39(1 H,d,J=1.8Hz).

IR(CHCl₃):3451,3030,3020,2870,2665,1708,1652,1632,1603,1586,1514,1469, 1448 /cm.[α]_D=+59.4° (MeOH,c=1.01,24°C).

No.2a-186

CDCl₃ 300MHz

1.00(1H,d,J=10.5Hz), 1.17 and 1.25(each 3H,each s), 1.54-2.50(14H,m), 4.3 3(1H,m), 5.35-5.54(2H,m), 6.37(1H,d,J=8.7Hz), 7.37(1H,t,J=7.4Hz), 7.51(1H,t, J=7.8Hz), 7.56(1H,m), 7.70(1H,dd,J=1.2 and 8.4Hz), 7.97(3H,m).

IR(CHCl₃):3451,3030,3014,2924,2870,2671,1739,1708,1652,1577,1517,1488, 1471 /cm.[α]_D=+72.2° (MeOH,c=1.00,24°C).

No.2a-187

CDCl₃ 300MHz

1.00(1H,d,J=9.8Hz), 1.18 and 1.25(each 3H,each s), 1.54-2.53(14H,m), 4.07(3H,s), 4.37(1H,m), 5.30-5.54(2H,m), 7.34(1H,m), 7.47(1H,s), 7.47-7.60(2H,m), 7.93(1H,d,J=7.8Hz), 8.43(1H,s), 8.49(1H,d,J=9.0Hz).

IR(CHCl₃):3397,3074,3027,3020,3009,2924,1738,1708,1647,1633,1534,1465, 1453 /cm.[α]_D=+43.7° (MeOH,c=1.01,25°C).

No.2a-188

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.53-2.50(14H,m), 4.2 3(1H,m), 5.37-
 5.50(2H,m), 6.10(1H,d,J=9.0Hz), 6.20(1H,m), 6.51(1H,m), 6.97(1 H,m), 10.81(1H,brs).
 IR(CHCl₃): 3450, 3236, 3112, 3029, 3015, 2925, 2871, 2645, 1701, 1616, 1558, 1516 /cm.
 [α]_D=+50.6° (MeOH, c=1.01, 24°C).

No.2a-189

CDCl₃ 300MHz
 0.94(1H,d,J=9.9Hz), 1.11 and 1.23(each 3H,each s), 1.50-2.46(14H,m), 3.93(3H,s), 4.18(1H,m), 5.35-
 5.52(2H,m), 6.03(1H,d,J=9.3Hz), 6.09(1H,m), 6.48(1H, m), 6.73(1H,m).
 IR(CHCl₃): 3452, 3102, 3028, 3007, 2925, 2871, 2666, 1739, 1708, 1650, 1536, 1499, 1471 /cm.
 [α]_D=+49.8° (MeOH, c=1.01, 23°C).
 m.p. 101.5-103.5°C

No.2a-190

CDCl₃ 300MHz
 0.94(1H,d,J=10.2Hz), 1.11 and 1.21(each 3H,each s), 1.54-2.47(14H,m), 4.2 3(1H,m), 5.33-
 5.52(2H,m), 6.06(1H,d,J=9.0Hz), 6.34(1H,m), 6.75(1H,m), 6.36(1 H,m), 9.71(1H,brs).
 IR(CHCl₃): 3470, 3215, 3030, 3020, 3010, 2925, 2871, 2664, 1709, 1613, 1564, 1510 /cm.
 [α]_D=+43.3° (MeOH, c=1.01, 24°C).

No.2a-191

CDCl₃ 300MHz
 0.96(1H,d,J=10.2Hz), 1.11 and 1.22(each 3H,each s), 1.55-2.44(14H,m), 3.6 6(3H,s), 4.20(1H,m), 5.35-
 5.51(2H,m), 5.93(1H,d,J=8.4Hz), 6.27(1H,dd,J=1.8 and 2.7Hz), 6.56(1H,t,J=2.7Hz), 7.19(1H,t,J=1.8Hz).
 IR(CHCl₃): 3452, 3031, 3018, 3006, 2925, 2871, 2662, 1736, 1710, 1634, 1609, 1556, 1498 /cm.
 [α]_D=+43.1° (MeOH, c=1.01, 23°C).

No.2a-192

CDCl₃ 300MHz
 0.96(1H,d,J=10.5Hz), 1.11 and 1.21(each 3H,each s), 1.43(3H,t,J=7.5Hz), 1. 54-
 2.44(14H,m), 3.93(2H,q,J=7.5Hz), 4.21(1H,m), 5.33-5.51(2H,m), 5.94(1H,d, J=8.4Hz), 6.27(1H,dd,J=1.8 and
 2.7Hz), 6.62(1H,t,J=2.7Hz), 7.26(1H,t,J=1.8 Hz).
 IR(CHCl₃): 3630, 3452, 3032, 3018, 3006, 2925, 2871, 2661, 1735, 1710, 1633, 1610, 1555, 1497 /cm.
 [α]_D=+40.1° (MeOH, c=1.00, 23°C).

No.2a-193

CDCl₃ 300MHz
 0.95(1H,d,J=10.2Hz), 1.10 and 1.22(each 3H,each s), 1.53-2.49(14H,m), 2.5 8(3H,s), 4.21(1H,m), 5.35-
 5.54(2H,m), 6.15(1H,d,J=8.1Hz), 6.52(1H,dd,J=1.8 and 3.6Hz), 7.29(1H,t,J=3.6Hz), 7.94(1H,t,J=1.8Hz).
 IR(CHCl₃): 3516, 3450, 3410, 3152, 3027, 3015, 2925, 2871, 2670, 1732, 1648, 1574, 1509 /cm.
 [α]_D=+45.0° (MeOH, c=1.01, 25°C).

No.2a-194

CDCl₃ 300MHz
 0.99(1H,d,J=10.2Hz), 1.11 and 1.24(each 3H,each s), 1.52-2.53(14H,m), 4.3 4(1H,m), 5.33-
 5.57(2H,m), 6.21(1H,d,J=8.6Hz), 7.35-7.50(2H,m), 7.83(1H,s), 7. 86(1H,m), 8.31(1H,m).
 IR(CHCl₃): 3443, 3067, 3013, 2925, 2870, 2665, 1708, 1651, 1515, 1493 /cm.
 [α]_D=+55.7° (MeOH, c=1.01, 23°C).

No.2a-195

CDCl₃ 300MHz

1.01(1H,d,J=10.0Hz), 1.06 and 1.26(each 3H,each s), 1.50-2.64(14H,m), 2.6 8(3H,s), 4.40(1H,m), 5.36-5.61(2H,m), 6.02(1H,d,J=9.4Hz), 7.30-7.42(2H,m), 7.73-7.86(2H,m).

IR(CHCl₃): 3510, 3434, 3062, 3029, 3014, 2924, 2871, 2669, 1708, 1650, 1563, 1539, 1500 /cm.[α]_D=+72.4° (MeOH, c=1.00, 23°C).

m.p. 111.0-112.0°C

10 No.2a-196

CDCl₃ 300MHz

0.42 and 1.04(each 3H,each s), 0.80(1H,d,J=10.0Hz), 1.11-2.48(14H,m), 2.2 4(3H,s), 4.02(1H,m), 5.23-5.44(2H,m), 5.53(1H,d,J=8.8Hz), 7.27-7.31(2H,m), 7.42-7.48(3H,m), 7.93(1H,s).

IR(CHCl₃): 3419, 3114, 3025, 3006, 2924, 2871, 2662, 1737, 1709, 1636, 1540, 1519 /cm.[α]_D=+43.7° (MeOH, c=1.01, 23°C).

No.2a-197

CDCl₃ 300MHz

0.95(1H,d,J=10.0Hz), 1.09 and 1.23(each 3H,each s), 1.54-2.46(18H,m), 2.7 7(4H,brs), 4.21(1H,m), 5.32-5.54(2H,m), 6.02(1H,d,J=8.6Hz), 7.43(1H,s).

IR(CHCl₃): 3445, 3101, 3024, 3014, 2928, 2865, 2661, 1739, 1708, 1646, 1550, 1507 /cm.[α]_D=+51.9° (MeOH, c=1.01, 23°C).

No.2a-198

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.22(each 3H,each s), 1.50-2.44(14H,m), 4.2 4(1H,m), 4.42(2H,s), 5.35-5.49(2H,m), 6.25(1H,d,J=8.1Hz), 7.33(1H,m), 7.43(1H,dd,J=1.5 and 7.5Hz), 7.49(1H,d,J=8.1Hz), 7.60-7.63(1H,m), 7.68(1H,dd,J=1.8 and 7.8Hz), 8.02(1H,d,J=1.8Hz), 8.19(1H,dd,J=1.5 and 8.1Hz).

IR(CHCl₃): 3448, 3030, 3012, 2925, 2870, 1739, 1708, 1671, 1588, 1559, 1514, 1472 /cm.[α]_D=+56.9° (MeOH, c=1.01, 24°C).

35 No.2a-199

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.22(each 3H,each s), 1.51-2.46(14H,m), 3.4 0(1H,m), 3.76(1H,m), 4.24(1H,m), 5.33-5.51(3H,m), 6.25(1H,m), 7.16(1H,m), 7.2 4-7.33(2H,m), 7.46(1H,d,J=7.5Hz), 7.52-7.60(2H,m), 7.85(1H,dd,J=1.8 and 4.5Hz).

IR(CHCl₃): 3583, 3447, 3062, 3028, 3013, 2924, 2871, 2663, 1708, 1651, 1600, 1557, 1514, 1471 /cm.[α]_D=+54.8° (MeOH, c=1.00, 23°C).

No.2a-200

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.51-2.46(14H,m), 4.2 5(1H,m), 5.34-5.51(2H,m), 6.25(1H,d,J=8.4Hz), 7.02 and 7.10(each, 1H,each d,J=12.3Hz), 7.23-7.33(4H,m), 7.50(1H,m), 7.64(1H,dd,J=1.8 and 7.8Hz), 7.8 2(1H,d,J=1.8Hz).

IR(CHCl₃): 3450, 3060, 3025, 3014, 2925, 2871, 2662, 1708, 1653, 1596, 1542, 1513, 1473 /cm.[α]_D=+62.5° (MeOH, c=1.00, 24°C).

No.2a-201

CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz), 1.15 and 1.22(each 3H,each s), 1.55-2.60(14H,m), 4.26(1H,m), 5.35-5.63(2H,m), 7.14(1H,d,J=9.9Hz), 7.34 and 7.40(each, 1H,each d,J=12.9Hz), 7.62-7.73(4H,m), 8.25-8.30(2H,m), 8.72(1H,d,J=1.5Hz).

IR(CHCl₃):3443,3389,3297,3061,3030,3016,2925,2870,1726,1708,1652,1603,1521,1483,1472,1309 /cm.
 [α]_D=+61.1° (MeOH,c=1.01,23°C).

No.2a-202

5

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.22(each 3H,each s),1.52-2.43(14H,m),2.6 3(3H,s),4.25(1H,m),5.33-5.49(2H,m),6.19(1H,d,J=8.4Hz),7.10 and 7.58 (each,2H,each d,J=9.0Hz),7.21(1H,m),7.30-7.32(2H,m),7.46(1H,d,J=7.5Hz)

10 IR(CHCl₃):3511,3453,3062,3032,3014,2925 2870,1739,1708,1650,1595,1556, 1516,1482,1471 /cm.
 [α]_D=+60.2° (MeOH,c=1.01,25°C).

No.2a-203

15

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.09 and 1.23(each 3H,each s),1.52-2.43(14H,m),4.2 3(1H,m),5.35-5.51(2H,m),5.93(1H,d,J=8.7Hz),6.56(1H,dd,J=0.9 and 1.8Hz), 7.43(1H,t,J=1.8Hz),7.92(1H,dd,J=0.9 and 1.8Hz).
 IR(CHCl₃):3517,3450,3134,3031,3008,2925,2870,2667,1708,1656,1588,1570, 1514 /cm.
 [α]_D=+46.7° (MeOH,c=0.92,25°C).

20

No.2b-1

[α]_D= +25.6° (MeOH,c=1.01,23°C).

25 No.2b-2

[α]_D= +38.9° (MeOH,c=1.01,24°C).

No.2c-1

30

[α]_D= +60.5° (MeOH,c=1.01,22°C).

No.2c-2

35

[α]_D= +55.8° (MeOH,c=0.92,22°C).

No.2c-3

[α]_D= +54.7° (MeOH,c=1.01,22°C).

40

No.2d-1

[α]_D= -6.2° (MeOH,c=1.00,21°C).

45 No.2d-2

[α]_D=+15.8° (MeOH,c=0.34,22°C).

No.2d-3

50

[α]_D=+31.6° (MeOH,c=1.01,22°C).

No.2e-1

55

[α]_D= -9.4° (MeOH,c=1.00,22°C).

No.2e-2

$[\alpha]_D = -1.8^\circ$ (MeOH, c=1.02, 23°C).

5 No.2e-3

$[\alpha]_D = -6.7^\circ$ (MeOH, c=1.01, 23°C).

No.2f-1

10

$[\alpha]_D = +6.8^\circ$ (MeOH, c=1.01, 23°C).

No.2f-2

15

$[\alpha]_D = -2.6^\circ$ (MeOH, c=1.00, 22°C).

No.2f-3

$[\alpha]_D = -3.5^\circ$ (MeOH, c=1.01, 22°C).

20

No.2g-1

$[\alpha]_D = +54.6^\circ$ (MeOH, c=1.01, 24°C).

25

No.3a-2

CDCl₃ 300MHz

0.98-2.15(14H,m), 2.31(2H,t, J=7.2Hz), 2.35-2.40(1H,m), 3.10-3.20(1H,m), 5.00(1H,d, J=6.9Hz), 5.30-5.48(2H,m), 6.75(1H,d, J=10.2Hz), 7.38-7.52(6H,m).

30

IR(CDCl₃): 3266, 3028, 2954, 2874, 1709, 1620, 1448, 1412, 1318, 1141, 970, 892/cm.

$[\alpha]_D = +20.3 \pm 0.6^\circ$ (CHCl₃, c=1.05, 24°C).

No.3a-3

35

CDCl₃ 300MHz

0.95-2.00(14H,m), 2.20-2.29(3H,m), 3.00-3.08(1H,m), 3.66(3H,s), 5.00(1H,d, J=6.6Hz), 5.13-5.29(2H,m), 7.38-7.52(3H,m), 7.59-7.65(2H,m), 7.69-7.75(2H,m), 7.92-7.98(2H,m).

IR(CHCl₃): 3376, 3018, 2946, 2868, 1727, 1594, 1436, 1395, 1322, 1157, 1095, 890 /cm.

$[\alpha]_D = +2.3 \pm 0.4^\circ$ (CHCl₃, c=1.03, 22°C).

40

mp. 65-66.5°C

No.3a-4

CDCl₃ 300MHz

45

0.93-2.05(14H,m), 2.15-2.22(1H,m), 2.31(2H,t, J=7.2Hz), 3.01-3.10(1H,m), 5.18-5.31(3H,m), 7.38-7.52(3H,m), 7.58-7.66(2H,m), 7.69-7.76(2H,m), 7.92-7.98(2H,m).

IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1708, 1594, 1479, 1396, 1319, 1156, 1095, 1052, 891/cm.

$[\alpha]_D = +13.1 \pm 0.5^\circ$ (CHCl₃, c=1.16, 24°C).

50

No.3a-6

CD₃OD 300MHz

1.04-1.95(14H,m), 2.07(2H,t, J=7.8Hz), 2.14-2.22(1H,m), 2.94-3.00(1H,m), 5.04-5.25(2H,m), 7.36-7.52(3H,m), 7.66-7.71(2H,m), 7.78-7.85(2H,m), 7.91-7.97(2H,m).

55

IR(KBr): 3421, 3278, 2951, 2872, 1562, 1481, 1409, 1317, 1156, 1097, 1057, 895/cm.

$[\alpha]_D = -15.3 \pm 0.5^\circ$ (CHCl₃, c=1.06, 23°C).

mp. 105-112°C

No.3a-11

CDCl₃ 300MHz

0.90-2.04(14H,m), 2.08-2.19(1H,m), 2.35(2H,t,J=7.2Hz), 2.95-3.04(1H,m), 5.17-5.32(3H,m), 7.56-7.63(2H,m), 7.83-7.95(2H,m).

IR(CHCl₃):3260,3020,2948,2868,1707,1569,1456,1383,1325,1268,1160,1088, 1053,1006,892/cm.[α]_D=+8.3±0.5 ° (CHCl₃,c=1.00,22°C).

No.3a-16

CDCl₃ 300MHz

0.80-1.90(14H,m), 1.98-2.04(1H,m), 2.27(2H,t,J=7.2Hz), 2.88(6H,s), 2.90-2.98(1H,m), 4.88-5.00(2H,m), 5.13(1H,d,J=7.2Hz), 7.18(1H,d,J=7.5Hz), 7.48-7.60(2H,m), 8.25-8.33(2H,m), 8.53(1H,d,J=8.7Hz).

IR(CHCl₃):3272,3020,2946,2866,2782,1708,1573,1455,1407,1311,1229,1160, 1142,1070,942,891/cm.[α]_D=-19.7±0.6 ° (CHCl₃,c=1.08,23.5°C).

No.3a-31

CDCl₃ 300MHz

0.80-1.85(14H,m), 2.02-2.08(1H,m), 2.20(2H,t,J=7.2Hz), 2.85-2.95(1H,m), 3.68(3H,s), 4.80-4.92(2H,m), 4.96(1H,d,J=6.9Hz), 7.50-7.70(3H,m), 7.92-

7.98(1H,m), 8.07(1H,d,J=8.4Hz), 8.29(1H,dd,J=1.5&7.5Hz), 8.65(1H,LI):3374,3016,2946,2868,1727,1506,1435,1318,1160,1133,1105,1051, 984,890/cm.

[α]_D=-39.3±0.8 ° (CHCl₃,c=1.07,22°C).

No.3a-32

CDCl₃ 300MHz

0.80-1.90(14H,m), 1.95-2.05(1H,m), 2.27(2H,t,J=7.2Hz), 2.90-2.96(1H,m), 4.85-5.00(2H,m), 5.23(1H,d,J=6.6Hz), 7.50-7.72(3H,m), 7.95(1H,d,J=8.1Hz),

8.07(1H,d,J=8.4Hz), 8.29(1H,dd,J=1.2&7.5Hz), 8.66(1H,d,J=9.0Hz).

IR(CHCl₃):3270,3020,2948,2868,1708,1455,1412,1317,1159,1132,1104,1079, 1051,983,891/cm.[α]_D=-29.2±0.6 ° (CHCl₃,c=1.08,22°C).

No.3a-33

CD₃OD 300MHz

0.94-1.84(14H,m), 1.96-2.08(3H,m), 2.77-2.84(1H,m), 4.67-4.84(2H,m), 7.55-7.75(3H,m), 8.02(1H,d,J=7.8Hz), 8.12-8.26(2H,m), 8.74(1H,d,J=8.7Hz).

IR(KBr):3432,3298,2951,2872,1564,1412,1315,1159,1134,1107,1082,1058, 986/cm.

[α]_D=-79.9±1.2 ° (CH₃OH,c=1.00,23°C).

No.3a-34

CDCl₃ 300MHz

0.97-1.91(14H,m), 2.13-2.20(1H,m), 2.42(2H,t,J=7.2Hz), 3.00-3.07(1H,m), 5.06-5.24(2H,m), 5.33(1H,d,J=6.9Hz), 7.57-7.68(2H,m), 7.82-8.00(4H,m), 8.45(1H,d,J=1.2Hz)

IR(CHCl₃):3260,3020,2948,1708,1408,1319,1154,1129,1073,953,893/cm.[α]_D=+20.7±0.6 ° (CHCl₃,c=1.07,22°C).

No.3a-35

CD₃OD 300MHz

1.03-2.20(m,17H), 2.97(m,1H), 5.02(m,2H), 7.64(m,2H), 8.00(m,4H), 8.43 (S,1H).

IR(KBr):3360,3285,1562,1407,1316,1153,1130,1075/cm.

[α]_D=0[α]₃₆₅=+20.9±0.6 ° (CH₃OH,c=1.04,23°C).

No.3d-1

CDCl₃ 300MHz

0.93-2.55(m,17H),3.02(m,1H),5.24(m,2H),6.48(m,1H),7.35-7.60(m,3H),7.85-8.00(m,2H)

IR(Nujol): 3275,1548,1160,1094,758,719,689,591,557/cm.

[α]_D=+19.0±0.6° (CH₃OH,c=1.010,26.5°C).Elemental analysis (C₂₀H₂₆NO₄S 1/2Ca 1.0 H₂O)

Calcd.:	C, 57.94;	H, 6.82;	N, 3.38;	Ca, 4.83;	H ₂ O, 4.35
Found:	C, 57.80;	H, 6.68;	N, 3.68;	Ca, 5.06;	H ₂ O, 4.50

No.3d-6

[α]_D=-20.7±0.6° (CHCl₃,c=1.00,24°C).

No.3d-7

[α]_D=-3.2±0.4° (CHCl₃,c=1.03,22°C).

mp.65-67°C

No.3d-8

[α]_D=-14.5±0.5° (CHCl₃,c=1.07,24°C).

No.3d-9

[α]_D=+12.2±0.5° (CH₃OH,c=1.00,23°C).

mp.119-125°C

No.3d-10

[α]_D=+39.7±0.8° (CHCl₃,c=1.07,22°C).

No.3d-11

[α]_D=+29.2±0.7° (CHCl₃,c=1.06,22°C).

No.3d-12

[α]_D=+76.4±1.1° (CH₃OH,c=1.03,24°C).

No.3d-14

[α]_D=-20.6±0.6° (CHCl₃,c=1.07,22°C).

No.3d-15

[α]₃₆₅=-28.0±0.7° (CH₃OH,c=1.03,24.5°C).

No.3d-16

[α]_D=-8.7±0.5° (CHCl₃,c=1.06,22°C).

No.3d-17

CDCl₃ 300MHz

0.80-2.15(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),2.15
(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),5.22(m,2H),5.38(d,

J=7Hz,1H),7.30(A2B2q-

Apart,J=8Hz,2H),7.81(A2B2qBpart,J=8Hz,2H),9.86 (brs,1H).

[α]_D=0[α]₃₆₅=-9.7±0.5° (CHCl₃,c=1.03,22°C).

10 No.3d-24

[α]_D=+19.2±0.6° (CHCl₃,c=1.05,23°C).

No.3d-26

15

CD₃OD 300MHz

0.90-2.20(20H,m),2.88(1H,m),3.07(2H,q,J=7.0Hz),5.00-5.40(2H,m),7.20-7.60(4H,m),7.95(1H,m).

IR(KBr):3415,3254,1698,1564,1314,1154/cm.

20 No.3d-28

CD₃OD 300MHz

0.90-2.20(20H,m),2.73(2H,q,J=7.0Hz),2.93(1H,m),5.00-5.30(2H,m),7.40-7.50(2H,m),7.60-7.77(2H,m).

IR(KBr):3435,3280,1562,1323,1304,1151/cm.

25

No.3d-30

30

Elemental analysis (C ₂₀ H ₂₅ BrNO ₄ SNa)						
Calcd.:	C50.21;	H5.27;	Br16.70;	N2.93;	S6.70;	Na4.81
Found:	C50.22;	H5.40;	Br15.57;	N2.88;	S6.41;	Na5.10

35 IR(KBr):3425,3280,3085,1697,1570,1410,1321,1165,1155/cm.

No.3e-1

CD₃OD 300MHz

40 0.71(1H,d,J=10.2Hz),1.04(3H,s),1.12(3H,s),1.35-2.28(14H,m), 2.42(3H,s),3.17-3.25(1H,m),5.18-
5.39(2H,m),7.37(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz).

IR(CHCl₃):3400,3289,2986,2924,2870,1559,1424,1322,1305,1160,1095,1075, 1030/cm.[α]_D=+25.9±0.7° (CH₃OH,c=1.00,23°C).

45 Compounds prepared in Examples above were tested for in vivo and in vitro activity according to the method shown
in Experimental examples below.

Experiment 1 Binding to PGD₂ Receptor

50 Material and Method

(1) Preparation of Human Platelet Membrane Fraction

55 A Blood sample was obtained using a plastic syringe containing 3.8 % sodium citrate from veins of healthy volun-
teers (adult male and female), put into a plastic test tube and mixed gently by inversion. The sample was then centri-
fuged at 1800 rpm, 10 min at room temperature, and supernatant containing PRP (platelet rich plasma) was collected.
The PRP was re-centrifuged at 2300 rpm, 22 min at room temperature to obtain platelets. The platelets were homo-
genized using a homogenizer (Ultra-Turrax) followed by centrifugation 3 times at 20,000 rpm, 10 min at 4°C to obtain a

platelet membrane fraction. After protein determination, the membrane fraction was adjusted to 2 mg/ml and preserved in a refrigerator at -80°C until use.

(2) Binding to PGD₂ Receptor

To a binding-reaction solution (50 mM Tris/HCl, pH 7.4, 5 mM MgCl₂) (0.2 ml) were added human platelet membrane fraction (0.1 mg) and 5 nM [³H]PGD₂ (115Ci/mmol), and reacted at 4°C for 90 min. After the reaction finished, the reaction mixture was filtered through a glass fiber filter paper, washed several times with cooled saline, and measurement made of radioactivity retained on the filter paper. The specific binding was calculated by subtracting the non-specific binding (the binding in the presence of 10 μM PGD₂) from the total binding. The binding-inhibitory activity of each compound was expressed as concentration required for 50 % inhibition (IC₅₀), which was determined by depicting a substitution curve by plotting the binding ratio (%) in the presence of each compound, where the binding ratio in the absence of a test compound is 100 %. The results are shown in Table below.

Compound number	Activity (μM)	compound number	activity (μM)
3a-4	0.6	2a-4	0.54
1a-115	8.6	2a-17	0.12
1a-28	0.045	2a-21	5.2
1a-47	0.0086	2a-28	0.046
1a-100	0.56	2a-95	1.6
1a-176	0.047	2a-109	0.003
1a-2	0.13	1a-162	0.027

Experiment 2 Evaluation of Antagonistic Activity Against PGD₂ Receptor Using Human Platelet

Peripheral blood was obtained from a healthy volunteer using a syringe in which 1/9 volume of citric acid/dextrose solution had been previously added. The syringe was subjected to centrifugation at 180 g for 10 min to obtain the supernatant (PRP: platelet rich plasma). The resultant RRP was washed 3 times with a washing buffer and the number of platelets was counted with a micro cell counter. A suspension adjusted to contain platelets at a final concentration of 5 x 10⁸/ml was warmed at 37°C, and then subjected to the pretreatment with 3-isobutyl-1-methylxanthine (0.5mM) for 5 min. To the suspension was added a test compound diluted at various concentrations. Ten-minutes later, the reaction was induced by the addition of 0.1-2.0 μM PGD₂ and, 15-minutes later, stopped by the addition of HCl. The platelets were destroyed with an ultrasonic homogenizer. After centrifugation, the cAMP in the supernatant was determined by radioassay. PGD₂ receptor antagonism of a drug was evaluated as follows. The inhibition rate regarding cAMP increased by the addition of PGD₂ was determined at individual concentration, and then the concentration of the drug required for 50 % inhibition (IC₅₀) was calculated. The results are shown in the Table below.

Compound number	Inhibition of Increase of Human Platelet cAMP (IC ₅₀) (μM)
3a-16	0.37
1a-12	12.11
1a-28	0.30
1a-47	2.09
2a-2	0.77
2a-4	0.94
2a-35	1.52
2a-75	0.71

Experiment 3 Experiment Using Nasal Occlusion Model

The method used for measuring the nasal cavity resistance and evaluating the anti-nasal occlusion using a guinea pig are described below.

5 A 1% ovalbumin (OVA) solution was treated with an ultrasonic nebulizer to obtain an aerosol. A Hartley male guinea pig was sensitized by inhaling twice the aerosol for 10 min at one-week intervals. Seven-days after the sensitization, the guinea pig was exposed to an antigen to initiate the reaction. Then the trachea was incised under anesthesia with pentobarbital (30 mg/kg, i.p.) and cannulas were inserted into the trachea at the pulmonary and nasal cavity sides. The canal inserted at the pulmonary side was connected with an artificial respirator that provides 4 ml air 60 times/min. After
10 arresting the spontaneous respiration of a guinea pig with Garamin (2 mg/kg, i.v.), air was supplied to the snout side with an artificial respirator at the frequency of 70 times/min, and the flow rate of 4 ml air/time, and the atmospheric pressure required for the aeration was measured by the use of a transducer fitted at the branch. The measurement was used as a parameter of the nasal cavity resistance. The exposure of an antigen was carried out by generating aerosol of 3 % OVA solution for 3 min between the respirator and nasal cavity cannula. The test drug was injected intravenously
15 10 min before the antigen exposure. The nasal resistance between 0 to 30 min was measured continuously and the effect was expressed as inhibition rate to that obtained for vehicle using the AUC for 30 min (on the vertical axis, nasal cavity resistance (cm H₂O), and on the horizontal axis, time (0 - 30 min)) as an indication. The result is shown below.

20

25

30

35

40

45

Compound number	Inhibition Rate (%) 1 mg/kg (i.v.)	Remarks
1a-28	44	3mg/kg (i.v.)
1a-98	69	
1a-100	50	
1a-115	66	
1a-116	48	
1a-120	58	
1a-2	82	
1a-162	80	
1a-176	60	
1a-267	62	
2a-4	60	10mg/kg(p.o.)
2a-21	52	
2a-28	54	
2a-95	77	
2a-96	77	
2a-109	73	10mg/kg(p.o.)
2a-110	66	
22a-194	79	

50 Formulation 1 Preparation of Tablets

Tablets each containing 40 mg of active ingredient were prepared in a conventional manner. The ingredients for 40 mg tablet are as follows:

55

Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-5-heptenoate dihydrate	40.0 mg
Hydroxypropyl cellulose	3.6 mg
Magnesium stearate	0.4mg
Cornstarch	18.0 mg
Lactose	58.0 mg
	<u>Total 120.0 mg</u>

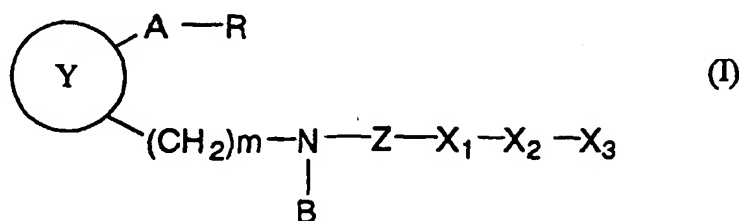
Formulation 2 Preparation of Granules

Ingredients:

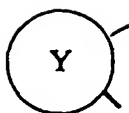
Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-5-heptenoate dihydrate	100.0 mg
Hydroxypropyl cellulose	30.0 mg
Carmellose Calcium	30.0 mg
Talc	10.0 mg
Poloxamer 188	20.0 mg
Crystalline cellulose	70.0 mg
Cornstarch	300.0 mg
Lactose	440.0 mg
	<u>Total 1000.0 mg</u>

Claims

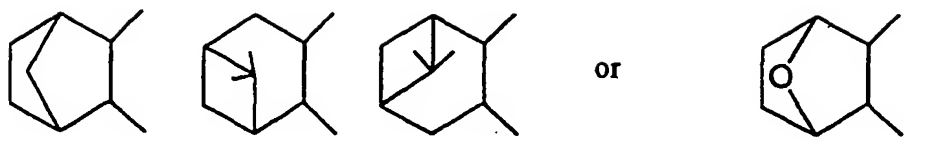
1. A PGD₂ antagonist comprising a compound of the general formula (I) below or a salt or a hydrate thereof as an active ingredient:



wherein



is



A is alkylene which optionally is intervened by a hetero atom or phenylene, contains oxo group, and/or has an unsaturated bond;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR_1 , CH_2OR_2 or $\text{CON(R}_3\text{)R}_4$;

R_1 is-hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

X_1 is a single bond, phenylene, naphthylene, thiophenediyl, indolediyl, or oxazolediyl;

X_2 is a single bond, $-\text{N}=\text{N}-$, $-\text{N}=\text{CH}-$, $-\text{CH}=\text{N}-$, $-\text{CH}=\text{N}-\text{N}-$, $-\text{CH}=\text{N}-\text{O}-$, $-\text{C}=\text{NNHCSNH}-$, $-\text{C}=\text{NNHCONH}-$, $-\text{CH}=\text{CH}-$, $-\text{CH}(\text{OH})-$, $-\text{C}(\text{Cl})=\text{C}(\text{Cl})-$, $-(\text{CH}_2)_n-$, ethynylene, $-\text{N(R}_5)-$, $-\text{N(R}_{51}\text{)CO}-$, $-\text{N(R}_{52}\text{)SO}_2-$, $-\text{N(R}_{53}\text{)CON(R}_{54}\text{)-}$, $-\text{CON(R}_{55}\text{)-}$, $-\text{SO}_2\text{N(R}_{56}\text{)-}$, $-\text{O}-$, $-\text{S}-$, $-\text{SO}-$, $-\text{SO}_2-$, $-\text{CO}-$, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X_3 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinyldenemethyl, thiazolidinyldenemethyl, $-\text{CH}=\text{NR}_6$ or $-\text{N}=\text{C(R}_7\text{)R}_8$;

R_5 , R_{51} , R_{52} , R_{53} , R_{54} , R_{55} and R_{56} each are hydrogen or alkyl;

R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R_7 and R_8 each are independently alkyl, alkoxy, or aryl;

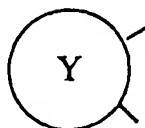
n is 1 or 2;

Z is $-\text{SO}_2-$ or $-\text{CO}-$; and

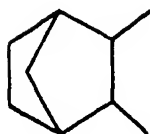
m is 0 or 1;

wherein a cyclic substituent may have one to three substituents selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxy, carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, $-\text{N}=\text{PPh}_3$, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy.

2. The PGD_2 antagonist of claim 1 wherein the active ingredient is a compound of the formula (I) wherein



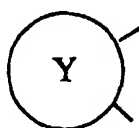
is



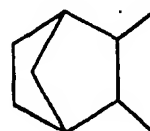
;

m is 0; and when Z is SO₂, both X₁ and X₂ are a single bond; X₃ is alkyl, phenyl, naphthyl, stylyl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from the group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or a salt or hydrate thereof.

3. The PGD₂ antagonist of claim 1 wherein the active ingredient is a compound of the formula (I) wherein



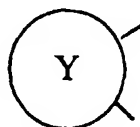
is



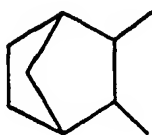
;

when m is 1, both X₁ and X₂ are a single bond; and X₃ is phenyl optionally substituted with halogen, or a salt or hydrate thereof.

4. The PGD₂ antagonist of claim 1 wherein the active ingredient is a compound of the formula (I) wherein



is

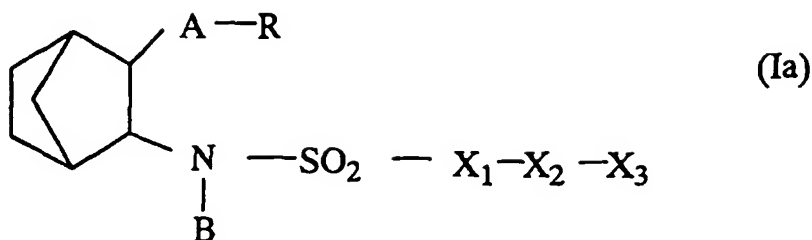


;

when m is 1, X₁ is phenyl, X₂ is -CH₂- or -N=N- and X₃ is phenyl, or a salt or hydrate thereof.

5. The PGD₂ antagonist of claim 1 which is a drug for treating nasal occlusion.

6. A compound of the formula (Ia):



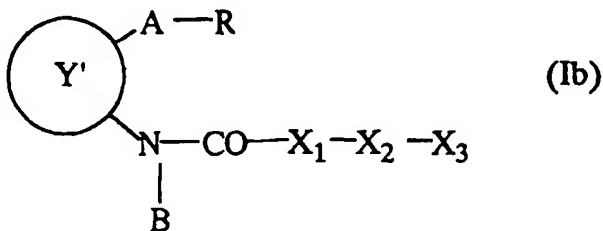
15 wherein A, B, R, X₁, X₂ and X₃ are as defined above, or a salt or hydrate thereof, provided that those wherein (1) X₁ and X₂ are a single bond, and X₃ is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is COOR₁ (R₁ is hydrogen or methyl), X₁ is 1,4-phenylene, X₂ is a single bond, and X₃ is phenyl are excluded.

20 7. The compound of claim 6, a salt or hydrate thereof, wherein X₁ and X₂ are a single bond, X₃ is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, and A, B and R are as defined above.

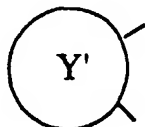
25 8. The compound of claim 6, a salt or hydrate thereof, wherein X₁ is a single bond, X₂ is phenylene, X₃ is alkenyl, alkynyl, -CH=NR₆ or -N=C(R₇)R₈, and A, B, R, R₆, R₇, and R₈ are as defined above.

9. The compound of claim 6, a salt or hydrate thereof, wherein R is COOR₁, X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=N-, -CH=CH-, -CONH-, -NHCO- or ethynylene and X₃ is phenyl, thiazolinyldenemethyl, thiazolidinyldenemethyl or thienyl, and A, B, R₁, R₆, R₇, and R₈ are as defined above.

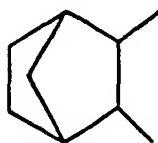
30 10. A compound of the formula (Ib):



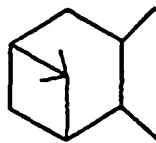
wherein



is



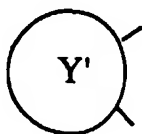
or



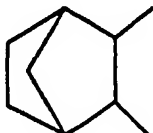
;

A, B, R, X_1 , X_2 and X_3 are as defined above, or a salt or hydrate thereof, provided that those wherein X_1 and X_2 are a single bond, and X_3 is phenyl, and wherein X_1 is a single bond, X_2 is -O-, and X_3 is benzyl are excluded.

11. The compound of claim 10, a salt or hydrate thereof, wherein



is



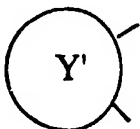
;

and A, B, R, X_1 , X_2 and X_3 are as defined above.

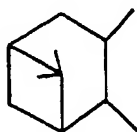
12. The compound of claim 11, a salt or hydrate thereof, wherein R is COOR_1 (R_1 is as defined above).

13. The compound of claim 11, a salt or hydrate thereof, wherein X_1 is phenylene or thiophenediyl, X_2 is a single bond, -N=H-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R_{55})- (R_{55} is as defined above), -N(R_{51})CO- (R_{51} is as defined above) and X_3 is phenyl or thienyl.

14. The compound of claim 10, a salt or hydrate thereof, wherein



is



and A, B, R, X_1 , X_2 , X_3 and Z are as defined above.

15. The compound of claim 14, a salt or hydrate thereof, wherein B is hydrogen, both X_1 and X_2 are a single bond, X_3 is thienyl, thiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl, pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl.

16. The compound of claim 15, a salt or hydrate thereof, wherein X_1 is phenylene, thiophenediyl, indolediyl or oxazolediyl, X_2 is a single bond, -N=H-, -CH=CH-, ethynylene, -S- or -O-, and X_3 is aryl or heterocyclic group.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP96/01685

A. CLASSIFICATION OF SUBJECT MATTER Int. Cl ⁶ C07C233/52, 233/84, 271/24, 311/06, 311/11, 311/13, 311/19, C07D493/08, 495/08, A61K31/16, 31/18, 31/27, 31/33, 31/34, 31/35, 31/38 According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) Int. Cl ⁶ C07C233/52, 233/84, 271/24, 311/06, 311/11, 311/13, 311/19, C07D493/08, 495/08, A61K31/16, 31/18, 31/27, 31/33, 31/34, 31/35, 31/38 Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAS ONLINE		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP, 6-279395, A (Ono Pharmaceutical Co., Ltd.), October 4, 1994 (04. 10. 94) & EP, 608847, A	1 - 16
X	JP, 2-180862, A (Ono Pharmaceutical Co., Ltd.), July 13, 1990 (13. 07. 90) & EP, 312906, A & US, 5168101, A	1 - 16
X	JP, 63-139161, A (Shionogi & Co., Ltd.), June 10, 1988 (10. 06. 88) & EP, 226346, A & US, 4861913, A & US, 4960909, A & US, 4976891, A & US, 5041635, A & US, 5043451, A & US, 5043456, A	1 - 16
X	JP, 60-178876, A (E.R. Squibb & Sons, Inc.), September 12, 1985 (12. 09. 85) & EP, 150709, A & US, 4526901, A	1 - 16
<input type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.		
* Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reasons (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed "T" later document published after the international filing date or priority date and not in conflict with the application but cited to underpin the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art "A" document member of the same patent family		
Date of the actual completion of the international search September 13, 1996 (13. 09. 96)		Date of mailing of the international search report September 24, 1996 (24. 09. 96)
Name and mailing address of the ISA/ Japanese Patent Office Facsimile No.		Authorized officer Telephone No.

Form PCT/ISA/210 (second sheet) (July 1992)

(THIS PAGE BLANK (USPTO))